C.A.S. 2/28/02

09/939,872

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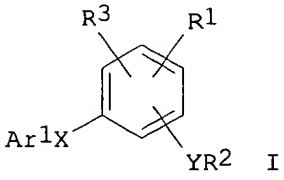
L4 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:816444 CAPLUS
DOCUMENT NUMBER: 135:352829
TITLE: Combination therapeutic compositions containing benzene compounds
INVENTOR(S): Jaen, Juan C.; Chen, Jin-Long
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082916	A2	20011108	WO 2001-US14393	20010502

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-201613 P 20000503
OTHER SOURCE(S): MARPAT 135:352829
GI

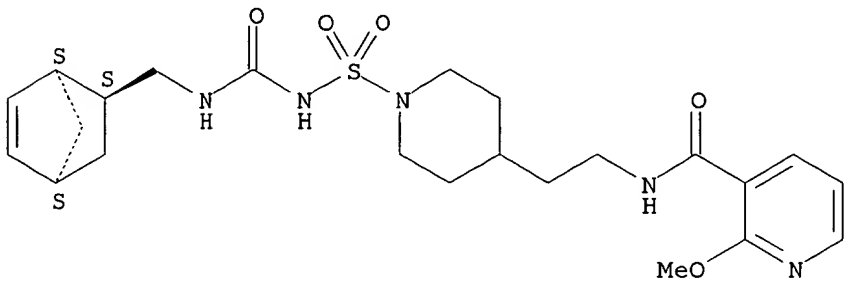


I

AB The present invention provides pharmaceutical compns. and methods for the treatment of diabetes mellitus using combination therapy. The compns. relate to a benzene compd. and an antidiabetic agent such as sulfonylureas, biguanides, glitazones, .alpha.-glucosidase inhibitors, potassium channel antagonists, aldose reductase inhibitors, glucagon antagonists, activators of RXR, insulin therapy or other anti-obesity agent. The methods include the administration of the combination of benzene compd. with antidiabetic agent where the two components are delivered in a simultaneous manner, where the benzene compd. is administered first, followed by the antidiabetic agent, as well as wherein the antidiabetic agent is delivered first followed by the benzene compd. For example, the benzene compd. (I) was synthesized using a 5-amino-2-(3-chloro-5-pyridyloxy)benzonitrile (0.457 g) in methylene chloride to which was added 2,4-dichlorobenzenesulfonyl chloride (0.456 g), followed by pyridine (150 .mu.L). The reaction progress was monitored by TLC, and upon completion the solvent was removed under vacuum. The resulting residue was partitioned between methylene chloride and water. The org. layer was drawn off and concd. The residue was triturated with ether to provide 0.447 g of I as a white solid, m.p. 154-156.degree..

IT **51876-98-3**, Gliamilide
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzene compds. in combination therapy for diabetes and diabetes-related disorders)
RN 51876-98-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:713343 CAPLUS
DOCUMENT NUMBER: 135:272894
TITLE: Preparation of .beta.-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-.alpha.
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002013341	A1	20020131	US 2001-811116	20010316

PRIORITY APPLN. INFO.: US 2000-190183 P 20000317
US 2000-235467 P 20000926
US 2000-252062 P 20001120
OTHER SOURCE(S): MARPAT 135:272894
AB Novel .beta.-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted

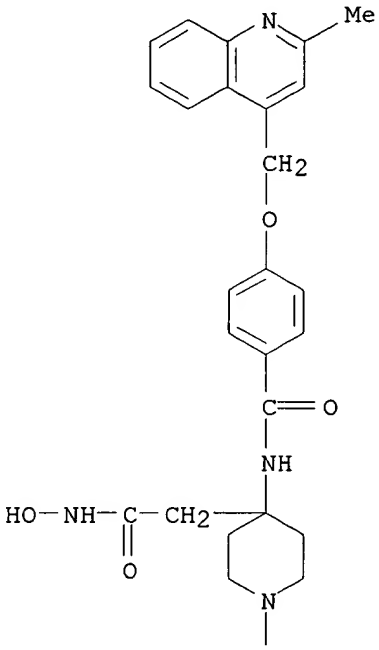
carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepd. as metalloprotease and TNF-.alpha. inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT **362697-57-2P 362699-54-5P 362699-55-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .beta.-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-.alpha.)

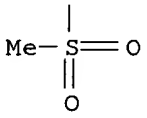
RN 362697-57-2 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

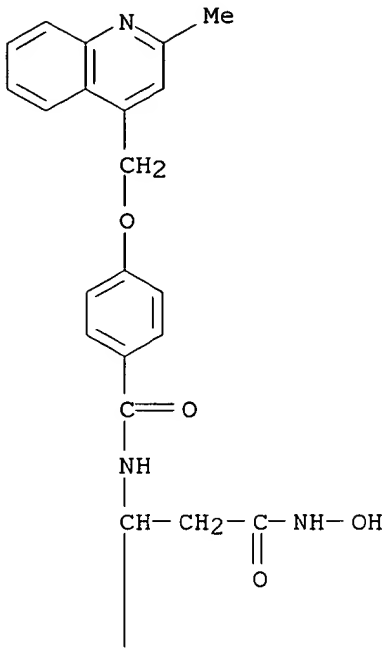


RN 362699-54-5 CAPLUS

CN 4-Piperidinepropanamide, N-hydroxy-.beta.-[[4-[(2-methyl-4-

quinolinyl)methoxy]benzoyl]amino]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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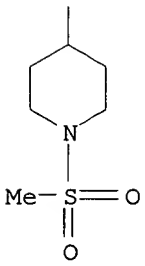
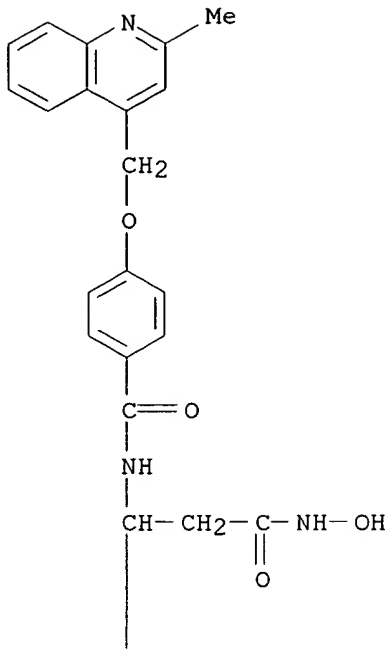


RN 362699-55-6 CAPLUS
CN 4-Piperidinepropanamide, N-hydroxy-.beta.-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

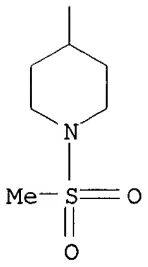
CM 1

CRN 362699-54-5
CMF C27 H32 N4 O6 S

PAGE 1-A

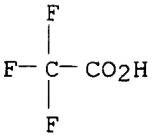


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:631913 CAPLUS
DOCUMENT NUMBER: 135:195556
TITLE: Preparation of azolylphenyl oxamides as inosine

monophosphate dehydrogenase (IMPDH) inhibitors

INVENTOR(S): Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald; Mckinnell, Robert Murray

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 256 pp.
CODEN: EPXXDW

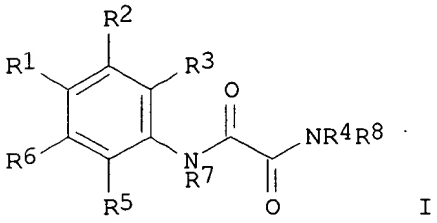
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000900	A	20010827	NO 2001-900	20010222
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226

PRIORITY APPLN. INFO.: GB 2000-4392 A 20000224
GB 2000-15877 A 20000628
GB 2000-20322 A 20000817

OTHER SOURCE(S): MARPAT 135:195556

GI



I

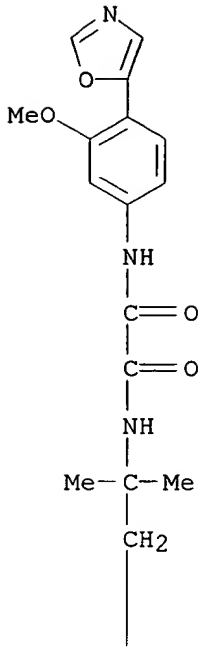
AB Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd. Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumors, and cancer.

IT **357183-05-2P 357183-06-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)

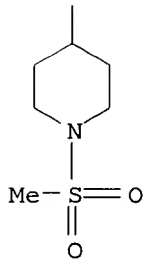
RN 357183-05-2 CAPLUS

CN Ethanediamide, N-[1,1-dimethyl-2-[1-(methylsulfonyl)-4-piperidinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

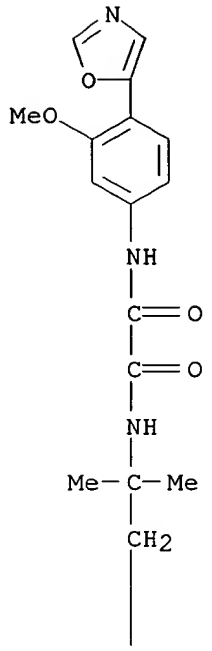


PAGE 2-A

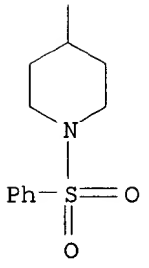


RN 357183-06-3 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[1-(phenylsulfonyl)-4-piperidinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L4 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:618004 CAPLUS
DOCUMENT NUMBER: 135:195571
TITLE: Preparation of pyrrolopyrimidinones as cGMP PDE V inhibitors
INVENTOR(S): Kim, Dae-Kee; Lee, Ju Young; Ryu, Do Hyun; Lee, Nam Kyu; Lee, Suk Ho; Kim, Nam-Ho; Kim, Jae-Sun; Ryu, Je Ho; Choi, Jin-Young; Im, Guang-Jin; Choi, Won-Son; Kim, Tae Kon; Cha, Hoon
PATENT ASSIGNEE(S): SK Chemicals Co., Ltd., S. Korea; In2Gen Co., Ltd.
SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001060825	A1	20010823	WO 2001-KR227	20010215	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: KR 2000-7625 A 20000217

OTHER SOURCE(S): MARPAT 135:195571

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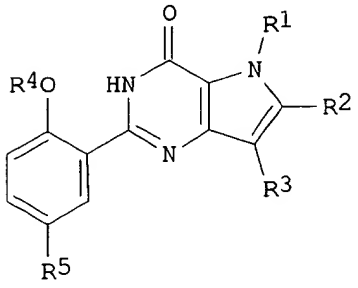


I

AB The title compds. [I; R1 = H, alkyl optionally substituted with one or more F atoms, cycloalkyl; R2 = H, halo, alkyl optionally substituted with OH, etc.; R3 = H, alkyl optionally substituted with OH, alkoxy, etc.; R4 = alkyl optionally substituted with cycloalkyl or with one or more F atoms, alkenyl, alkynyl, cycloalkyl; R5 = SO2NR6R7, NHSO2NR6R7, NHSO2R8, etc.; R6, R7 = H, alkyl optionally substituted with OH, CO2H, etc.; NR6R7 = pyrrolidino, morpholino, piperazino, etc.; R8 = alkyl optionally substituted with one or more F atoms, cycloalkyl] and their pharmaceutically acceptable salts, useful as cGMP PDE V inhibitors, were prepd. and formulated. E.g., a 4-step synthesis of I [R1 = Me; R2 = H; R3 = Pr; R4 = Et; R5 = 4-methylpiperazinylsulfonyl] which showed IC50 of 2.90 nM against cGMP PDE V, was given.

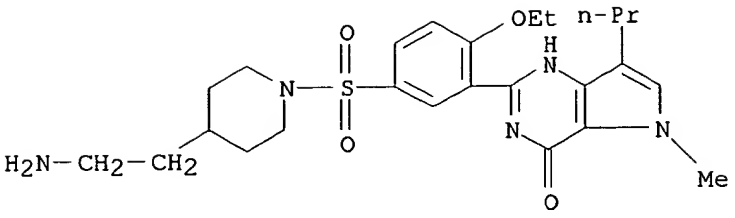
IT **356044-43-4P 356044-44-5P 356044-45-6P 356044-46-7P 356044-48-9P 356044-55-8P 356044-56-9P 356044-57-0P 356044-58-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

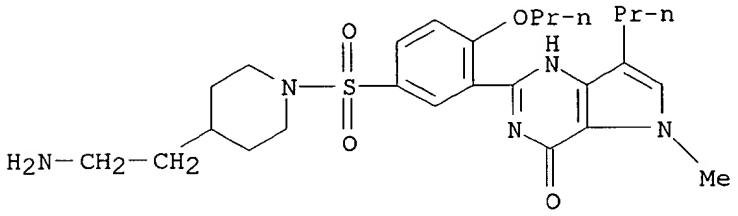
(prepn. of pyrrolopyrimidinones as cGMP PDE V inhibitors)

RN 356044-43-4 CAPLUS

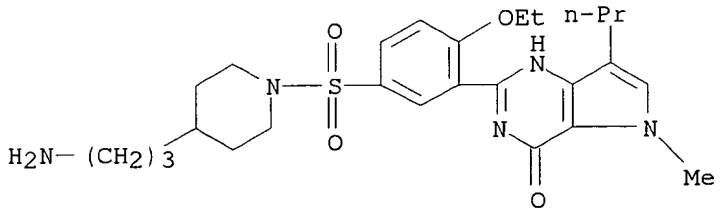
CN 4-Piperidineethanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



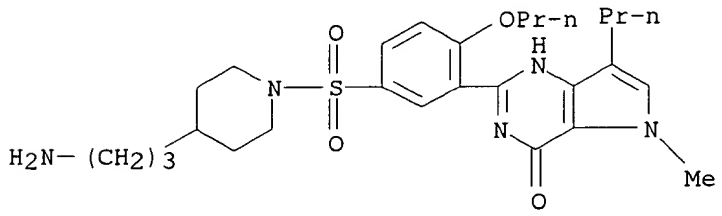
RN 356044-44-5 CAPLUS
CN 4-Piperidineethanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 356044-45-6 CAPLUS
CN 4-Piperidinepropanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

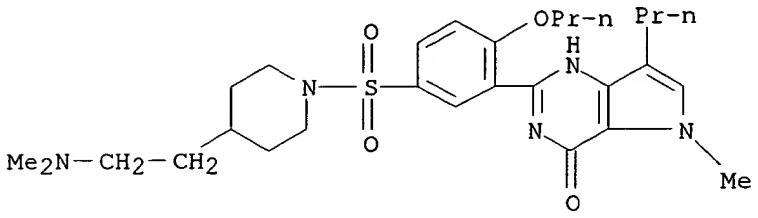


RN 356044-46-7 CAPLUS
CN 4-Piperidinepropanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

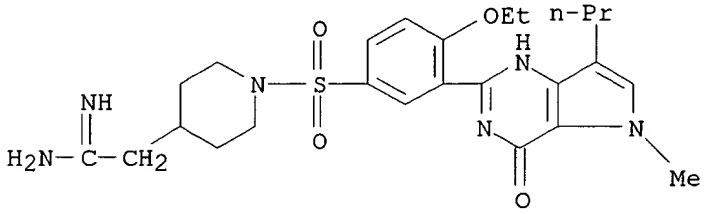


RN 356044-48-9 CAPLUS
CN 4-Piperidineethanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-

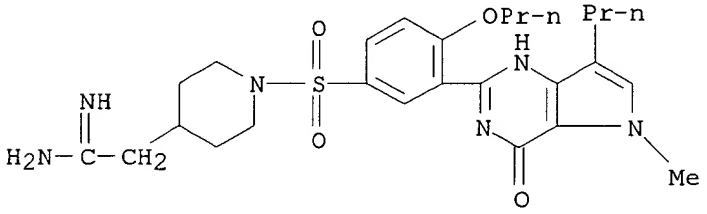
pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



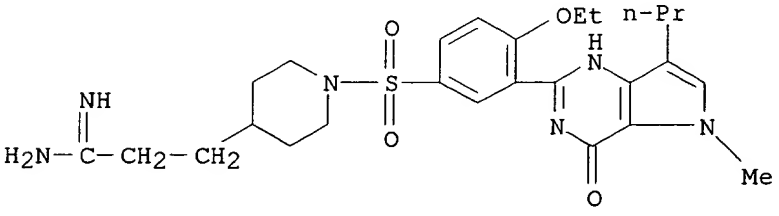
RN 356044-55-8 CAPLUS
CN 4-Piperidineethanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



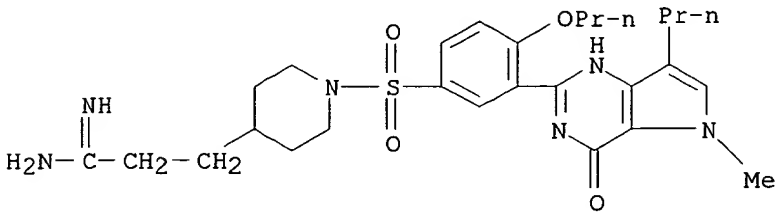
RN 356044-56-9 CAPLUS
CN 4-Piperidineethanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 356044-57-0 CAPLUS
CN 4-Piperidinepropanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 356044-58-1 CAPLUS
CN 4-Piperidinepropanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



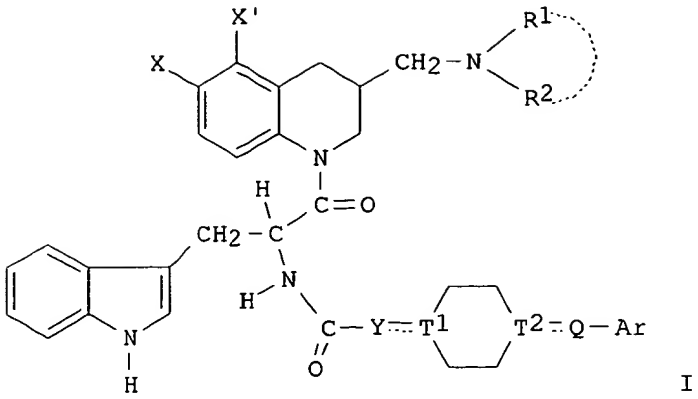
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:265411 CAPLUS
DOCUMENT NUMBER: 134:295840
TITLE: Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors
INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro
PATENT ASSIGNEE(S): Tadeka Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025228	A1	20010412	WO 2000-JP6937	20001005

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-286939 A 19991007
JP 2000-215837 A 20000711
OTHER SOURCE(S): MARPAT 134:295840
GI



I

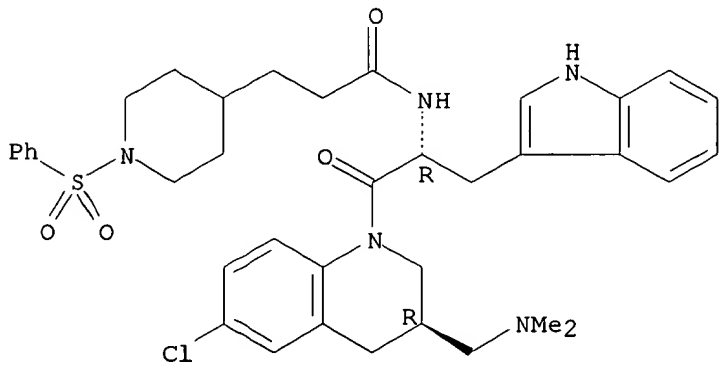
AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-contg. heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted arom. group, hydrogen, etc.; a provision is given] are prepd. In an in vitro test for inhibition of binding to the somatostatin receptor type 2, several compds. of this invention showed IC50 of 0.6 to 2 nM. Formulations are given.

IT **333953-49-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)

RN 333953-49-4 CAPLUS

CN 4-Piperidinepropanamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

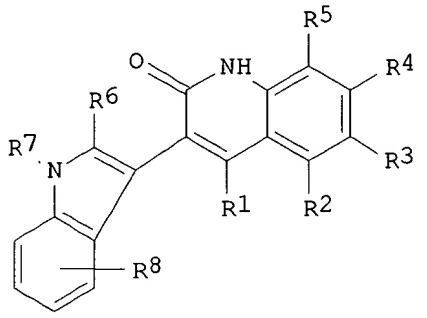


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:235566 CAPLUS
DOCUMENT NUMBER: 134:266203
TITLE: Preparation and application of benzopyranone derivatives
INVENTOR(S): Kato, Susumu; Fujisawa, Akitaka; Nanayama, Toyomichi
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 65 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089471	A2	20010403	JP 2000-214857	20000714

PRIORITY APPLN. INFO.: JP 1999-206924 A 19990721
OTHER SOURCE(S): MARPAT 134:266203
GI



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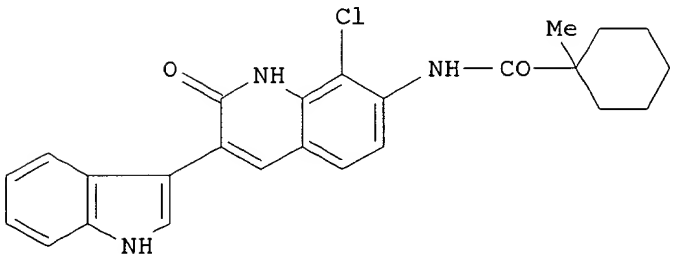


II

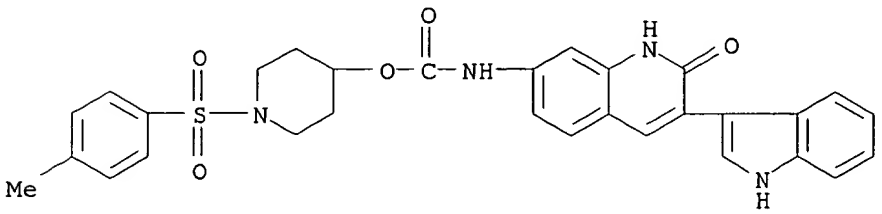
AB Title compds. [I; R1, R2 and R3, as for R4 and R5 equality or differing, the hydrogen atom, the halogen atom, the hydroxyl group and nitro group, the amino base, a low-grade alkyl group, and a low-grade alkoxy group et cetera; R6 is a hydrogen atom or a halogen atom; R7 the hydrogen atom or a low-grade alkyl group; R8 the hydrogen atom, the halogen atom and the low-grade alkyl group, a hydroxyl group, a carboxyl group and an amino base;etc.] and salts are prepd. and is useful in medicine, by inhibiting the phosphorylation of the PDGF receptors. Title compds. have inhibition

effect on smooth muscle multiplication and are useful as re-strangulation remedy agents and the nephritis remedy agents. Thus, the title compd. II was prepd. and tested.

IT **332093-32-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and application of benzopyranone derivs.)

RN 332093-32-0 CAPLUS

CN Carbamic acid, [1,2-dihydro-3-(1H-indol-3-yl)-2-oxo-7-quinolinyl]-, 1-[(4-methylphenyl)sulfonyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:91511 CAPLUS
DOCUMENT NUMBER: 134:147439
TITLE: Preparation of analogs of biologically active, naturally occurring polyamines, their pharmaceutical compositions and methods of treatment
INVENTOR(S): Bergeron, Raymond J., Jr.
PATENT ASSIGNEE(S): University of Florida, USA
SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,342,945.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

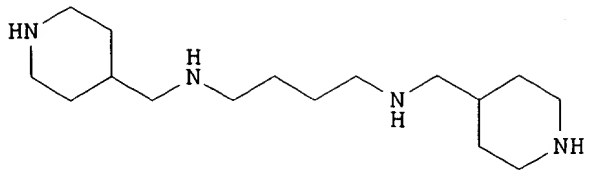
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6184232	B1	20010206	US 1993-80642	19930622
US 5091576	A	19920225	US 1988-210520	19880623
US 5342945	A	19940830	US 1992-834345	19920212
US 6147262	A	20001114	US 1992-986576	19921207
US 5455277	A	19951003	US 1993-162776	19931208
US 5866613	A	19990202	US 1995-478041	19950607
US 5866613	B1	20000314		
US 5679682	A	19971021	US 1996-714284	19960918
US 5681837	A	19971028	US 1996-714287	19960918
US 5827894	A	19981027	US 1996-714296	19960918
US 6034139	A	20000307	US 1996-714294	19960918
JP 10168067	A2	19980623	JP 1997-329524	19971113
JP 2945360	B2	19990906		
US 6342534	B1	20020129	US 2000-688386	20001017

PRIORITY APPLN. INFO.:
US 1986-936835 B2 19861202
US 1987-66227 B2 19870625
US 1988-210520 A3 19880623
US 1992-834345 A2 19920212
JP 1994-240744 A3 19890623
US 1993-80642 A1 19930622
US 1993-162776 A3 19931208

US 1995-474911 B1 19950607
US 1995-478040 B1 19950607
US 1995-481860 B1 19950607
US 1995-481864 B1 19950607

OTHER SOURCE(S): MARPAT 134:147439

GI



I

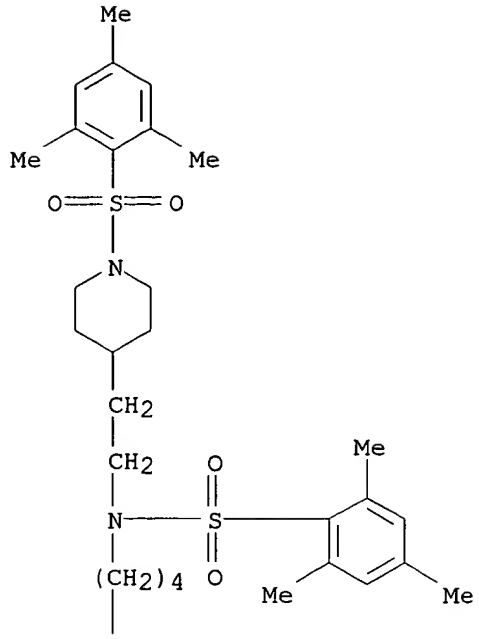
AB Polyamines, R1R2N1A(N2R3B)a(N3R4C)bN4R5R6 [R1 - R6 = H, alkyl, aryl, arylalkyl, cycloalkyl, optionally having an alkyl chain interrupted by at least one etheric oxygen atom, wherein at least one of R1 and R2 and at least one of R5 and R6 .noteq. H; N1, N2, N3 and N4 are nitrogen atoms capable of protonation at physiol. pH's; a, b = from 1 - 4; A, B, C = bridging groups which effectively maintain the distance between the nitrogen atoms], or a salt thereof with a pharmaceutically acceptable acid such that the polyamines: (i) are capable of uptake by a target cell upon administration thereof to a human or non-human animal; and (ii) upon uptake by the target cell, competitively bind via an electrostatic interaction between the pos. charged nitrogen atoms to substantially the same biol. counter-anions as the intracellular natural polyamines in the target cell; the polyamines, upon binding to the biol. counter-anion in the cell, function in a manner biol. different than the intracellular polyamines, the polyamines not occurring in nature; as well as pharmaceutical compns. embodying the polyamines and methods of treating patients requiring anti-neoplastic therapy. Thus, poylamine I was prepd. from 4-(aminomethyl)piperidine via protection with mesitylenesulfonyl chloride in Ch2Cl2 contg. NaOH, N-alkylation with 1,4-dibromobutane in DMF contg. NaH and NaI, and deprotection with HBr in HOAc/PhOH/CH2Cl2. I showed antineoplastic activity (IC50 = 2 .mu.M at 48 h and 0.2 .mu.M at 96 h) against L1210 cells.

IT **165288-20-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antineoplastic activity of analogs of biol. active, naturally occurring polyamines)

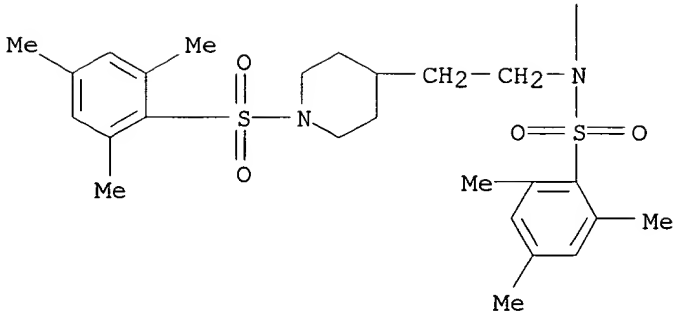
RN 165288-20-0 CAPLUS

CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:756669 CAPLUS
DOCUMENT NUMBER: 133:321706
TITLE: Preparation of arylamido-substituted (hetero)cycloalkylacetamides as MMP and TNF-.alpha. inhibitors
INVENTOR(S): Neya, Masahiro; Yamazaki, Hitoshi; Sato, Kentaro; Yoshida, Noriko; Imamura, Yoshimasa; Setoi, Hiroyuki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

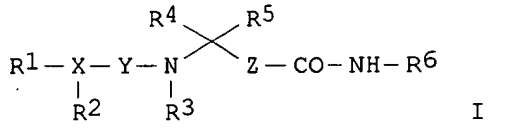
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063165	A1	20001026	WO 2000-JP2508	20000417
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1171422	A1	20020116	EP 2000-917336	20000417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

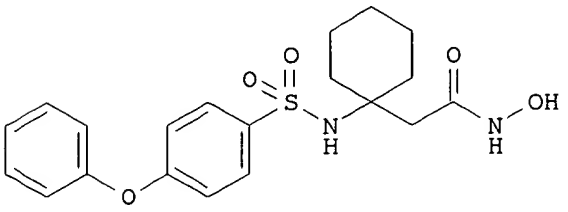
PRIORITY APPLN. INFO.: AU 1999-9823 A 19990419
WO 2000-JP2508 W 20000417

OTHER SOURCE(S): MARPAT 133:321706

GI



I

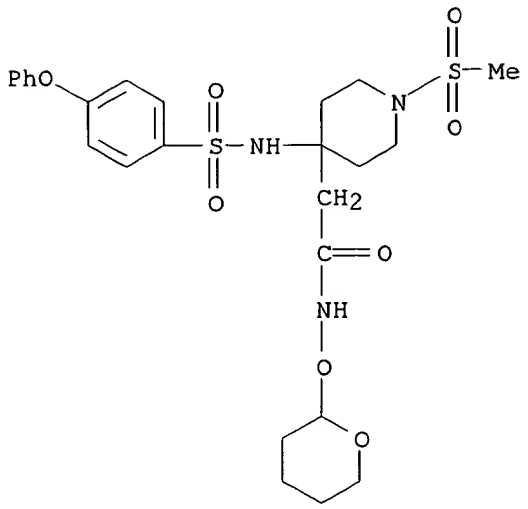


II

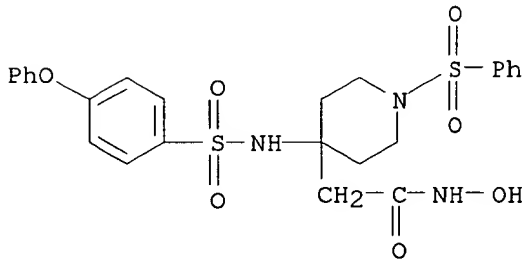
AB The title compds. (I) [wherein R1 = halo, NO2, alkoxy, (un)substituted aryloxy, arylthio,aroyl, heterocyclyloxy, or (un)substituted aryl or heterocyclyl; R2 = H or halo; R3 = H or alkyl; R4 and R5 = independently H or (cyclo) alkyl; or R4 and R5 together form an alkylene group, which is optionally interrupted by O, S, S(O), SO2, or (un)monosubstituted N; R6 = (protected) OH; X = aryl or heterocyclyl; Y = C(O) or SO2; and Z = alkylene] were prepd. as matrix metalloproteinase (MMP) or tumor necrosis factor .alpha. (TNF-.alpha.) inhibitors. For example, 4-phenoxybenzenesulfonyl chloride in CH2Cl2 was coupled with N-(2-tetrahydropyranyloxy)-2-(1-aminocyclohexyl)acetamide (prepn. given) in pyridine to give the benzenesulfonamide. Stirring the sulfonamide with HCl in MeOH for 30 min at room temp. afforded II. In an inhibitory activity assay, II suppressed the truncated form of human recombinant MMP-13 with an IC50 value of 4.7 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other diseases characterized by MMP activity, as well as AIDS, sepsis, septic shock, and other autoimmune and inflammatory diseases caused by the prodn. of TNF-.alpha..

IT **303038-10-0P 303038-14-4P**, N-Hydroxy-2-[1-benzenesulfonyl-4-(4-phenoxybenzenesulfonylamino)piperidine-4-yl]acetamide
303038-29-1P, N-Hydroxy-2-[4-(4-phenoxybenzenesulfonylamino)-1-methansulfonylpiperidin-4-yl]acetamide
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylamido (hetero)cycloalkylacetamide MMP and TNF-.alpha. inhibitors by coupling amino-substituted (hetero)cycloalkylacetamides

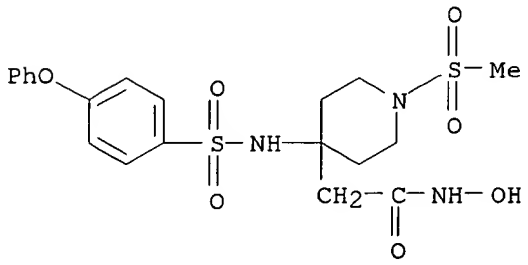
with acid chloride)
RN 303038-10-0 CAPLUS
CN 4-Piperidineacetamide, 1-(methylsulfonyl)-4-[[(4-phenoxyphenyl)sulfonyl]amino]-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (9CI) (CA INDEX NAME)



RN 303038-14-4 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-4-[[(4-phenoxyphenyl)sulfonyl]amino]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 303038-29-1 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-1-(methylsulfonyl)-4-[[(4-phenoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

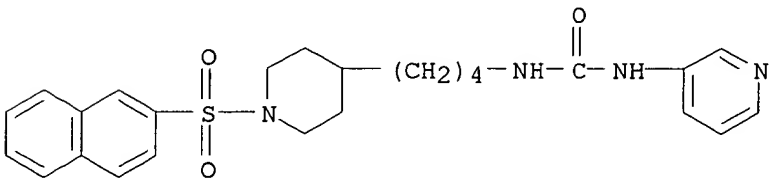
L4 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:706352 CAPLUS
DOCUMENT NUMBER: 133:276324
TITLE: Inhibitors of cellular nicotinamide mononucleotide formation, therapeutic use thereof,and identification and metabolic methods
INVENTOR(S): Biedermann, Elfi; Eisenburger, Rolf; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Schulz, Michael; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19908483	A1	20001005	DE 1999-19908483	19990226

AB Biol. active substances are described which inhibit the cellular formation of NMN, an essential intermediate in NAD(P) biosynthesis in the cell. These substances can be used for a pharmaceutical compn. for the treatment of cancer, leukemia, or for Immunosuppression. Addnl., methods are described for the identification of such substances and for the investigation of a given cell type for its dependence on nicotinamide as a precursor in NAD synthesis.

IT **299400-67-2**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NMN formation inhibitors, therapeutic use thereof, and identification and metabolic methods)

RN 299400-67-2 CAPLUS
CN 4-Piperidinebutanamine, 1-(2-naphthalenylsulfonyl)-N-[(3-pyridinylamino)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

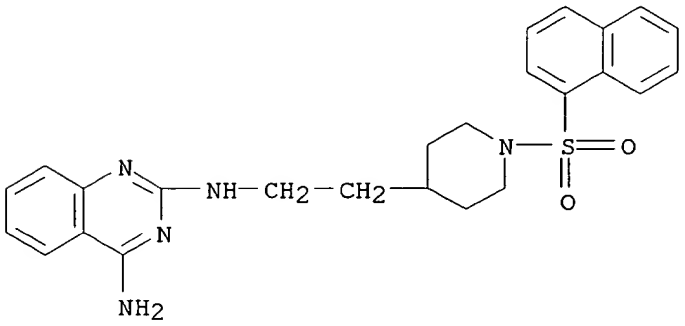
L4 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:419949 CAPLUS
DOCUMENT NUMBER: 133:202567
TITLE: Design, synthesis and SAR of a series of 2-substituted 4-amino-quinazoline neuropeptide Y Y5 receptor antagonists
AUTHOR(S): Rueeger, Heinrich; Rigollier, Pascal; Yamaguchi, Yasuchika; Schmidlin, Tibur; Schilling, Walter; Criscione, Leoluca; Whitebread, Steven; Chiesi, Michele; Walker, Mary W.; Dhanoa, Dale; Islam, Imadul; Zhang, Jack; Gluchowski, Charles

CORPORATE SOURCE: Novartis Pharma AG, Metabolic and Cardiovascular Diseases, Basel, CH-4002, Switz.
SOURCE: Bioorg. Med. Chem. Lett. (2000), 10(11), 1175-1179
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The design of a novel series of NPY-Y5 receptor antagonists is described. Key elements for the design were the identification of weak Y5 hits from a Y1 program, results from a combinatorial approach and database mining. This led to the discovery of the quinazoline and the aryl-sulfonamide moiety as major components of the pharmacophore for Y5 affinity. The synthesis and SAR towards CGP71683A is described.

IT **289893-22-7P**
RL: BPR (Biological process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(design and synthesis and structure-activity relations of a series of 2-substituted 4-amino-quinazoline neuropeptide Y Y5 receptor antagonists)

RN 289893-22-7 CAPLUS
CN 4-Piperidineethanamine, N-(4-amino-2-quinazolinyl)-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:690954 CAPLUS
DOCUMENT NUMBER: 131:307106
TITLE: Use of vitamin PP compounds as cytoprotective agents in chemotherapy
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma GmbH, Germany
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19818044 A1 19991028 DE 1998-19818044 19980422

EP 1031564 A1 20000830 EP 1999-103814 19990226

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

AU 9939282 A1 19991108 AU 1999-39282 19990421

EP 1079832 A1 20010307 EP 1999-922119 19990421

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

WO 2000050399 A1 20000831 WO 2000-EP1628 20000228

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1154998 A1 20011121 EP 2000-907642 20000228

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

DE 1998-19818044 A 19980422
EP 1999-103814 A 19990226
WO 1999-EP2686 W 19990421
WO 2000-EP1628 W 20000228

OTHER SOURCE(S): MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn., elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine carboxamides, as well as combination medicaments with an amt. of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are esp. considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong redn. of leukocytes was completely prevented.

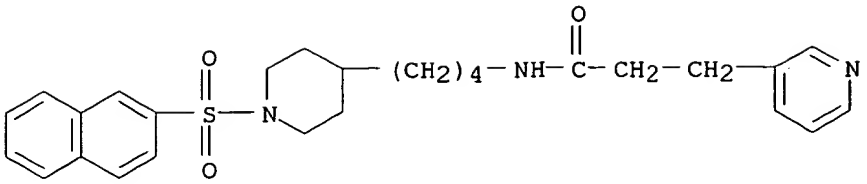
IT **200867-88-5 200868-25-3 201034-81-3 201034-86-8 201034-87-9**

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

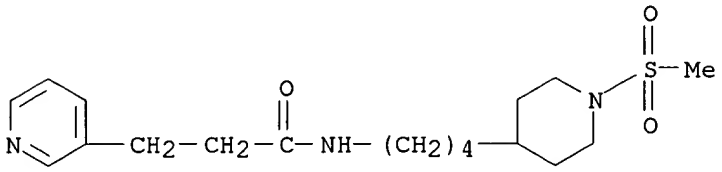
(vitamin PP compds. as cytoprotective agents in chemotherapy)

RN 200867-88-5 CAPLUS

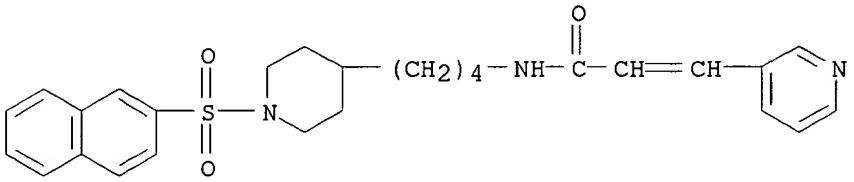
CN 3-Pyridinepropanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



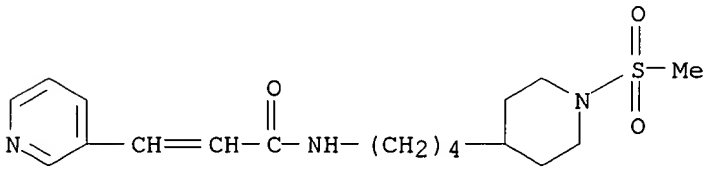
RN 200868-25-3 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[1-(methylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



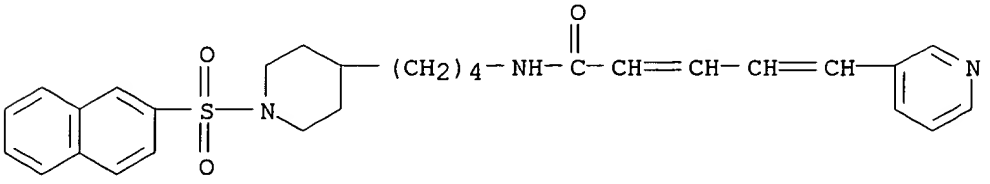
RN 201034-81-3 CAPLUS
CN 2-Propenamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 201034-86-8 CAPLUS
CN 2-Propenamide, N-[4-[1-(methylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 201034-87-9 CAPLUS
CN 2,4-Pentadienamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



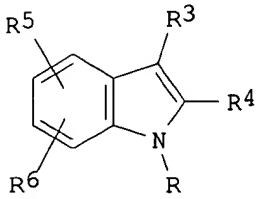
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:297302 CAPLUS
DOCUMENT NUMBER: 130:325087
TITLE: Preparation of gonadotropin releasing hormone antagonists
INVENTOR(S): Chu, Lin; Goulet, Mark T.; Walsh, Thomas F.; Witkin, Stephanie L.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921553	A1	19990506	WO 1998-US22799	19981027
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6017944	A	20000125	US 1998-178689	19981026
AU 9911243	A1	19990517	AU 1999-11243	19981027
EP 1027046	A1	20000816	EP 1998-954019	19981027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001520996	T2	20011106	JP 2000-517711	19981027
US 6159989	A	20001212	US 1999-465199	19991215

PRIORITY APPLN. INFO.:
US 1997-63305 P 19971028
GB 1998-9789 A 19980507
US 1998-178689 A3 19981026
WO 1998-US22799 W 19981027

OTHER SOURCE(S): MARPAT 130:325087
GI



I

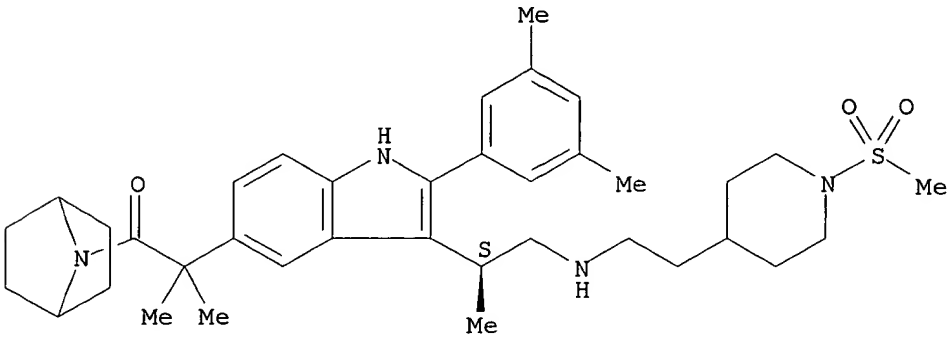
AB Title compds. [I; R = H, alkyl, aryl(alkyl), etc.; R3 = (CR9R9a)mCR10R10aNR1R2; R1,R2 = H, alk(en)yl, heterocyclyl, etc.; NR1R2 = heterocyclyl; R4 = (un)substituted Ph; R5 = alkyl, alkoxy, etc.; R6 = H, halo, alkyl, alkoxy, etc.; R9,R9a,R10,R10a = H, alkyl, aryl(alkyl), etc.; m = 0-3] were prepd. as gonadotropin releasing hormone antagonists (no data). Thus, EtO2CCMe2C6H3(NHNH2)Br-4,3 was cyclocondensed with

(R)-ClCH2CHMeCH2COC6H3Me2-3,5 (prepn. each given) and the product converted in 6 steps to (S)-1-[7-azabicyclo[2.2.1]hept-7-yl]-2-[3-(2-butylamino-1-methylethyl)-2-(3,5-dimethylphenyl)-1H-indol-5-yl]-2-methyl-1-propanone.

IT **223684-48-8P 223684-52-4P 223684-53-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of gonadotropin releasing hormone antagonists)

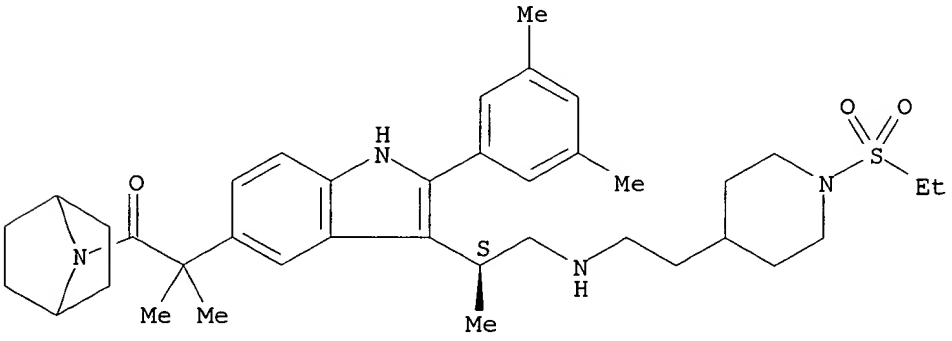
RN 223684-48-8 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[2-(3,5-dimethylphenyl)-3-[(1S)-1-methyl-2-[[2-[1-(methylsulfonyl)-4-piperidinyl]ethyl]amino]ethyl]-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



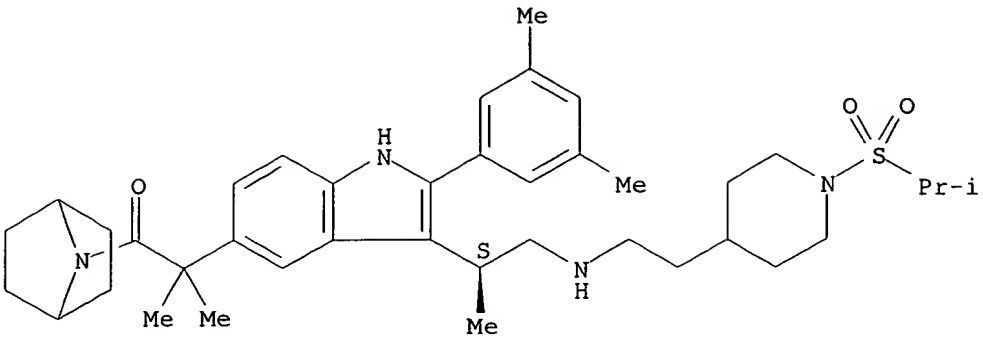
RN 223684-52-4 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[2-(3,5-dimethylphenyl)-3-[(1S)-2-[[2-[1-(ethylsulfonyl)-4-piperidinyl]ethyl]amino]-1-methylethyl]-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223684-53-5 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[2-(3,5-dimethylphenyl)-3-[(1S)-1-methyl-2-[[2-[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]ethyl]amino]ethyl]-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



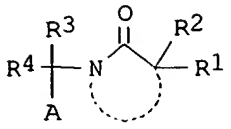
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:244635 CAPLUS
DOCUMENT NUMBER: 130:296611
TITLE: Preparation of novel lactam as metalloprotease inhibitors
INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9808967	A	20000403	ZA 1998-8967	19981001
AU 9896866	A1	19990427	AU 1998-96866	19981002
US 6057336	A	20000502	US 1998-165747	19981002
EP 1027332	A1	20000816	EP 1998-950954	19981002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9815398	A	20001031	BR 1998-15398	19981002
JP 2001519331	T2	20011023	JP 2000-514886	19981002
NO 2000000783	A	20000529	NO 2000-783	20000217

PRIORITY APPLN. INFO.: US 1997-62418 P 19971003
WO 1998-US21037 W 19981002

GI



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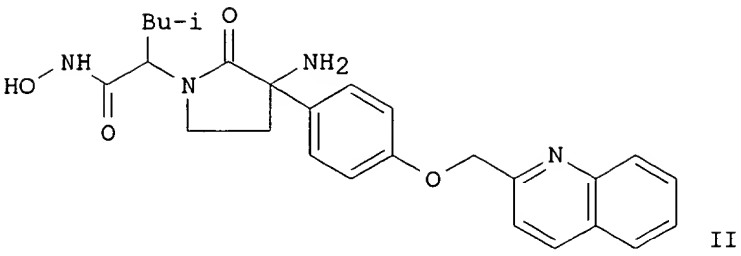


II

AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide contg. 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepd. as useful metalloprotease inhibitors. Thus, compd. II was prepd. via alkylation, oxidn., amination, and cyclization.

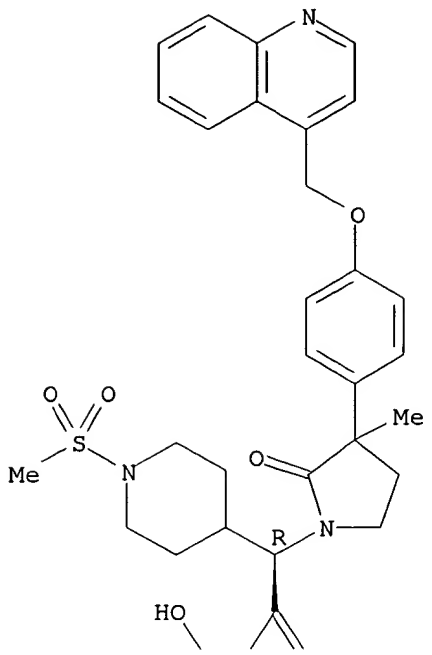
IT **223402-89-9P 223402-94-6P 223403-41-6P 223404-49-7P 223404-75-9P 223404-78-2P 223407-36-1P 223408-00-2P 223408-24-0P 223408-27-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel lactam metalloprotease inhibitors)

RN 223402-89-9 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[3-methyl-2-oxo-3-[4-(4-quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-1-(methylsulfonyl)-, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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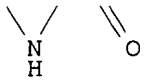
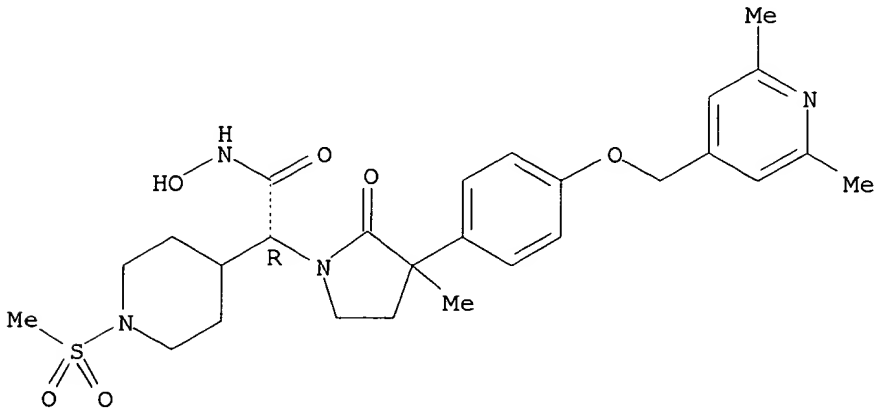


RN 223402-94-6 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-3-methyl-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



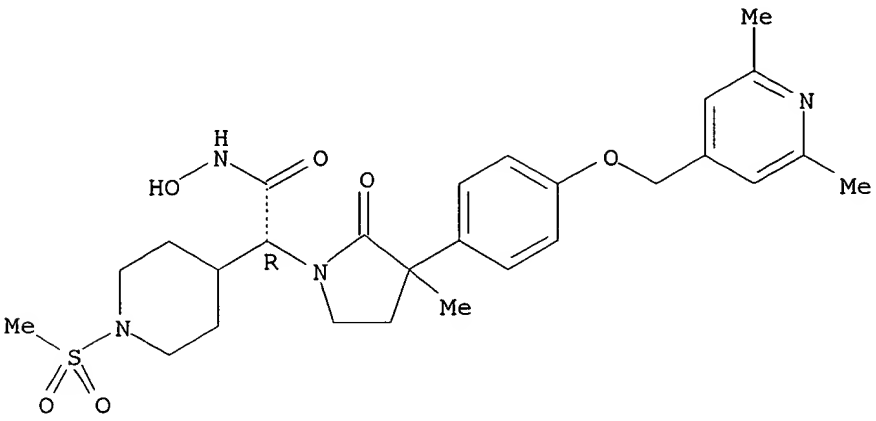
RN 223403-41-6 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-[4-[(2,6-dimethyl-4-

pyridinyl)methoxy]phenyl]-3-methyl-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

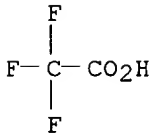
CRN 223402-94-6
CMF C27 H36 N4 O6 S

Absolute stereochemistry.



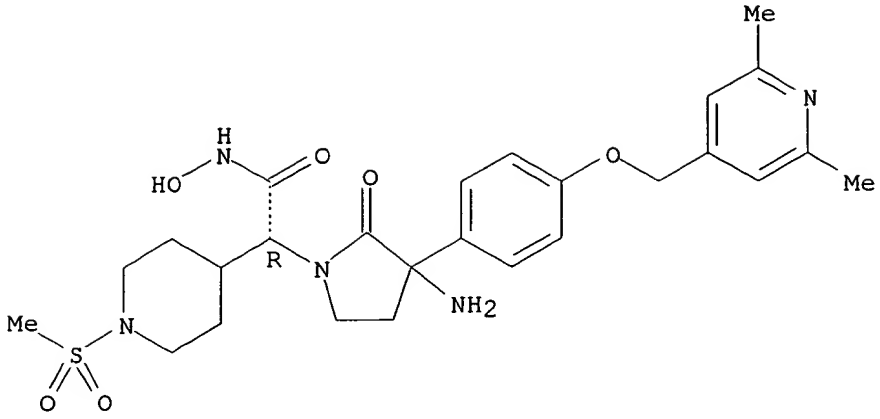
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 223404-49-7 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

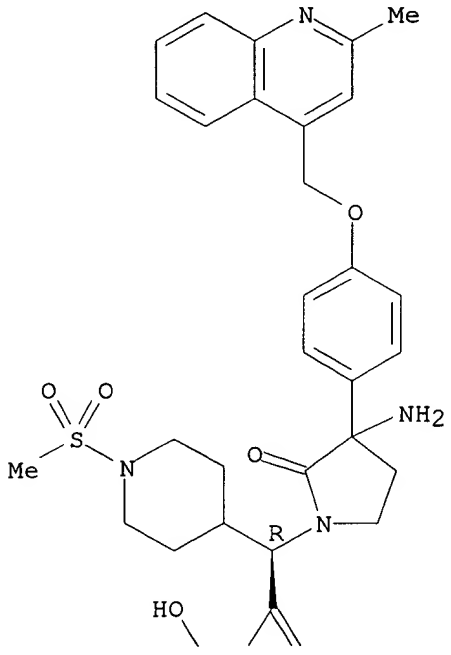
Absolute stereochemistry.



RN 223404-75-9 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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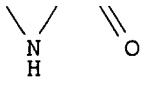
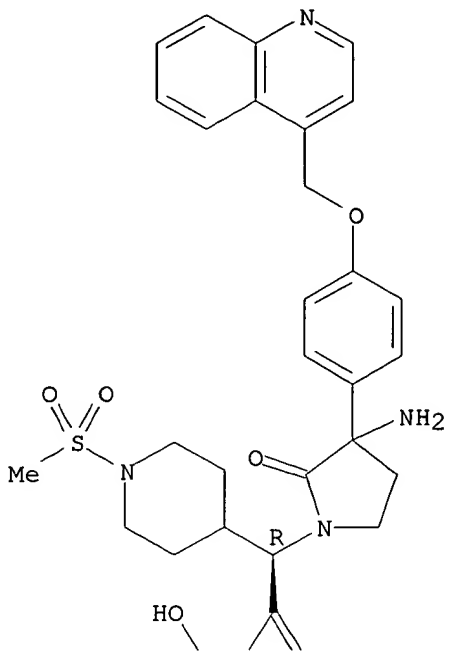


RN 223404-78-2 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-2-oxo-3-[4-(4-

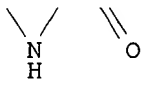
quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



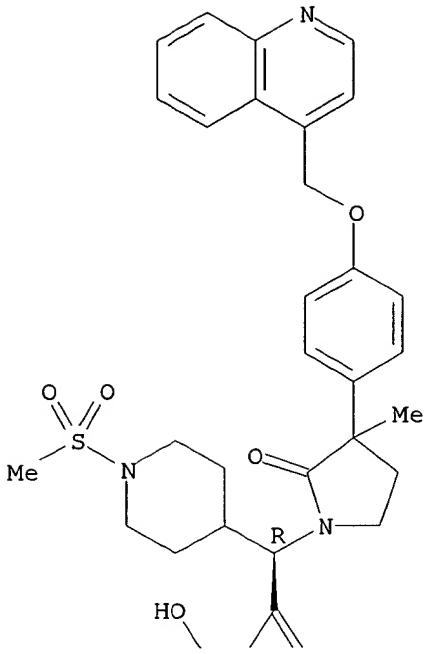
RN 223407-36-1 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[3-methyl-2-oxo-3-[4-(4-quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

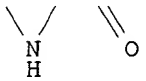
CRN 223402-89-9
CMF C29 H34 N4 O6 S

Absolute stereochemistry.

PAGE 1-A

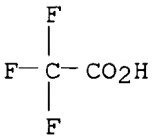


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2

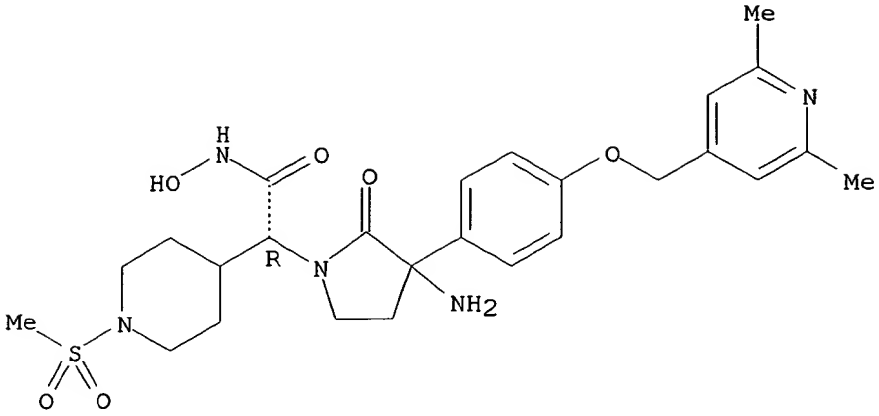


RN 223408-00-2 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

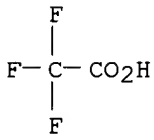
CRN 223404-49-7
CMF C26 H35 N5 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



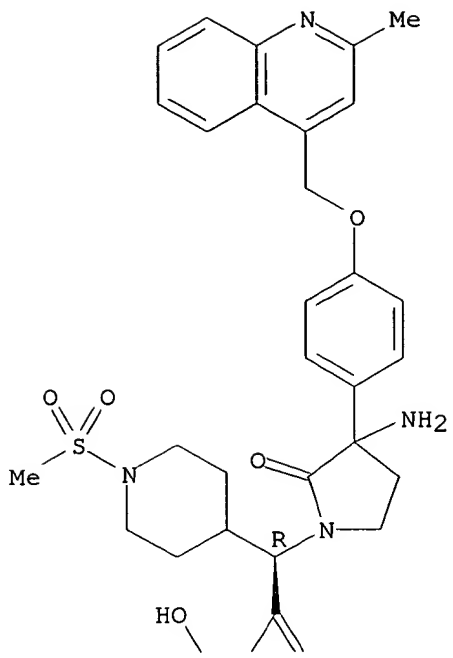
RN 223408-24-0 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

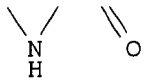
CRN 223404-75-9
CMF C29 H35 N5 O6 S

Absolute stereochemistry.

PAGE 1-A

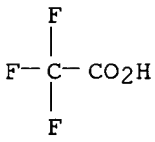


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



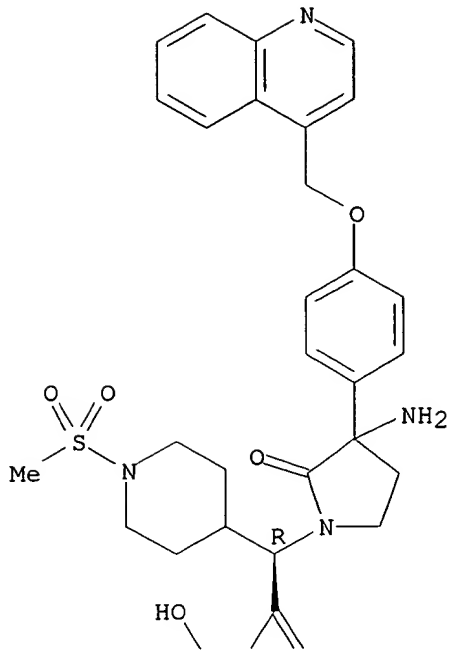
RN 223408-27-3 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-2-oxo-3-[4-(4-quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

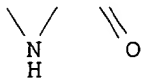
CRN 223404-78-2
CMF C28 H33 N5 O6 S

Absolute stereochemistry.

PAGE 1-A

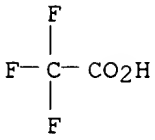


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:205324 CAPLUS
DOCUMENT NUMBER: 130:252249
TITLE: Methods and compositions for the treatment of neurodegeneration
INVENTOR(S): Bergeron, Raymond J., Jr.; Borg, Stefan
PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA; Sunpharm Corporation

SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5886051	A	19990323	US 1995-554370	19951108

OTHER SOURCE(S): MARPAT 130:252249

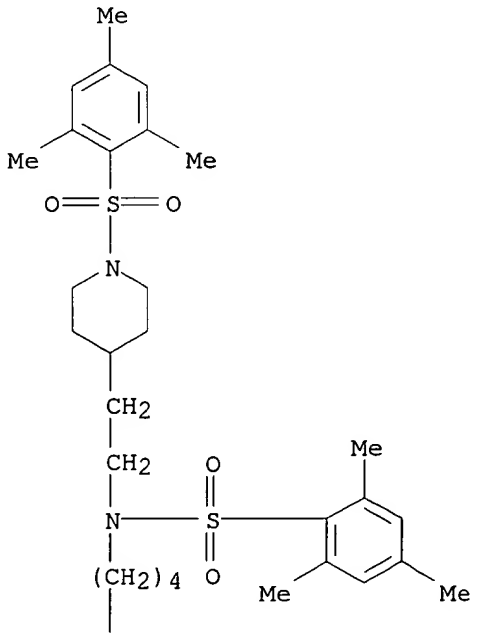
AB Methods and pharmaceutical compns. in unit dosage form for treating neurodegeneration in a human or nonhuman animal afflicted therewith wherein the active agent is a therapeutically effective amt. of a polyamine or a salt thereof with a pharmaceutically acceptable acid. E.g., N,N'-1,4-bis(2,4,6-trimethylbenzenesulfonyl)butanediylbis[4-(2,4,6-trimethylbenzenesulfonyl)piperidineethanamine], prepd. in 85% yield from N,N'-1,4-bis(2,4,6-trimethylbenzenesulfonyl)butanediamine and N,O-bis(2,4,6-trimethylbenzenesulfonyl)piperidineethanol, was hydrolyzed to give 68% N,N'-1,4-butanediylbis[4-piperidineethanamine]. Also prepd. were trans-1,4-cyclohexanediamines. Extensive data were given for the effectiveness of polyamines in treating cognitive disorders including expts. with human adults in the early stages of Alzheimer's disease.

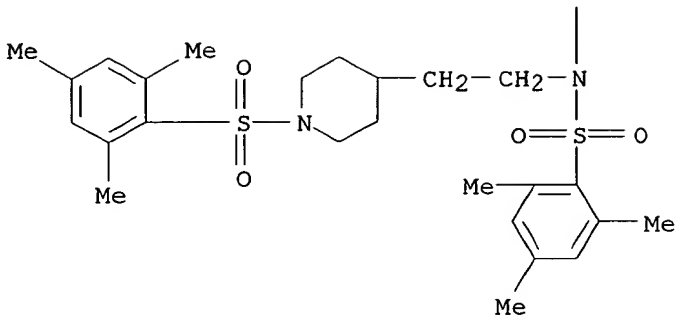
IT **165288-20-0P 221636-84-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cognition enhancing activity of polyamines)

RN 165288-20-0 CAPLUS

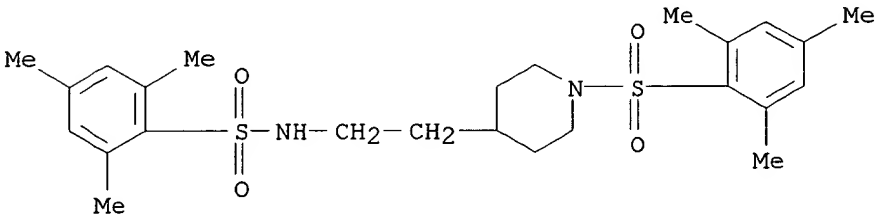
CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





RN 221636-84-6 CAPLUS
CN Benzenesulfonamide, 2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



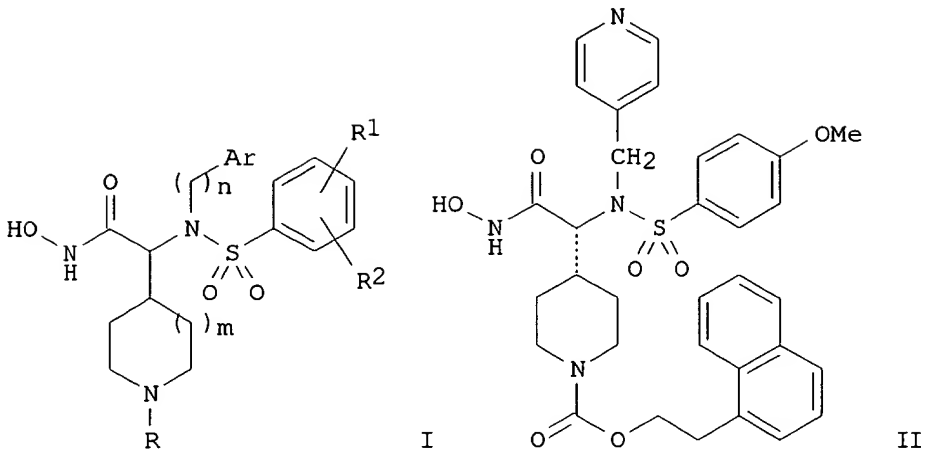
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:653554 CAPLUS
DOCUMENT NUMBER: 129:290060
TITLE: Certain alpha-azacycloalkyl substituted arylsulfonamido acetohydroxamic acids, useful as inhibitors of matrix-degrading metalloproteinases and TNF-.alpha. converting enzyme
INVENTOR(S): Nantermet, Philippe G.; Parker, David T.; Macpherson, Lawrence J.
PATENT ASSIGNEE(S): Novartis Corporation, USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. 5,646,167.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817822	A	19981006	US 1997-787730	19970124
US 5506242	A	19960409	US 1994-265296	19940624
US 5552419	A	19960903	US 1994-333676	19941103
US 5646167	A	19970708	US 1995-475166	19950607

PRIORITY APPLN. INFO.:
US 1994-265296 A2 19940624
US 1994-333676 A2 19941103
US 1995-475166 A2 19950607
US 1993-1136 A2 19930106
NZ 1993-250517 A 19931220

OTHER SOURCE(S): MARPAT 129:290060
GI



I II

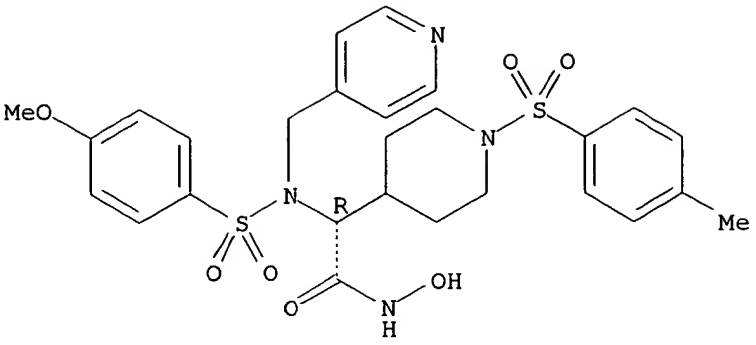
AB The invention relates to .alpha.-(N-substituted pyrrolidinyl and piperidinyl)-.alpha.-(arylsulfonamido)acetohydroxamic acids I [R = acyl derived from a carboxylic, carbonic, or carbamic acid; or R = (lower alkyl, aryl-lower alkyl, or aryl)-sulfonyl, di-(aryl-lower alkyl or alkyl)-aminosulfonyl, or aryl-lower alkyl; Ar = carbocyclic aryl, heterocyclic aryl, or biaryl; R1 and R2 = H, alkyl, alkoxy, halo, OH, acyloxy, alkoxy-lower alkoxy, CF3, or cyano; or R1R2 = alkylenedioxy; m = 0 or 1; n = 1-5] and their pharmaceutically acceptable prodrugs and salts. Also disclosed are a process for the prepn. of the compds., pharmaceutical compns. comprising them, and their use for therapeutic treatment or manuf. of a pharmaceutical compn. Approx. 70 invention compds. and various starting materials and intermediates are described. For instance, benzyl 2-(R)-[(4-methoxybenzenesulfonyl)(4-picolyl)amino]-2-(4-piperidinyl)acetate dihydrochloride (prepd. in approx. 9 steps) was condensed at the piperidine N with 1-naphthaleneethanol and di(2-pyridyl) carbonate (phosgene equiv.), and the product underwent hydrogenolysis of the benzyl ester, amidation with tert-BuONH2, and removal of the tert-Bu group with dry HCl, to give title salt II.HCl. In a test for inhibition of prodn. of sol. TNF-.alpha. by LPS-stimulated THP-1 cells in vitro, II.HCl had an IC50 of 0.7 .mu.M. II.HCl also inhibited the in-vitro hydrolysis of substance P by stromelysin with an IC50 of approx. 15 nM.

IT **214217-17-1P 214217-19-3P 214217-20-6P**
214217-21-7P 214217-22-8P 214217-23-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azacycloalkyl arylsulfonamido acetohydroxamic acids as inhibitors of matrix-degrading metalloproteinases and TNF-.alpha. converting enzyme)

RN 214217-17-1 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[(4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-1-[(4-methylphenyl)sulfonyl]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

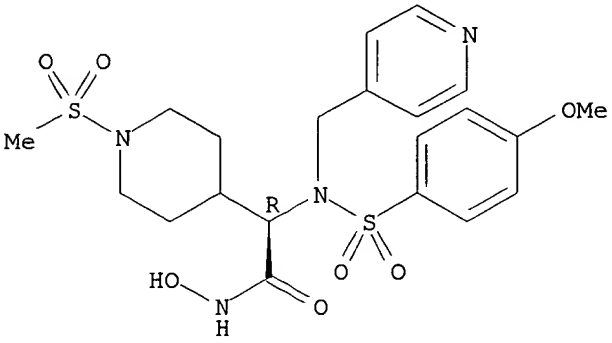
Absolute stereochemistry.



● HCl

RN 214217-19-3 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[(4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-1-(methylsulfonyl)-, monohydrochloride, (.alpha.R)-(9CI) (CA INDEX NAME)

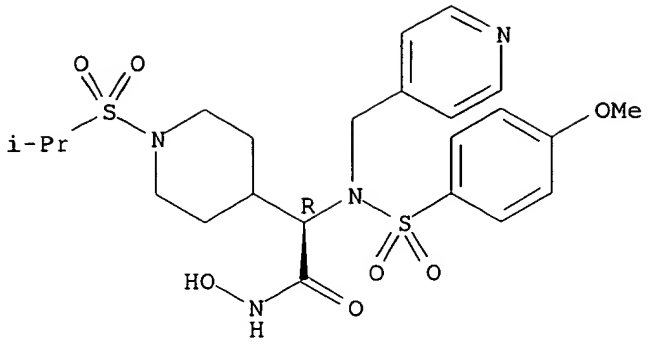
Absolute stereochemistry.



● HCl

RN 214217-20-6 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[(4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-1-[(1-methylethyl)sulfonyl]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

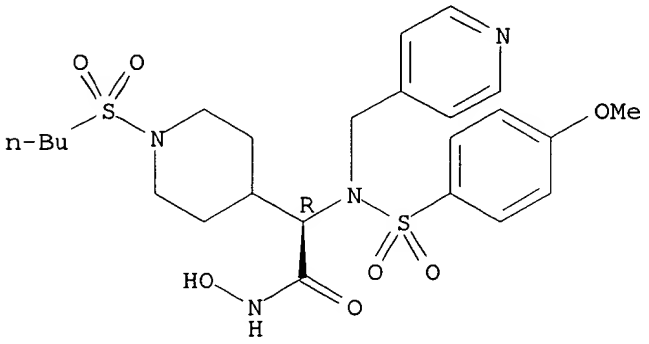
Absolute stereochemistry.



● HCl

RN 214217-21-7 CAPLUS
CN 4-Piperidineacetamide, 1-(butylsulfonyl)-N-hydroxy-.alpha.-[[(4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

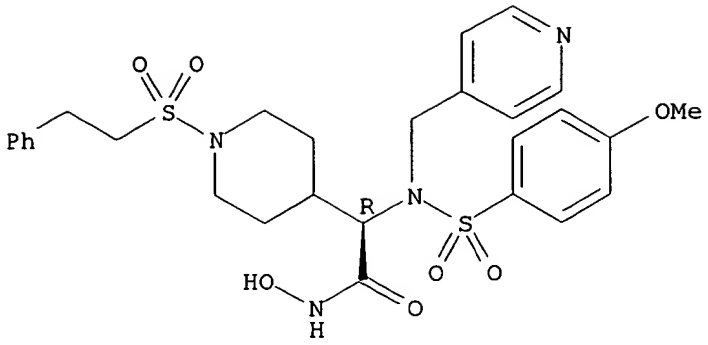
Absolute stereochemistry.



● HCl

RN 214217-22-8 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[(4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-1-[(2-phenylethyl)sulfonyl]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

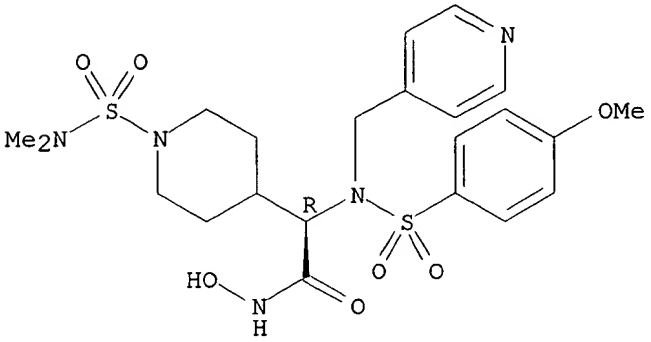
Absolute stereochemistry.



● HCl

RN 214217-23-9 CAPLUS
CN 4-Piperidineacetamide, 1-[(dimethylamino)sulfonyl]-N-hydroxy-.alpha.-[[(4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:498326 CAPLUS
DOCUMENT NUMBER: 129:148991
TITLE: Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors
INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.
SOURCE: Ger. Offen., 84 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

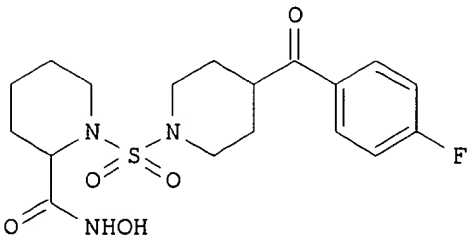
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19802350	A1	19980730	DE 1998-19802350	19980122
WO 9832748	A1	19980730	WO 1998-EP180	19980114
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866140	A1	19980818	AU 1998-66140	19980114
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807508	A	20000321	BR 1998-7508	19980114
JP 2001523222	T2	20011120	JP 1998-531537	19980114
ZA 9800376	A	19980723	ZA 1998-376	19980116
IT 1298163	B1	19991220	IT 1998-MI91	19980120
FR 2758559	A1	19980724	FR 1998-601	19980121
US 5998412	A	19991207	US 1998-9951	19980121
GB 2321641	A1	19980805	GB 1998-1393	19980122
GB 2321641	B2	20010401		
ES 2136037	A1	19991101	ES 1998-113	19980122
ES 2136037	B1	20001116		
NO 9903587	A	19990922	NO 1999-3587	19990722
US 6130220	A	20001010	US 1999-369677	19990805
US 6143744	A	20001107	US 1999-369501	19990805

PRIORITY APPLN. INFO.: US 1997-36714 P 19970123
US 1997-62209 P 19971016
WO 1998-EP180 W 19980114
US 1998-9951 A3 19980121

OTHER SOURCE(S): MARPAT 129:148991

GI



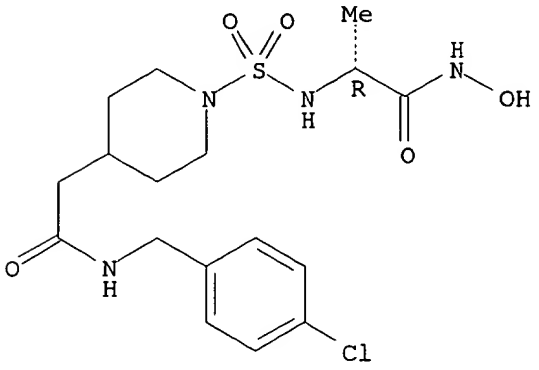
II

AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepd. Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compd. (R)-II. Data for biol. activity of I were given.

IT **210913-54-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)
RN 210913-54-5 CAPLUS
CN 4-Piperidineacetamide, N-[(4-chlorophenyl)methyl]-1-[[[(1R)-2-(hydroxyamino)-1-methyl-2-oxoethyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



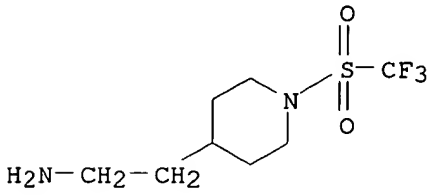
L4 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:484958 CAPLUS
DOCUMENT NUMBER: 129:113515
TITLE: Stabilized tricyclic compounds
INVENTOR(S): Imoto, Soichiro; Yoshioka, Minoru; Kashihara, Toshio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829136	A1	19980709	WO 1997-JP4819	19971225
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9853402	A1	19980731	AU 1998-53402	19971225
JP 10236952	A2	19980908	JP 1997-356504	19971225
PRIORITY APPLN. INFO.:			JP 1996-349256	19961227
			WO 1997-JP4819	19971225

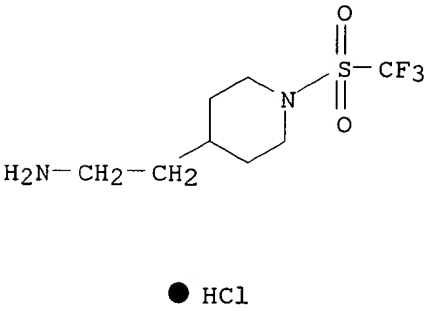
OTHER SOURCE(S): MARPAT 129:113515
AB The present invention provides a pharmaceutical compn. which contains an oleaginous base and a tricyclic compd. or a pharmaceutically acceptable salt. The tricyclic compds. inhibit activity of platelet derived growth factor action, are antihypertensives, ameliorate activity of renal diseases and lower lipid levels. Approx. 10 4,5-dihydro-4-(piperidinylalkyl)-3H-1,4,8b-triazaacenaphthylenone derivs. were prepd. by std. methods. Formulations of 4,5-dihydro-4-[4-(trifluoromethanesulfonamido)butan-1-yl]-3H-1,4,8b-triazaacenaphthylen-3-

one in various oleaginous bases, such as the panasates, was tested for stability to oxidative decompn.

IT **210095-64-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and stabilization of triazaacenaphthylenone pharmaceutical formulations)
RN 210095-64-0 CAPLUS
CN 4-Piperidineethanamine, 1-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **203867-73-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and stabilization of triazaacenaphthylenone pharmaceutical formulations)
RN 203867-73-6 CAPLUS
CN 4-Piperidineethanamine, 1-[(trifluoromethyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

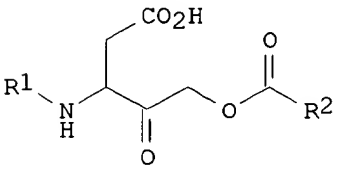
L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:251152 CAPLUS
DOCUMENT NUMBER: 128:321926
TITLE: Preparation of aspartate ester inhibitors of interleukin-1.beta. converting enzyme
INVENTOR(S): Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; et al.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Kostlan, Catherine Rose
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816502	A1	19980423	WO 1997-US18514	19971009
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9749023	A1	19980511	AU 1997-49023	19971009
AU 738341	B2	20010913		
EP 932598	A1	19990804	EP 1997-911715	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712530	A	19991019	BR 1997-12530	19971009
JP 2001506974	T2	20010529	JP 1998-518519	19971009
NO 9901677	A	19990609	NO 1999-1677	19990409

PRIORITY APPLN. INFO.: US 1996-28322 P 19961011
WO 1997-US18514 W 19971009

OTHER SOURCE(S): MARPAT 128:321926
GI



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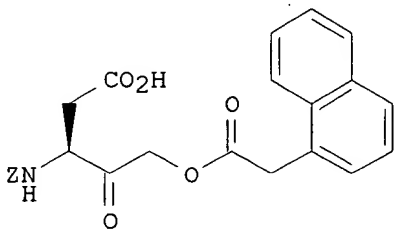
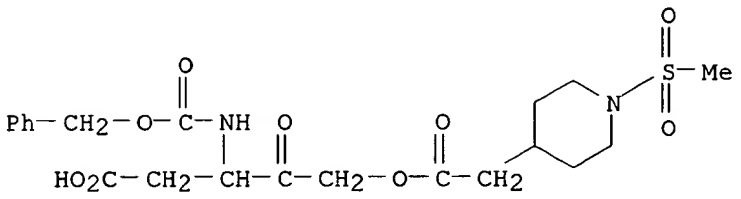


II

AB The present invention relates to compds. I [R1 = carboxy, acyl, amino acid residue, etc.; R2 = (CR2)n-X-R3; each R = independently H, C1-6 alkyl, OH; R3 = (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl, cycloalkyl, etc; X = bond, O, S; n = 0-3; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] as inhibitors of interleukin-1.beta. converting enzyme (ICE). This invention also relates to a method of treatment of stroke, inflammatory diseases, reperfusion injury, Alzheimer's disease, and shigellosis, and to a pharmaceutically acceptable compn. that contains a compd. that is an inhibitor of interleukin-1.beta. converting enzyme. Thus, substitution of Z-Asp(OCMe3)-CH2Br (Z = PhCH2O2C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester deriv. II. II inhibited ICE with Ki = 0.460 .mu.M and IC50 = 3.100 .mu.M, and inhibited Ich-2 (caspase-4) with IC50 = 3.60 .mu.M, as detd. using in vitro assays. Related prepd. compds. I (196 examples) were also tested for ICE inhibition (Ki values of 0.00008 to 76 .mu.M and IC50 values of 0.0013 to 32 .mu.M), and Ich-2 inhibition (IC50 = 0.021 to 76 .mu.M).

IT **206863-17-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aspartate ester inhibitors of interleukin-1.beta. converting

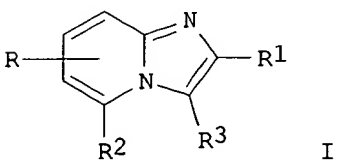
enzyme)
RN 206863-17-4 CAPLUS
CN 4-Piperidineacetic acid, 1-(methylsulfonyl)-, 4-carboxy-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]butyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:175756 CAPLUS
DOCUMENT NUMBER: 128:204886
TITLE: Preparation of triazacyclopentindenones and analogs as platelet derived growth factor inhibitors
INVENTOR(S): Kawamoto, Tetsuji; Shibouta, Yumiko; Takatani, Muneo; Noda, Masakuni
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 826686	A2	19980304	EP 1997-115200	19970902
EP 826686	A3	19980506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 08081467	A2	19960326	JP 1995-177453	19950713
US 5958942	A	19990928	US 1997-783101	19970114
CA 2214393	AA	19980302	CA 1997-2214393	19970829
CN 1176785	A	19980325	CN 1997-119303	19970902
JP 10120568	A2	19980512	JP 1997-237045	19970902
JP 10182644	A2	19980707	JP 1997-298527	19971030

PRIORITY APPLN. INFO.: JP 1995-177453 A 19950713
JP 1996-231855 A 19960902
JP 1996-292059 A 19961101
JP 1994-163802 A 19940715
OTHER SOURCE(S): MARPAT 128:204886
GI



I

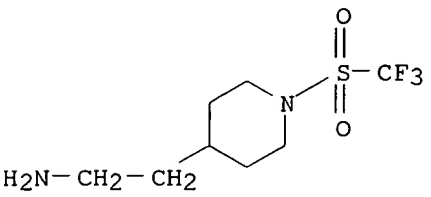
AB Title compds. [I; R = H or substituent; R1 = H, halo, hydrocarbyl, acyl,

etc.; R2R3 = substituted [(thi)oxo]azaalkylene] were prepd. Thus, OHCCHClCO2Et (prepn. given) was cyclocondensed with 2,6-diaminopyridine and the product cyclized to give I (R = R1 = H, R2R3 = NR4CO)(II; R4 = H) which was converted in 2 steps to II (R4 = ZSO2CF3, Z = piperidine-4,1-diyl). Data for biol. activity of I were given.

IT **203867-73-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of triazacyclopentindenones and analogs as platelet derived growth factor inhibitors)

RN 203867-73-6 CAPLUS

CN 4-Piperidineethanamine, 1-[(trifluoromethyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:31304 CAPLUS
DOCUMENT NUMBER: 128:88789
TITLE: Preparation of pyridyl alkene- and pyridyl alkyne-acid amides as cytostatics and immunosuppressives
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748696	A1	19971224	WO 1997-EP3245	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624659	A1	19980108	DE 1996-19624659	19960620
ZA 9705437	A	19980210	ZA 1997-5437	19970619
CA 2257448	AA	19971224	CA 1997-2257448	19970620

AU 9732625	A1	19980107	AU 1997-32625	19970620
AU 736206	B2	20010726		
EP 923570	A1	19990623	EP 1997-928261	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9709823	A	19990810	BR 1997-9823	19970620
CN 1228777	A	19990915	CN 1997-197424	19970620
JP 2000516913	T2	20001219	JP 1998-502318	19970620
KR 2000022333	A	20000425	KR 1998-710756	19981221

PRIORITY APPLN. INFO.: DE 1996-19624659 A 19960620
WO 1997-EP3245 W 19970620

OTHER SOURCE(S): MARPAT 128:88789

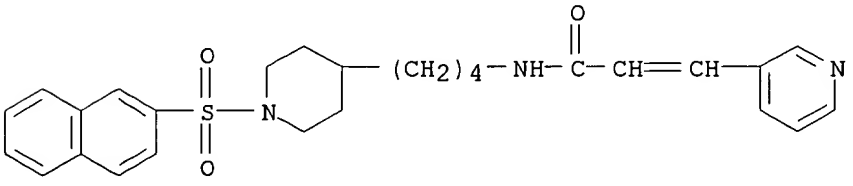
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

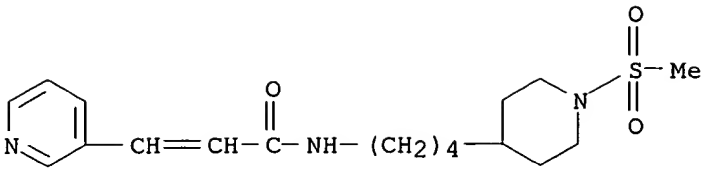
AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3 = H, halo, C1-6 alkyl, etc.; R4 = H, OH, PhCH2O, etc.; k = 0-1; A = (un)substituted C2-6 alkylene, C4-6 alkadienylene, etc.; D = (un)substituted C1-10 alkylene, C2-10 alkenylene, etc.; E = II, III (wherein n, p = 0-3 with the proviso that n + p .ltoreq. 4; q = 2-3; R10 = H, C1-6 alkyl, OH, etc.; R11 = H, C1-6 alkyl, O; R10R11 = alkylene bridge with 1-5 carbon atoms, esp. a C1-3 alkylene bridge); G = H, SO2(CH2)rR12 (wherein R12 = H, C1-6 alkyl, C3-6 alkenyl, etc.; r = 0-3), COR15 (R15 = CF3, C1-6 alkoxy, PhCH2O, etc.), etc.], useful in the treatment of tumors or for immunosuppression, were prepd. and formulated. Thus, reaction of N-[4-(piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide with N,N-diphenylcarbamic acid chloride in the presence of Et3N in CH2Cl2 afforded 60% IV which showed IC50 of 0.001 .mu.M against HepG2 cells growth.

IT **201034-81-3P 201034-86-8P 201034-87-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridyl alkene- and pyridyl alkyne- acid amides as cytostatics and immunosuppressives)

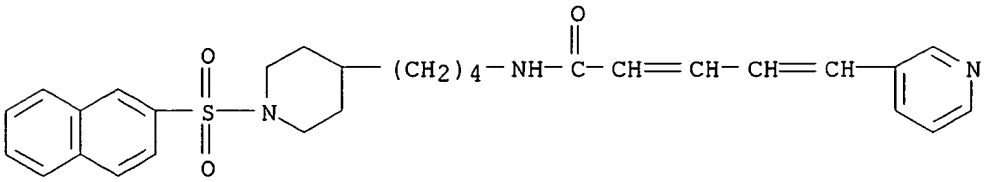
RN 201034-81-3 CAPLUS
CN 2-Propenamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 201034-86-8 CAPLUS
CN 2-Propenamide, N-[4-[1-(methylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 201034-87-9 CAPLUS
CN 2,4-Pentadienamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:31303 CAPLUS
DOCUMENT NUMBER: 128:88788
TITLE: Preparation of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748695	A1	19971224	WO 1997-EP3243	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624704	A1	19980108	DE 1996-19624704	19960620
ZA 9705439	A	19980223	ZA 1997-5439	19970619
AU 9733420	A1	19980107	AU 1997-33420	19970620
EP 934309	A1	19990811	EP 1997-929240	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512651	T2	20000926	JP 1998-502316	19970620

PRIORITY APPLN. INFO.: DE 1996-19624704 A 19960620

WO 1997-EP3243 W 19970620

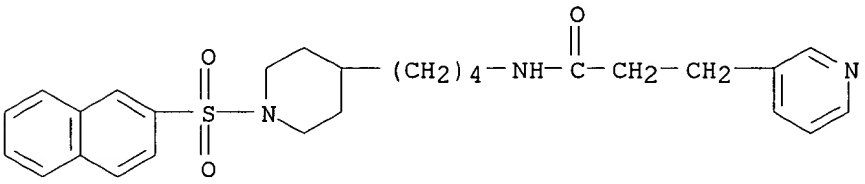
OTHER SOURCE(S): MARPAT 128:88788

AB R1ZCONR4Z1Z2R2 [I; R1 = (1-oxido)(un)substituted 3-pyridyl; R2 = H, Z3(CH2)r(CR14R15)sR13, COR16, etc.; R4 = H, alkyl, alkoxy, etc.; R13,R14 = H, alkyl, (hetero)aryl, etc.; R15 = H, OH, Me, Ph, CH2Ph; R16 = CF3, alkoxy, OCH2Ph; Z = cyclopropylene, alkylene which may be interrupted by O, CO, NH, etc.; Z1 = (un)substituted alk(en)ylene, etc.; Z2 = N-attached (un)substituted (ox)azacycloalkylene; Z3 = bond or CO; r = 0-3; s = 0 or 1] were prepd. Thus, 4-piperidinebutanol was N-alkylated by Ph2CHBr and the product converted in 2 steps to H2N(CH2)4Z2CHPh2 (Z2 = piperidine-4,1-diyl) which was amidated by 3-pyridinepropionic acid to give R1CH2CH2CONH(CH2)4Z2CHPh2 (R1 = 3-pyridyl, Z2 = piperidine-4,1-diyl). Data for biol. activity of I were given.

IT **200867-88-5P 200868-20-8P 200868-21-9P 200868-25-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants)

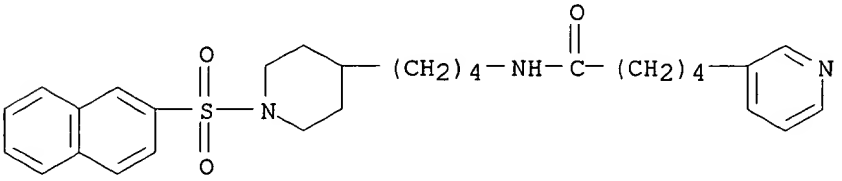
RN 200867-88-5 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



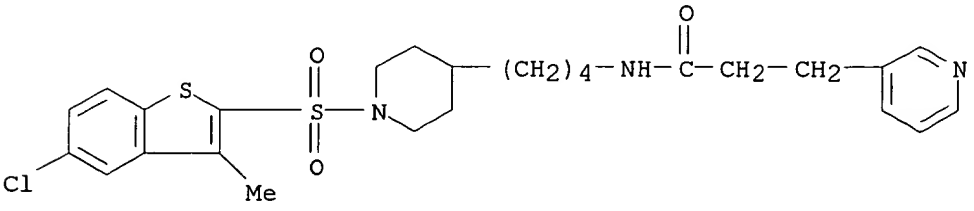
RN 200868-20-8 CAPLUS

CN 3-Pyridinepentanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



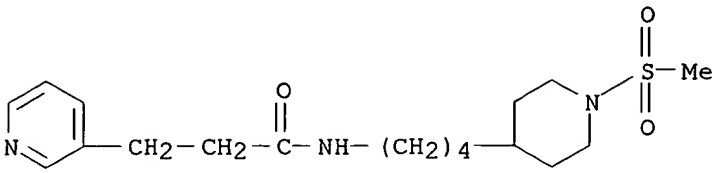
RN 200868-21-9 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 200868-25-3 CAPLUS

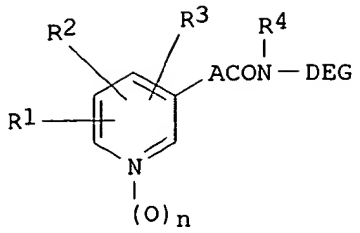
CN 3-Pyridinepropanamide, N-[4-[1-(methylsulfonyl)-4-piperidinyl]butyl]-
(9CI) (CA INDEX NAME)



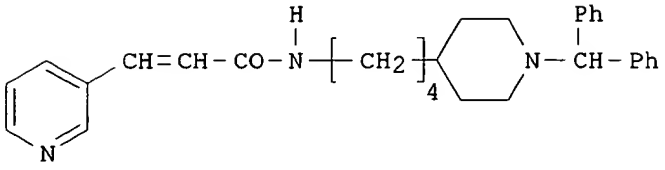
L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:28656 CAPLUS
DOCUMENT NUMBER: 128:102008
TITLE: Preparation and formulation of pyridine derivatives as antitumor agents and immunosuppressants
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748397	A1	19971224	WO 1997-EP3244	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624668	A1	19980219	DE 1996-19624668	19960620
ZA 9705443	A	19980210	ZA 1997-5443	19970619
AU 9732624	A1	19980107	AU 1997-32624	19970620
EP 912176	A1	19990506	EP 1997-928260	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512652	T2	20000926	JP 1998-502317	19970620

PRIORITY APPLN. INFO.: DE 1996-19624668 A 19960620
WO 1997-EP3244 W 19970620
OTHER SOURCE(S): MARPAT 128:102008
GI



I



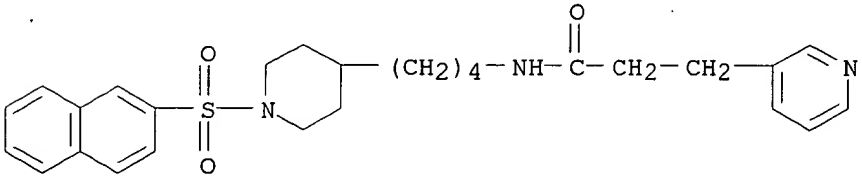
II

AB The title compd. I [R1 = H, halo, cyano, etc.; R2 = H, halo, hydroxy, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, hydroxy, benzyloxy, etc.; n = 0 or 1; A = alkylene, etc.; D = alkylene, etc.; E = piperidine ring (generic structure given), etc.; G = H, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against the WERI-Rb-1 retinoblastoma cells.

IT **200867-88-5P 200868-20-8P 200868-21-9P**
201034-81-3P 201159-70-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. as antitumor agents and immunosuppressants)

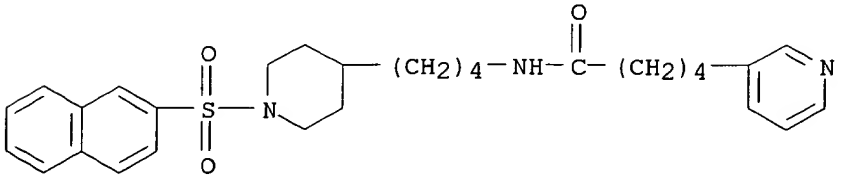
RN 200867-88-5 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 200868-20-8 CAPLUS

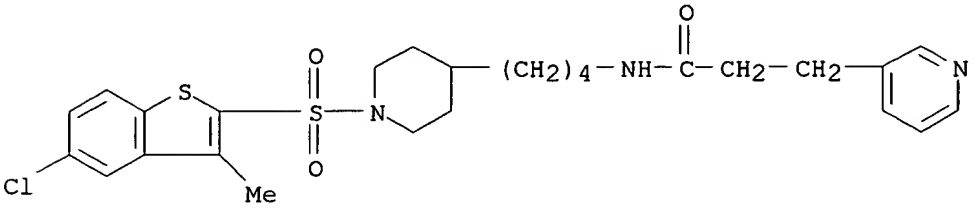
CN 3-Pyridinepentanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



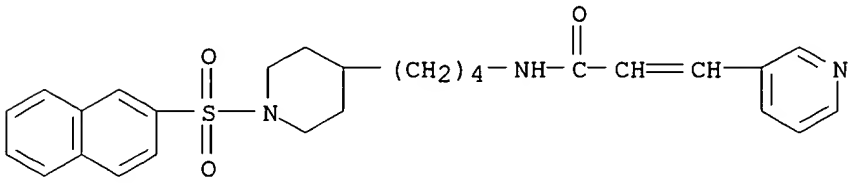
RN 200868-21-9 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-[(5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 201034-81-3 CAPLUS
CN 2-Propenamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 201159-70-8 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[1-(1-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

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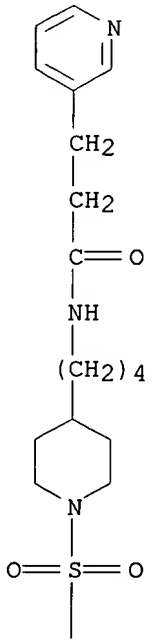

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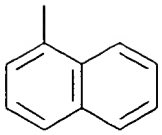
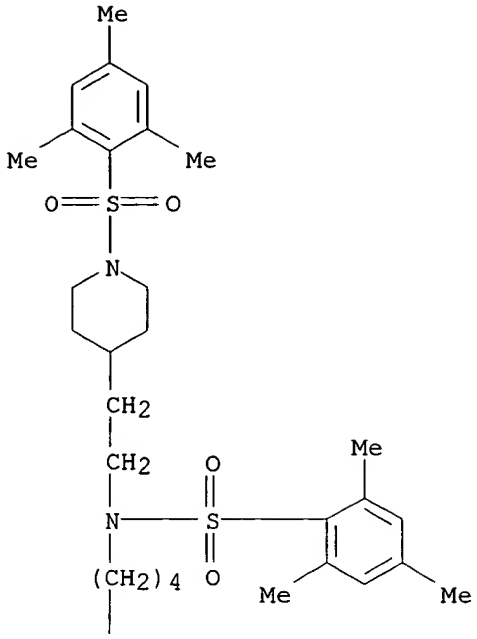


L4 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:828282 CAPLUS
Correction of: 1995:608235
DOCUMENT NUMBER: 123:313624
Correction of: 123:83087
TITLE: The role of charge in polyamine analog recognition
AUTHOR(S): Bergeron, Raymond J.; McManis, James S.; Weimar, William R.; Schreier, Kathy; Gao, Fenglan; Wu, Qianhong; Ortiz-Ocasio, Jackqueline; Luchetta, Gabriel R.; Porter, Carl; Vinson, J. R. Timothy
CORPORATE SOURCE: J. Hillis Miller Health Cent., Univ. Florida, Gainesville, FL, 32610, USA
SOURCE: J. Med. Chem. (1995), 38(13), 2278-85
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Analogs and homologs of N1,N12-diethylspermine (I) were prepd. and their biol. properties were evaluated. These tetraamines included N1,N12-bis(trifluoroethyl)spermine (II), N,N'-bis(4-piperidinylmethyl)-1,4-diaminobutane (III), N,N'-bis[2-(4-piperidinyl)ethyl]-1,4-diaminobutane (IV), N,N'-bis(4-pyridylmethyl)-1,4-diaminobutane (V), N,N'-bis[2-(4-pyridyl)ethyl]-1,4-diaminobutane (VI). The analogs II, III, and V have distances between their nitrogen atoms almost identical to those of I. The longer analogs IV and VI are very similar in the spacing of their amino groups. However, the pKa of the nitrogens in the groups differ; thus the extent of protonation and the charge characteristics among the members of the groups differ. A comparison of the biol. properties of these compds. demonstrates that the tetraamines must be charged to be "recognized" by the cell. Analogs with low nitrogen pKa's such that the nitrogens are poorly protonated at physiol. pH do not compete well with spermidine for uptake and, as expected, have high 96 h IC50 values and have little effect on S-adenosylmethionine decarboxylase, ornithine decarboxylase, and spermidine/spermine N1-acetyltransferase activities and on intracellular polyamine pools.

IT **165288-20-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(effect of protonation on cell recognition of diethylspermine analogs)
RN 165288-20-0 CAPLUS
CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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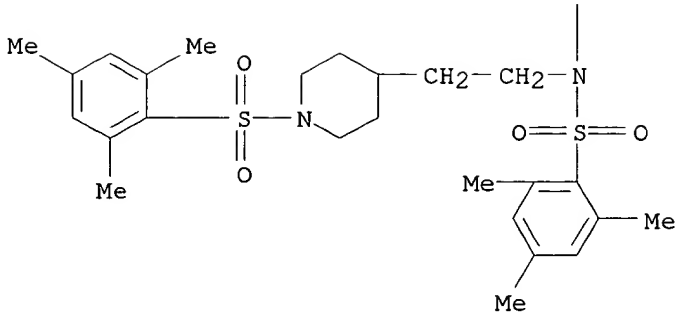
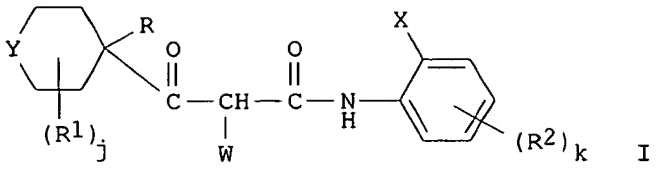


L4 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:716906 CAPLUS
DOCUMENT NUMBER: 123:97798
TITLE: Color photographic material
INVENTOR(S): Kaneko, Yutaka; Asatake, Atsushi; Sugino, Motoaki
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 56 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07128820	A2	19950519	JP 1993-270871	19931028

GI



I

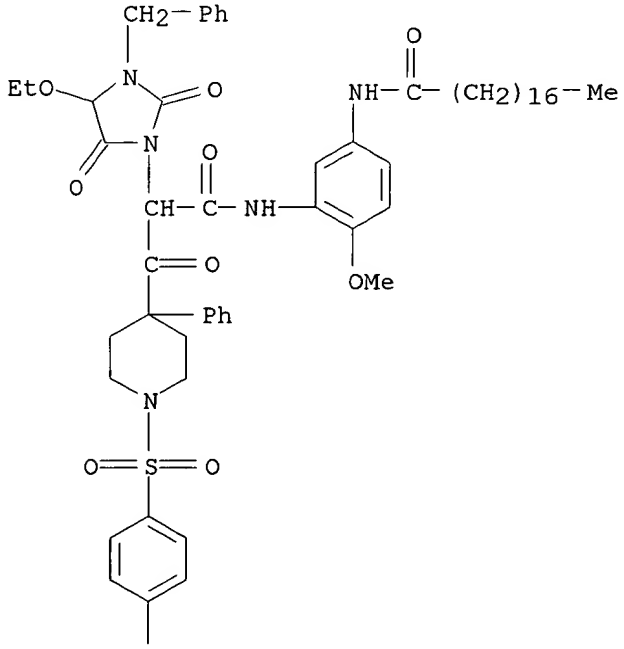
AB In the title color photog. material comprising .gtoreq.1 Ag halide emulsion layers on its support, .gtoreq.1 of the emulsion layers contains an acylacetoamide yellow coupler such as I (R = H, aliph., arom., heterocyclic group; R1 = aliph., arom., heterocyclic group; j = 0-8; R2, X = benzene ring substituent group; k = 0-4; Y = O, NR3, S(O)1; R3 = H, aliph., arom., or heterocyclic group, sulfonyl, sulfinyl, phosphonyl, acyl, oxycarbonyl, carbamoyl, sulfamoyl; 1 = 0-2; W = H, group releasable on coupling reaction with oxidized developing agent). This photog. material shows high color d. and low fog level.

IT **165740-82-9 165740-84-1**
RL: DEV (Device component use); USES (Uses)
(yellow photog. coupler)

RN 165740-82-9 CAPLUS

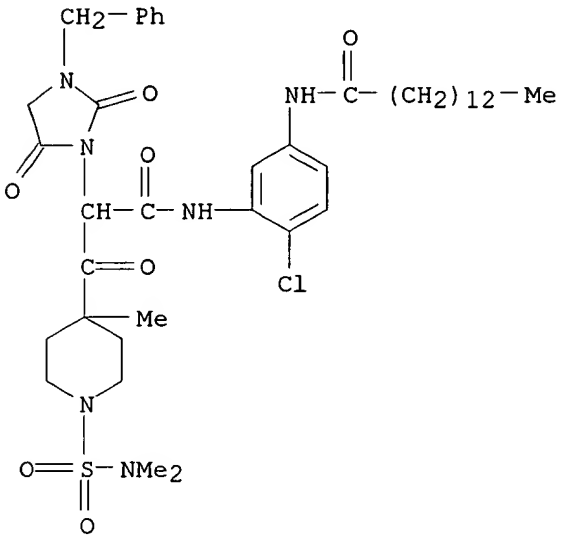
CN 1-Imidazolidineacetamide, 4-ethoxy-N-[2-methoxy-5-[(1-oxooctadecyl)amino]phenyl]-.alpha.-[[1-[(4-methylphenyl)sulfonyl]-4-phenyl-4-piperidinyl]carbonyl]-2,5-dioxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



Me

RN 165740-84-1 CAPLUS
CN 4-Piperidinepropanamide, N-[2-chloro-5-[(1-oxotetradecyl)amino]phenyl]-1-[(dimethylamino)sulfonyl]-.alpha.-[2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]-4-methyl-.beta.-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:608235 CAPLUS
DOCUMENT NUMBER: 123:83087
TITLE: The Role of Charge in Polyamine Analog Recognition
AUTHOR(S): Bergeron, Raymond J.; McManis, James S.; Weimar, William R.; Schreier, Kathy; Gao, Fenglan; Wu, Qianhong; Ortiz-Ocasio, Jackqueline; Luchetta, Gabriel R.; Porter, Carl
CORPORATE SOURCE: J. Hillis Miller Health Center, University of Florida, Gainesville, FL, 32610, USA
SOURCE: J. Med. Chem. (1995), 38(13), 2278-85
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of analogs and homologues of N1,N12-diethylspermine (I) were synthesized, and their biol. properties were evaluated. These tetraamines include N1,N12-bis(2,2,2-trifluoroethyl)spermine (II), N,N'-bis(4-piperidinylmethyl)-1,4-diaminobutane (III), N,N'-bis[2-(4-piperidinylethyl)]-1,4-diaminobutane (IV), N,N'-bis(4-pyridylmethyl)-1,4-diaminobutane (V), and N,N'-bis[2-(4-pyridylethyl)]-1,4-diaminobutane (VI). The analogs II, III, V have distances between their nitrogen atoms almost identical to those of I. The longer analogs IV and VI are very similar in the spacing of their amino groups. However, the pKa of the nitrogens in the groups differ; thus, the extent of protonation and the charge characteristics among the members of the groups differ. A comparison of the biol. properties of these compds. clearly demonstrates that the tetraamines must be charged to

be "recognized" by the cell. Analogs with low nitrogen pKa's such that the nitrogens are poorly protonated at physiol. pH do not compete well with spermidine for uptake and, as expected, have high 96 h IC50 values and have little effect on S-adenosylmethionine decarboxylase, ornithine decarboxylase, and spermidine/spermine N1-acetyltransferase activities and on intracellular polyamine pools.

IT **165288-20-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(effect of protonation on the recognition of diethylspermine analogs)

RN 165288-20-0 CAPLUS

CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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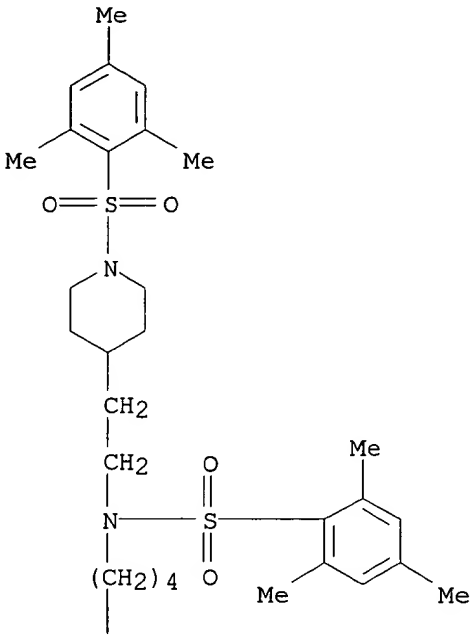

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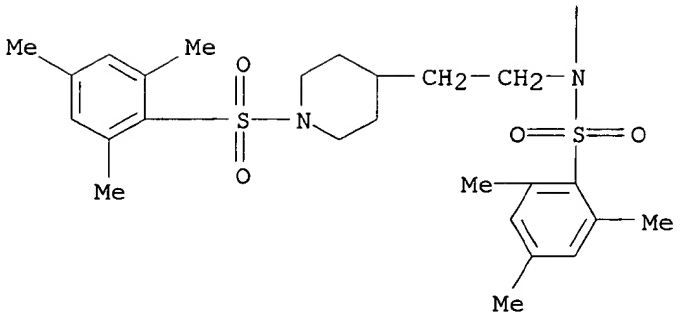
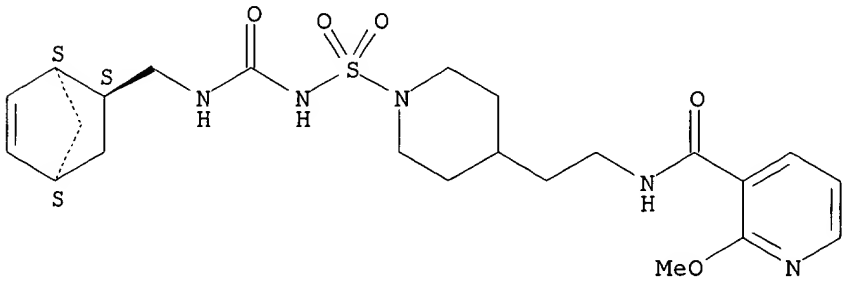


DOCUMENT NUMBER: 122:204545
TITLE: Pharmacological studies of the two new hypoglycemic compounds 4-(3-methyl-5-oxo-2-pyrazolin-1-yl)benzoic acid and 1-(mesitylen-2-sulfonyl)-1H-1,2,4-triazole
AUTHOR(S): Anton-Fos, G. M.; Garcia-Domenech, R.; Perez-Gimenez, F.; Peris-Ribera, J. E.; Garcia-March, F. J.; Salabert-Salvador, M. T.
CORPORATE SOURCE: Dep. Chem. Physics, Univ. Valencia, Spain
SOURCE: Arzneim.-Forsch. (1994), 44(7), 821-6
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New compds. showing hypoglycemic activity have been designed through a computer-aided method based on QSAR (quant. structure activity relationship) and mol. connectivity. The pharmacol. tests carried out on the newly designed compds. demonstrated the existence of notable activity for two of them, namely: 4-(3-methyl-5-oxo-2-pyrazolin-1-yl) benzoic acid and 1-(mesitylen-2-sulfonyl)-1H-1,2,4-triazole. Both substances mainly follow a mechanism based on the response to the oral glucose overcharge, decreasing the glycemia to lower levels as compared with tolbutamide, which is used as a std. drug, and reaching normal glycemia at a similar time.

IT **51876-98-3**, Gliamilide
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. studies of the two new hypoglycemic compds. (methyloxopyrazolinyl)benzoic acid and (mesitylensulfonyl)triazole as designed by QSAR)
RN 51876-98-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:270075 CAPLUS
DOCUMENT NUMBER: 120:270075
TITLE: Preparation of aminoalkenecarboxylic acid derivatives and their pharmaceutical compositions as thromboxane A2 receptor antagonists
INVENTOR(S): Lavielle, Gilbert; Hautefaye, Patrick; Laubie, Michel; Verbeuren, Tony
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 570263	A1	19931118	EP 1993-401174	19930507
EP 570263	B1	19971203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2691146	A1	19931119	FR 1992-5905	19920515
FR 2691146	B1	19980102		
AT 160771	E	19971215	AT 1993-401174	19930507
ES 2112404	T3	19980401	ES 1993-401174	19930507
CA 2096293	AA	19931116	CA 1993-2096293	19930514
CA 2096293	C	19990323		
AU 9338555	A1	19931118	AU 1993-38555	19930514
AU 661576	B2	19950727		
ZA 9303380	A	19931210	ZA 1993-3380	19930514
JP 06041052	A2	19940215	JP 1993-113226	19930514
JP 2506261	B2	19960612		
US 5436343	A	19950725	US 1993-62080	19930514

PRIORITY APPLN. INFO.: FR 1992-5905 19920515
OTHER SOURCE(S): MARPAT 120:270075

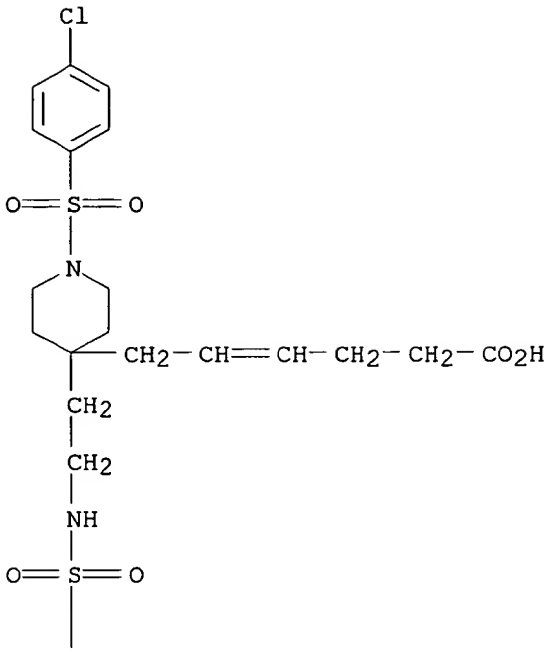
AB Title compds. R3NH(CH2)nCR1R2(CH2)mR4 [I; R1, R2 = C1-6 alkyl or alkoxy, (substituted) Ph, OH, trihalomethyl, pyridinyl, thienyl; R1R2 form with the attached carbon a (substituted) C4-7 cycloalkyl, C4-7 benzocycloalkyl, (substituted) 4-piperidinyl; R3 = PhSO2 optionally substituted on Ph by C1-6 alkyl, naphthylsulfonyl, C1-6 alkyl, alkylaminocarbonyl, C1-6 acyl; R4 = CH:CH(CH2)pCO2H or CH2CH2(CH2)pCO2H (p = 0-3); n, m = 0-2], their isomers and salts, are prepd. as thromboxane A2 receptor antagonists and as inhibitors of thromboxane A2 synthase. Preparative methods are delineated for compds. I starting from either R1CHR2CHCN or R1CHR2CO2Et. Thus, 1-(2,2-dimethoxyethyl)cyclopentanecarbonitrile (prepn. given) was converted to sodium (4Z)-8-[(4-chlorophenyl)sulfonamido]-7,7-tetramethylene-4-octenoate in 5 steps. The thromboxane A2 receptor antagonist activity of compds. I (8 examples) was expressed as IC50 of inhibition of platelet aggregation in humans induced by U46619 and was in the range 8.10 .times. 10-8 to 8.10 .times. 10-7 M. Pharmaceutical compns. of compds. I are claimed (1 example).

IT **154678-56-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as thromboxane A2 receptor antagonist and inhibitor of thromboxane A2 synthase)

RN 154678-56-5 CAPLUS

CN 4-Hexenoic acid, 6-[1-[(4-chlorophenyl)sulfonyl]-4-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-4-piperidinyl]-, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



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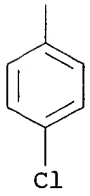


● Na

L4 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2002 ACS

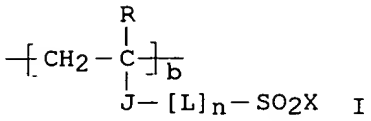
ACCESSION NUMBER:	1991:72222 CAPLUS
DOCUMENT NUMBER:	114:72222
TITLE:	Silver halide photographic material containing polymeric hardening agent
INVENTOR(S):	Tachibana, Noriki; Ueda, Eiichi; Kagawa, Nobuaki
PATENT ASSIGNEE(S):	Konica Co., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 63049753 A2 19880302 JP 1986-194908 19860820

GI



I

AB At least one of nonphotosensitive layers and Ag halide emulsion layers contains a polymer film-hardening agent from a monomer unit at 0-99 mol% and I (R = H, C1-6 alkyl, halo; J = COO, COR1N; R1 = H, C1-6 alkyl; L = C3-15 divalent bonding group contg. COR2N, NR2, SO2NR2, NR2CONR2, R2NCO, N-contg. C1-12 cyclyl; R2 = C6-12 aryl; X = CH=CH2, CH2CH2-Q; Q = moiety substituted by nucleophilic moiety or released as HQ by base; b = mol fraction, 1 .ltoreq. b .ltoreq. 100; and n = 0, 1).

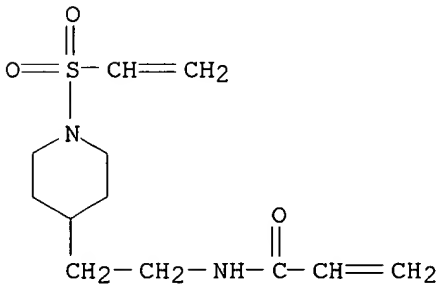
IT **131789-16-7 131789-20-3**
RL: USES (Uses)
(photog. film-hardening agent)

RN 131789-16-7 CAPLUS

CN 2-Propenoic acid, polymer with N-[2-[1-(ethenylsulfonyl)-4-piperidinyl]ethyl]-2-propenamide and methyl 2-propenoate (9CI) (CA INDEX NAME)

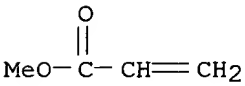
CM 1

CRN 124517-58-4
CMF C12 H20 N2 O3 S



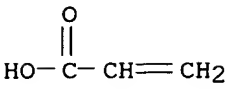
CM 2

CRN 96-33-3
CMF C4 H6 O2



CM 3

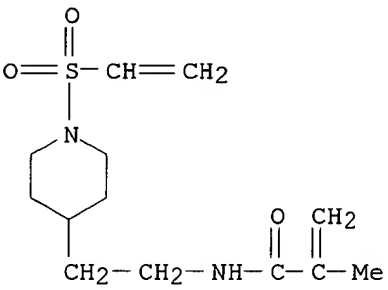
CRN 79-10-7
CMF C3 H4 O2



RN 131789-20-3 CAPLUS
CN 2-Propenoic acid, 3-sulfopropyl ester, potassium salt, polymer with N-[2-[1-(ethenylsulfonyl)-4-piperidinyl]ethyl]-2-methyl-2-propenamide (9CI) (CA INDEX NAME)

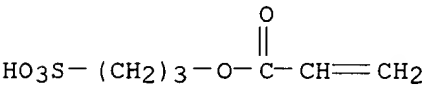
CM 1

CRN 131789-19-0
CMF C13 H22 N2 O3 S



CM 2

CRN 31098-20-1
CMF C6 H10 O5 S . K



L4 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:45608 CAPLUS
DOCUMENT NUMBER: 112:45608
TITLE: Silver halide photographic material with improved hardening agent
INVENTOR(S): Akyama, Takeo
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01197741	A2	19890809	JP 1988-22599	19880201

AB The material comprises a photog. layer contg. .gtoreq.1 light-sensitive Ag halide emulsion layer and .gtoreq.1 light-nonsensitive layer with pH .gtoreq.6.5 on a substrate, of which .gtoreq.1 layer is hardened by a photog. hardening agent selected from a vinylsulfon, a halogeno-s-triazine, and a polymer. A photog. material using a protective layer of pH 7.2 and contg. EtC(CH2SO2CH=CH2)3 as a hardening agent showed excellent fog resistance, sensitivity, scratch resistance, and swelling for 3 days.

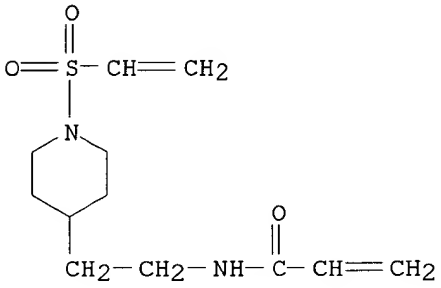
IT **124517-59-5**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. hardening agent, for scratch resistance)

RN 124517-59-5 CAPLUS

CN 2-Propenoic acid, polymer with ethenyl acetate and N-[2-[1-(ethenylsulfonyl)-4-piperidinyl]ethyl]-2-propenamide (9CI) (CA INDEX NAME)

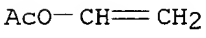
CM 1

CRN 124517-58-4
CMF C12 H20 N2 O3 S



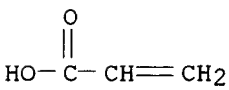
CM 2

CRN 108-05-4
CMF C4 H6 O2



CM 3

CRN 79-10-7
CMF C3 H4 O2

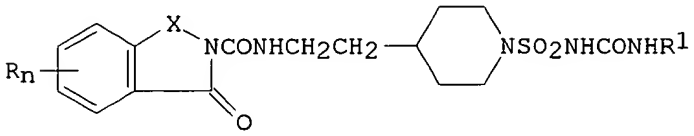


L4 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1981:550450 CAPLUS
DOCUMENT NUMBER: 95:150450
TITLE: 1-Piperidinesulfonylurea
INVENTOR(S): Hitzel, Volker; Weyer, Rudi; Geisen, Karl; Regitz, Guenter
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2948434	A1	19810611	DE 1979-2948434	19791201
EP 30650	A1	19810624	EP 1980-107305	19801124
R: AT, BE, CH, DE, FR, GB, IT, NL				
ES 497100	A1	19811001	ES 1980-497100	19801125
US 4315940	A	19820216	US 1980-211331	19801126
JP 56090086	A2	19810721	JP 1980-168167	19801201

PRIORITY APPLN. INFO.: DE 1979-2948434 19791201
GI



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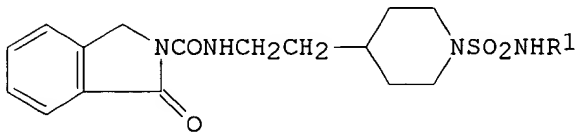
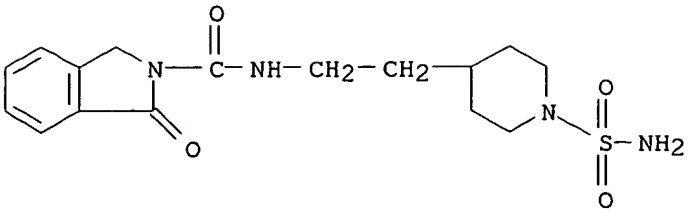


II

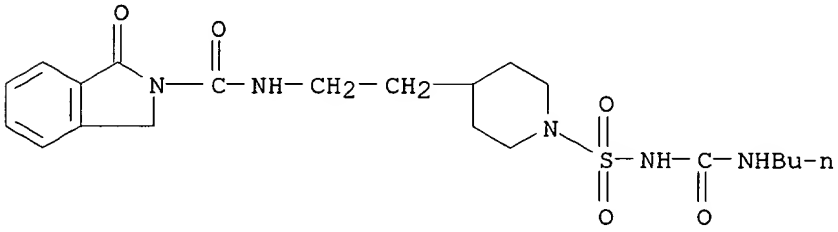
AB The antidiabetic (no data) compds. I (n = 1, 2; X = CH2, CH2CH2, CHMe; R = H, alkyl, alkoxy; R1 = optionally substituted mono- or polycycloaliph., cycloalkylalkyl, PhCH2) and their salts were prepd. Thus, II (R1 = H), prepd. in 3 steps from 2-(chlorocarbonyl)-1-isoindolinone, reacted with cyclohexyl isocyanate in Me2CO contg. potash to give II (R1 = cyclohexylcarbamoyl).
IT **79111-32-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with cyclohexyl isocyanate)
RN 79111-32-3 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



IT **79111-35-6P 79111-36-7P 79111-37-8P**
79111-38-9P 79111-39-0P 79111-40-3P
79111-41-4P 79111-42-5P 79111-43-6P
79111-44-7P 79111-45-8P 79111-46-9P
79111-47-0P 79111-48-1P 79111-49-2P
79111-50-5P 79111-51-6P 79111-53-8P
79123-45-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

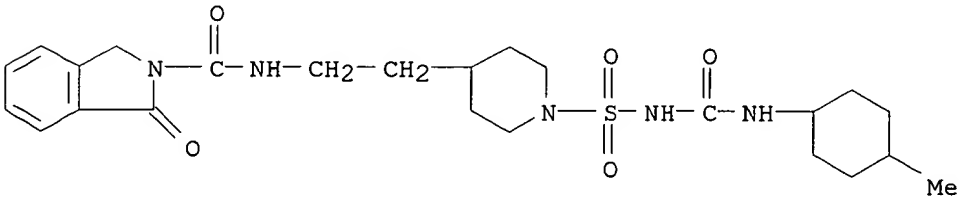
RN 79111-35-6 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(butylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



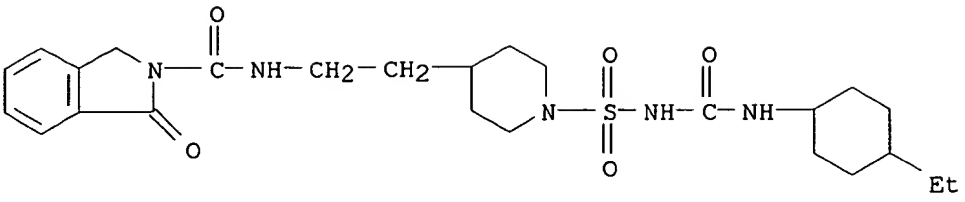
RN 79111-36-7 CAPLUS

CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-N-[2-[1-[[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-oxo- (9CI) (CA INDEX NAME)

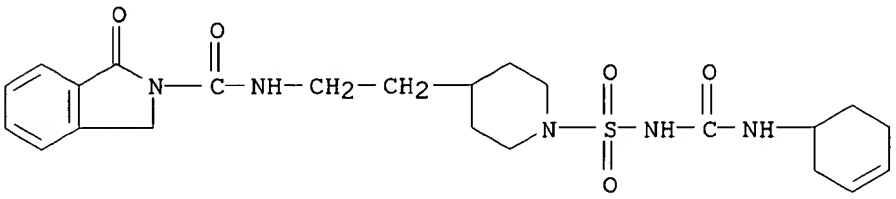


RN 79111-37-8 CAPLUS

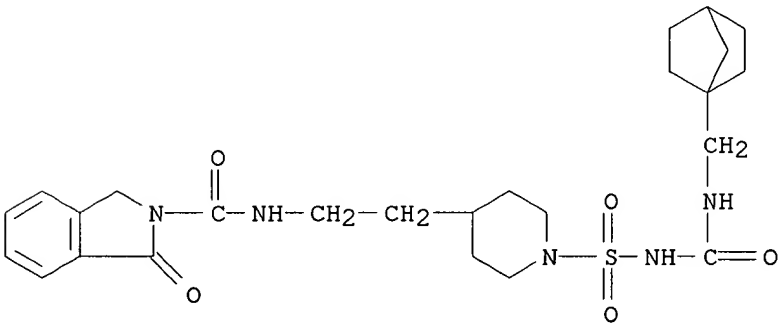
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[[(4-ethylcyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



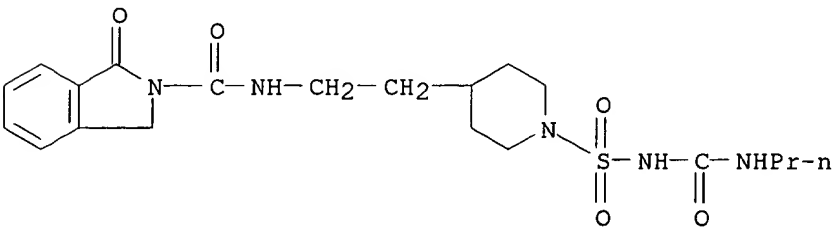
RN 79111-38-9 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(3-cyclohexen-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 79111-39-0 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-1-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)

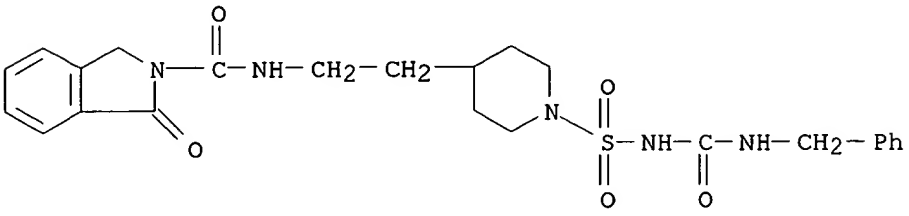


RN 79111-40-3 CAPLUS
CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-1-oxo-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

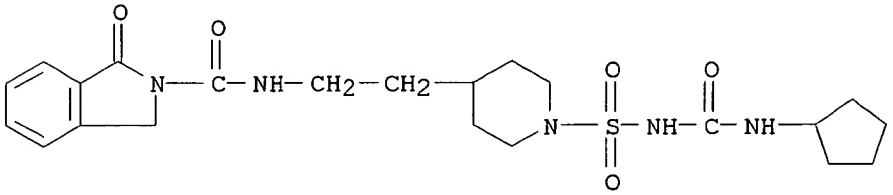


RN 79111-41-4 CAPLUS

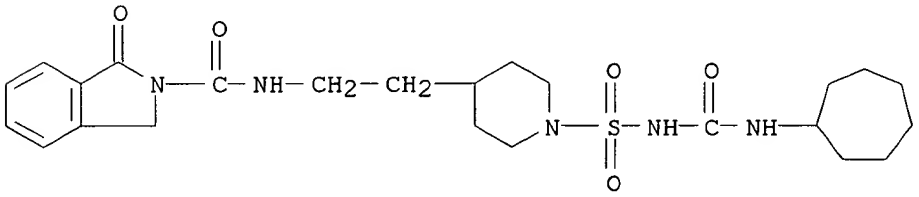
CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-1-oxo-N-[2-[1-[[[[(phenylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)



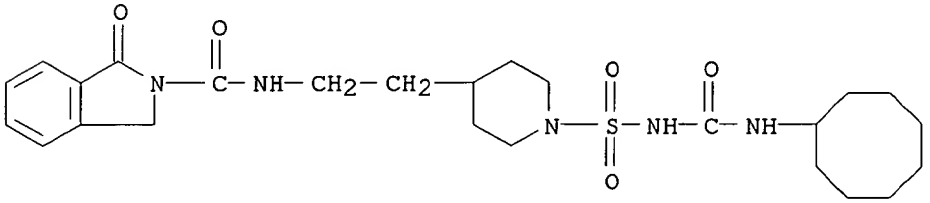
RN 79111-42-5 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclopentylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



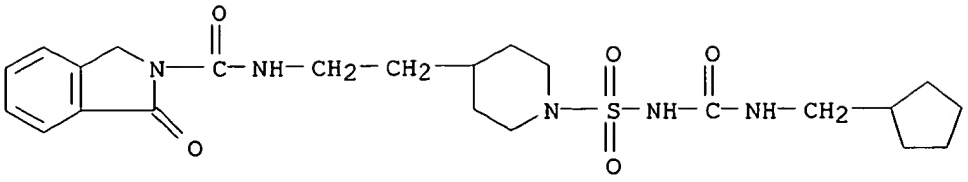
RN 79111-43-6 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cycloheptylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



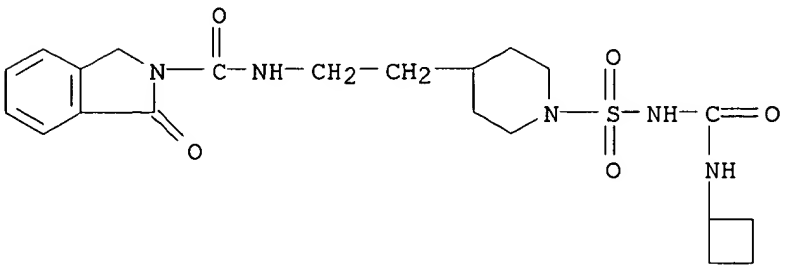
RN 79111-44-7 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclooctylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



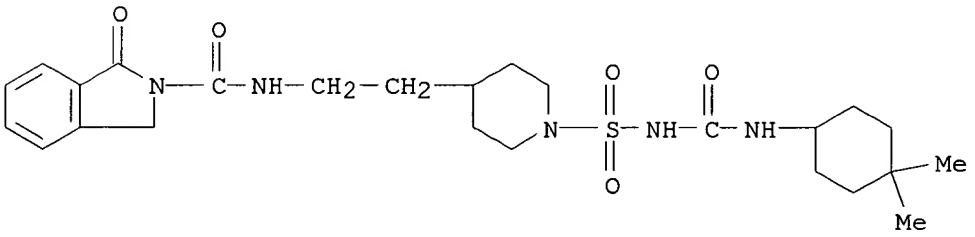
RN 79111-45-8 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[[(cyclopentylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



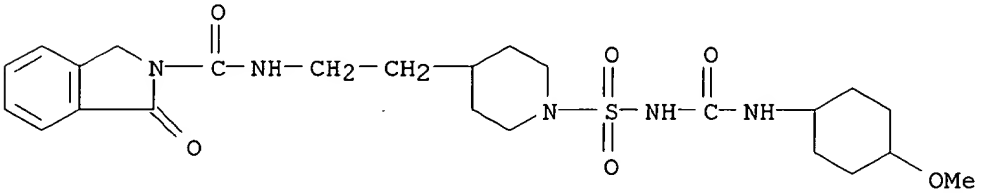
RN 79111-46-9 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclobutylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



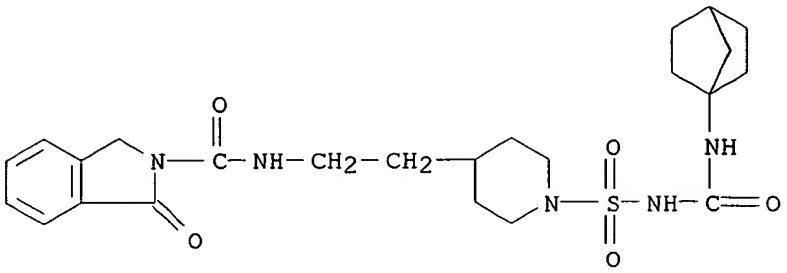
RN 79111-47-0 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[[(4,4-dimethylcyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



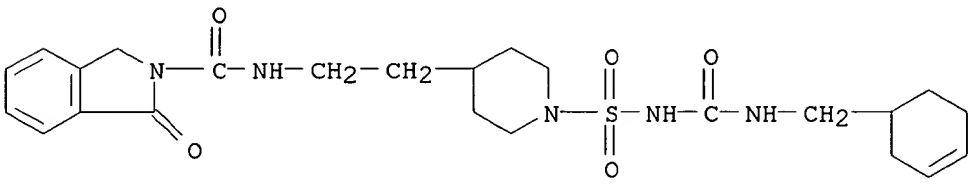
RN 79111-48-1 CAPLUS
CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-N-[2-[1-[[[[(4-methoxycyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-oxo- (9CI) (CA INDEX NAME)



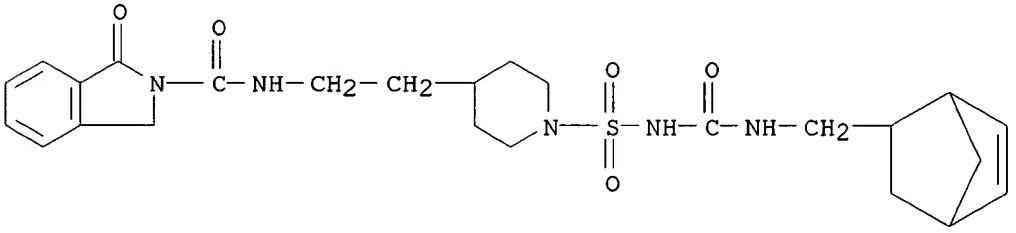
RN 79111-49-2 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



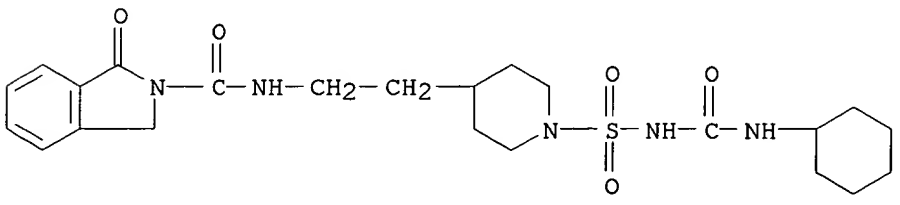
RN 79111-50-5 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[[(3-cyclohexen-1-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



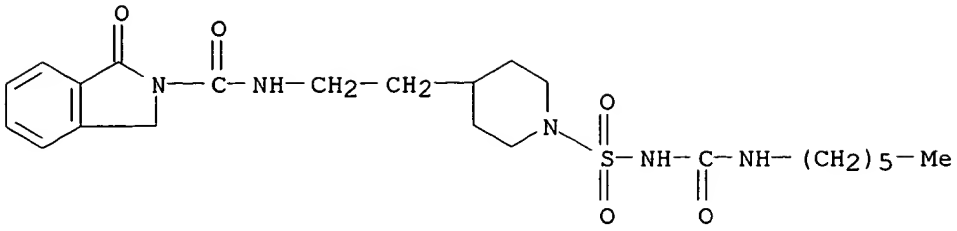
RN 79111-51-6 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



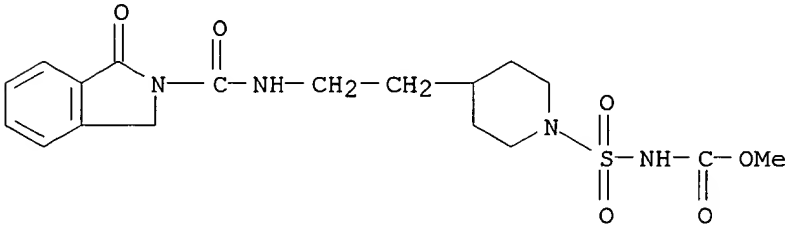
RN 79111-53-8 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 79123-45-8 CAPLUS
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(hexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



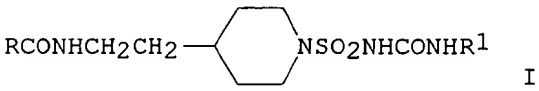
IT **79111-52-7**
RL: RCT (Reactant)
(reaction of, with methoxycyclohexylamine)
RN 79111-52-7 CAPLUS
CN Carbamic acid, [[4-[2-[[(1,3-dihydro-1-oxo-2H-isoindol-2-yl)carbonyl]amino]ethyl]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:76301 CAPLUS
DOCUMENT NUMBER: 92:76301
TITLE: 1-Piperidinesulfonyl ureas
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Rom., 12 pp.
CODEN: RUXXA3
DOCUMENT TYPE: Patent
LANGUAGE: Romanian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RO 63498	P	19780915	RO 1974-77750	19740220

GI



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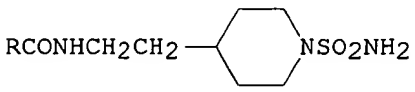


II

AB Ureas I [R = 2-methoxy- or 2-ethoxy-3-pyridyl, 4-chloro-2-pyridyl,

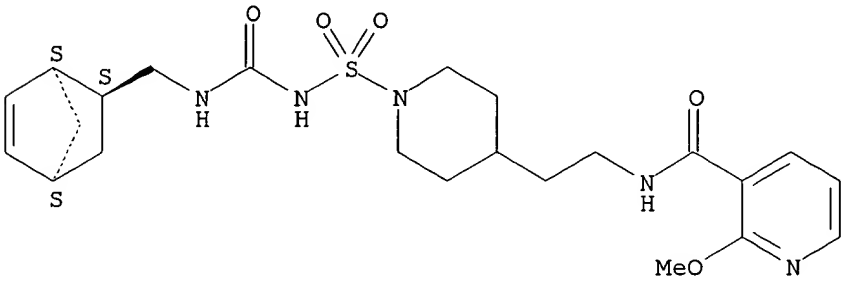
8-quinolyl; R1 = bicyclo[2.2.1]hept-5-en-endo-2-ylmethyl, bicyclo[2.2.1]hept-endo-2-ylmethyl, 7-oxabicyclo[2.2.1]hept-2-ylmethyl, 1-adamantyl, cyclohexyl], which exhibited anticholesteremic activity, were prepd. from the resp. sulfonamides II and R1NCO and R1NHCON(R2)2 (R2 = aryl). The reaction of II (R = 2-methoxy-3-pyridyl) with NaH and R1NHCONPh2 [R1 = bicyclo[2.2.1]hept-5-en-endo-2-ylmethyl] in DMF at .ltoreq.70.degree. gave the resp. I.

IT **51876-98-3P 53750-72-4P 53750-73-5P**
53750-74-6P 53750-75-7P 53750-76-8P
53750-77-9P 53751-32-9P 53751-33-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticholesteremic activity of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

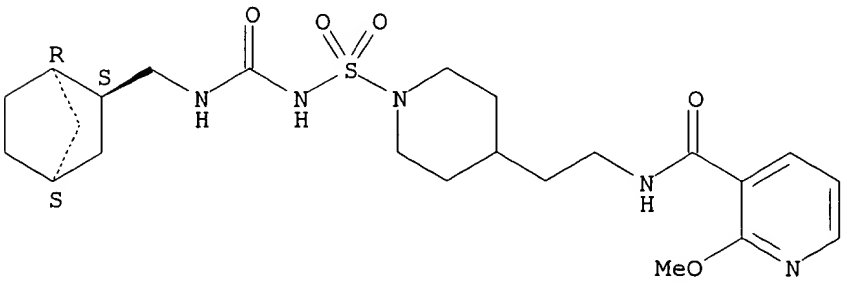
Relative stereochemistry.



RN 53750-72-4 CAPLUS

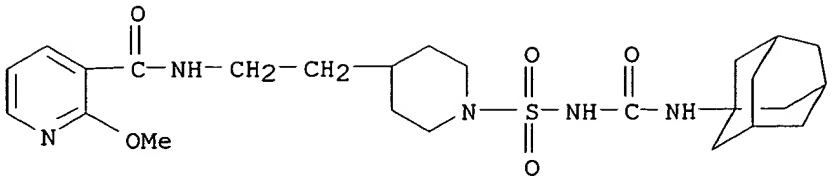
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



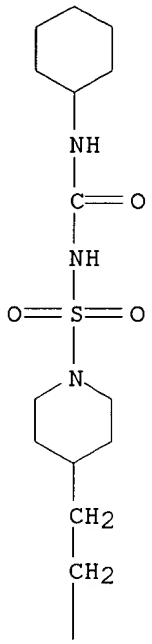
RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

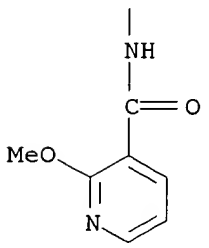


RN 53750-74-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

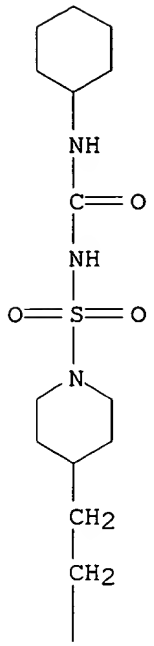


PAGE 2-A

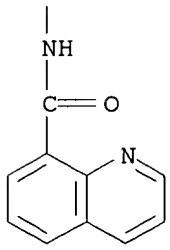


RN 53750-75-7 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

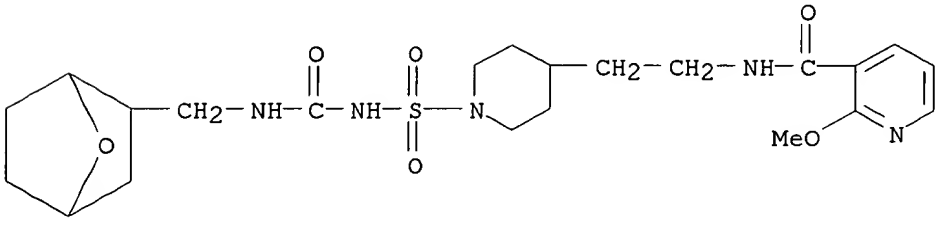
PAGE 1-A



PAGE 2-A

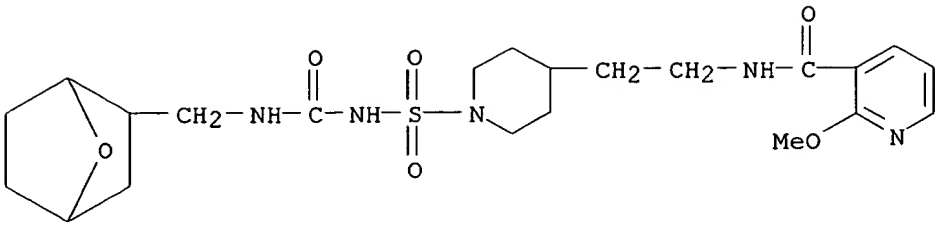


RN 53750-76-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



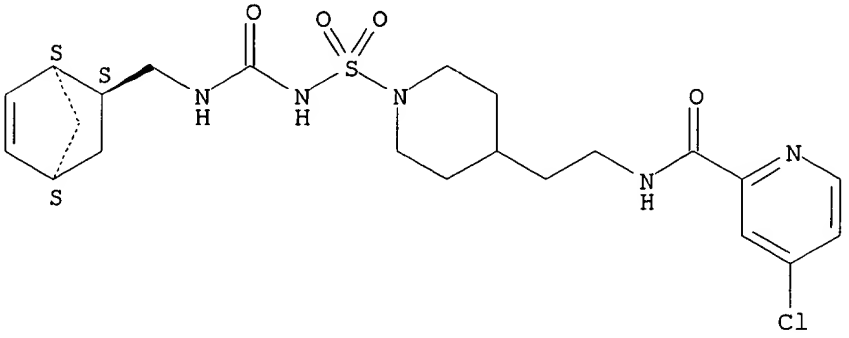
RN 53750-77-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

(CA INDEX NAME)



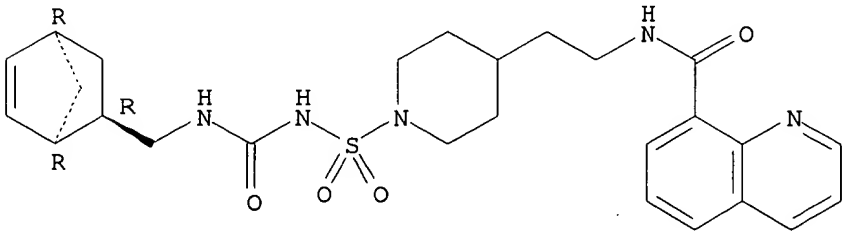
RN 53751-32-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

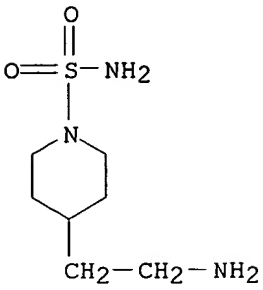


RN 53751-33-0 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

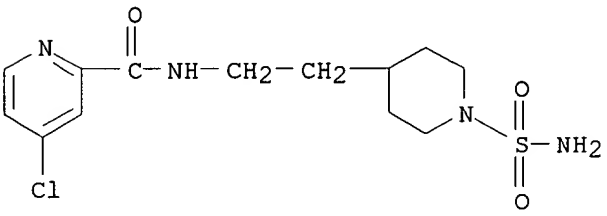


IT **53750-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-acylation of, by pyridinecarbonyl chlorides)
RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

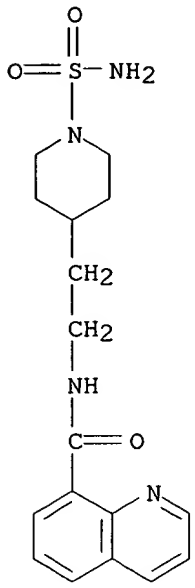


● HCl

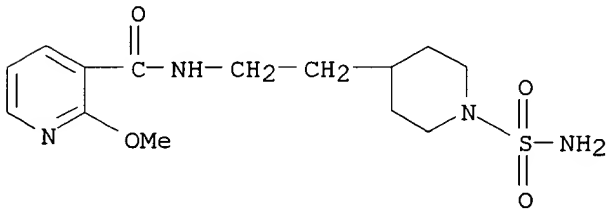
IT **53750-70-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-carbamoylation of, by urea deriv.)
RN 53750-70-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



IT **53750-71-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-carbamoylation of, by ureas)
RN 53750-71-3 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

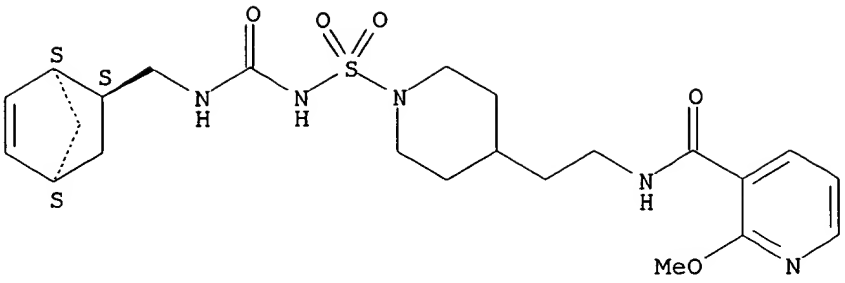


IT **53750-69-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-carbamoylation of, by ureas and org. isocyanates)
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



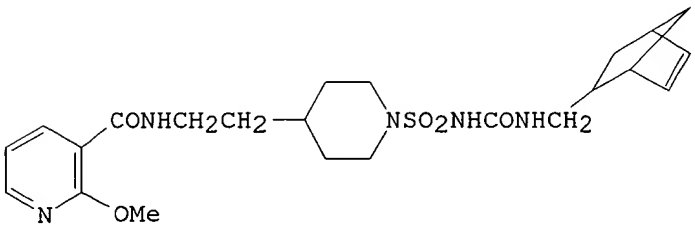
IT **53750-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53750-78-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

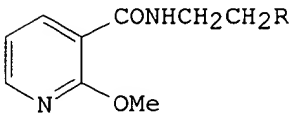


● Na

L4 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:6198 CAPLUS
DOCUMENT NUMBER: 90:6198
TITLE: An improved synthesis of Gliamilide, a high-potency sulfamylurea hypoglycemic agent
AUTHOR(S): Kuhla, Donald E.; Sarges, Reinhard; Barth, Wayne E.
CORPORATE SOURCE: Cent. Res., Pfizer Inc., Groton, Conn., USA
SOURCE: J. Heterocycl. Chem. (1978), 15(4), 565-7
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

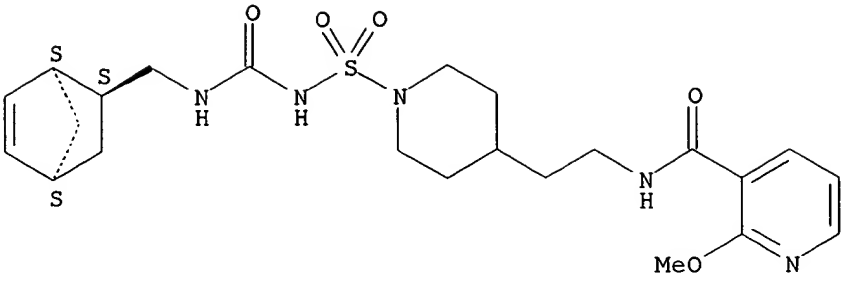


II

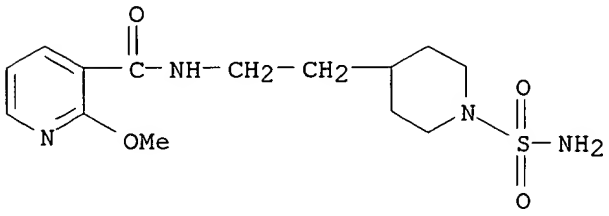
AB An efficient prepn. of Gliamilide (I) involved as a key feature the selective hydrogenation of the more basic alkyl substituted pyridine ring in I.HCl (R = 4-pyridinyl) to form I (R = 4-piperidinyl) without affecting the 2-methoxynicotinamide moiety.

IT **51876-98-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(improved synthesis of)
RN 51876-98-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **53750-69-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

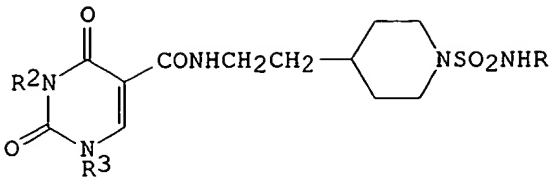


L4 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:155684 CAPLUS
DOCUMENT NUMBER: 86:155684
TITLE: 4-[2-(1,3-Dialkyl-1,2,3,4-tetrahydropyrimidine-2,4-dione-5-carboxamido)ethyl]-1-piperidine sulfonamide
INVENTOR(S): Wiedermann, Hans E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 8 pp. Division of U.S. 3,936,445.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3998828	A	19761221	US 1975-629771	19751107
US 3936455	A	19760203	US 1975-546003	19750131
US 4052507	A	19771004	US 1976-726126	19760924

PRIORITY APPLN. INFO.: US 1975-546003 19750131
US 1975-629771 19751107

GI



I, R=CONHR[1]

II, R=H

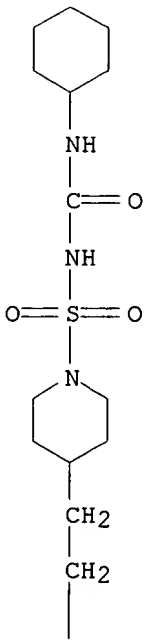
AB The title compds. I (R1 = endo-bicyclo[2.2.1]hept-2-ylmethyl, cyclohexyl, 1-adamantyl, endo-7-oxabicyclo[2.2.1]hept-2-ylmethyl, R2 = R3 = Me, R2 = Pr, R3 = Et) were prepd. from II. Thus, 1,3-dimethyl-2,5-dioxo-1,2,3,4-tetrahydro-5-pyrimidinecarbonyl chloride was treated with 4-(2-aminoethyl)-1-piperidinesulfonamide-HCl, prepd. from 4-(2-phthalimidoethyl)pyridine, to give II (R2 = R3 = Me), which was treated with 1,1-diphenyl-3-(endo-bicyclo[2.2.1]hept-5-en-2-ylmethyl)urea and NaH followed by hydrogenation to give I (R1 = endo-bicyclo[2.2.1]hept-2-yl, R2 = R3 = Me) (III). At 5.0 mg/kg III reduced blood sugar in rats by 19%.

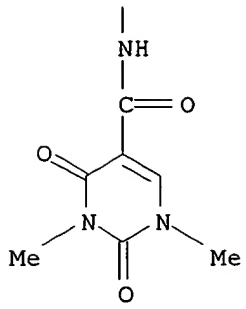
IT **58603-22-8P 58603-70-6P 58603-72-8P**
58603-77-3P 59077-17-7P 59077-18-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypoglycemic activity of)

RN 58603-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

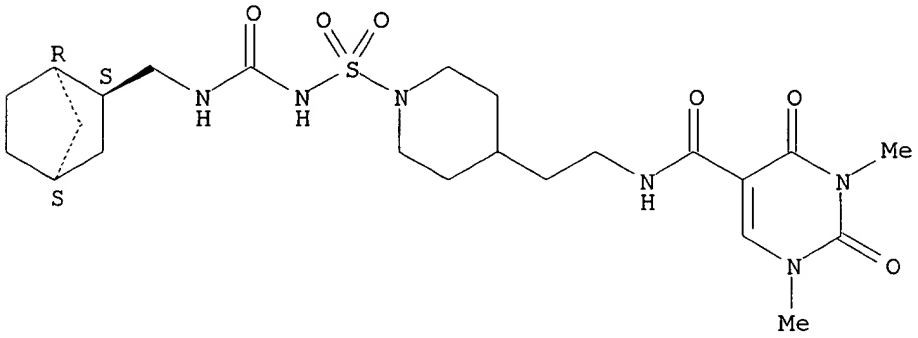
PAGE 1-A





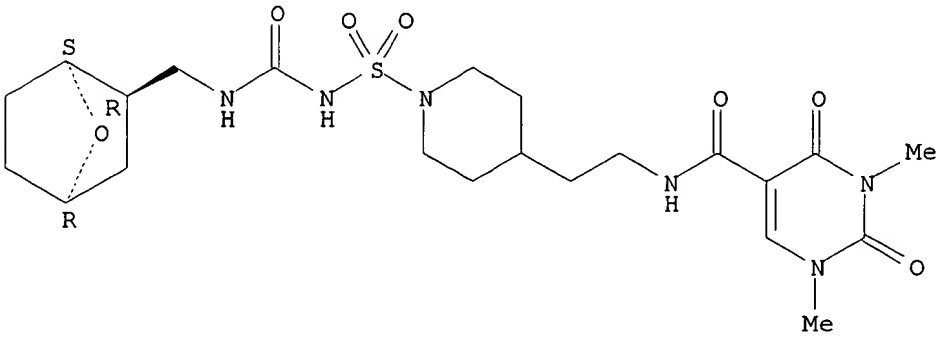
RN 58603-70-6 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

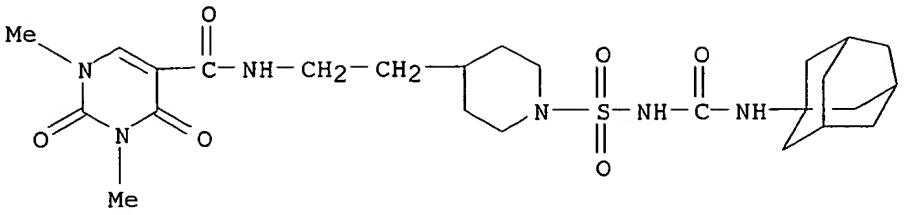


RN 58603-72-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

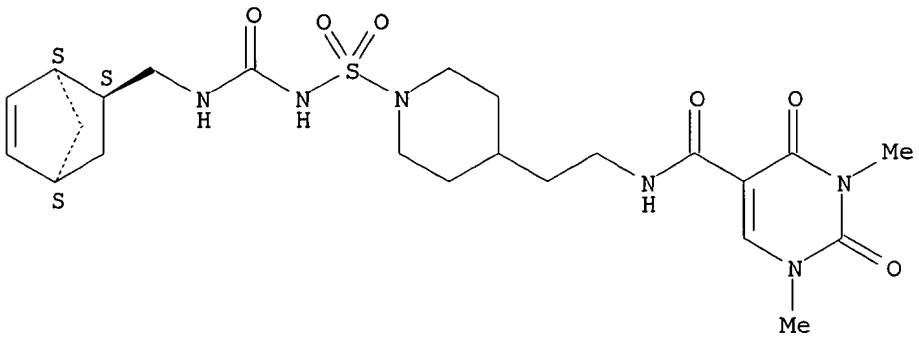


RN 58603-77-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



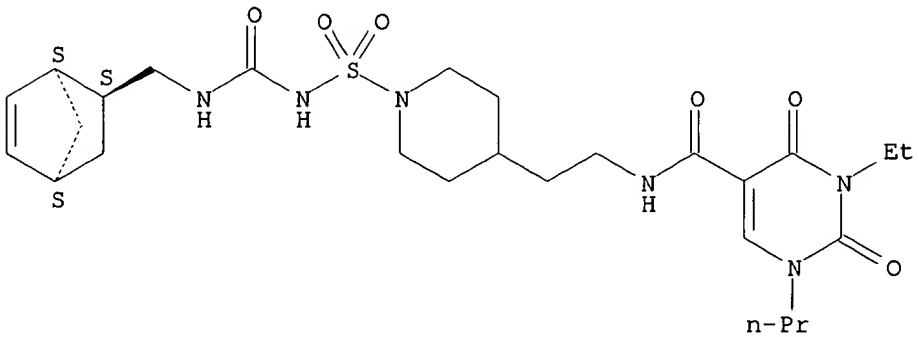
RN 59077-17-7 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 59077-18-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-, monosodium salt, endo- (9CI) (CA INDEX NAME)

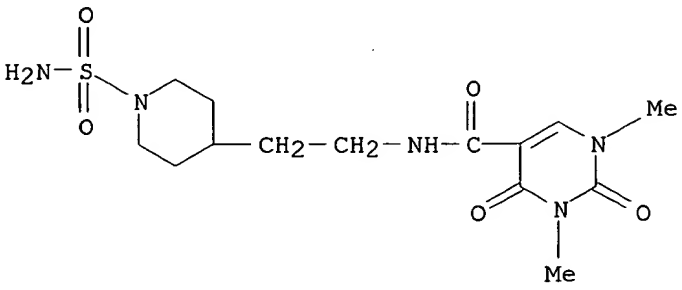
Relative stereochemistry.



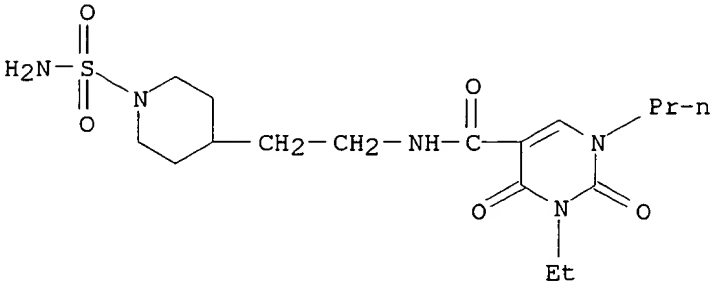
● Na

IT **58603-95-5P 58604-20-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with (bicycloheptenylmethyl)ureas)

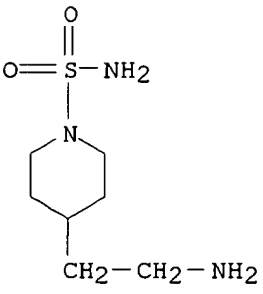
RN 58603-95-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 58604-20-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl- (9CI) (CA INDEX NAME)



IT **53750-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with dioxopyrimidinecarbonyl chloride)
RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

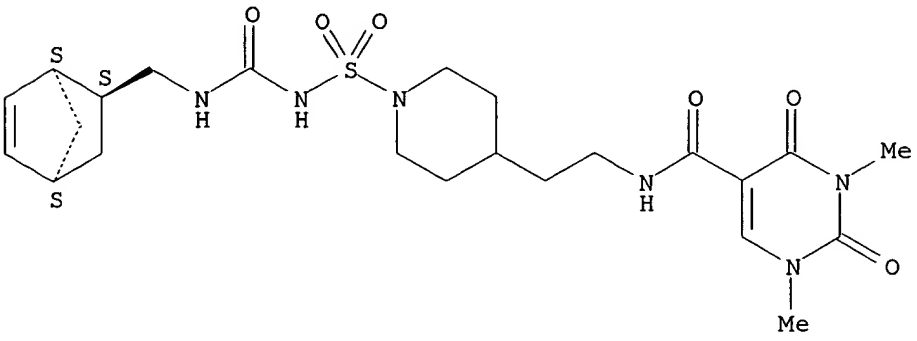


● HCl

IT **59077-19-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59077-19-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

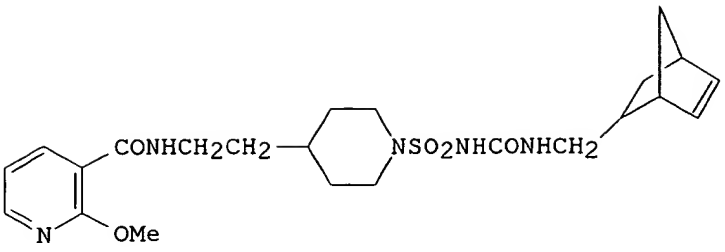


● Na

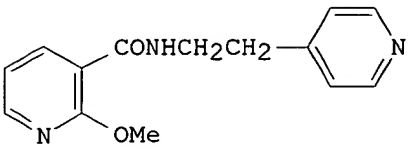
L4 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:139870 CAPLUS
DOCUMENT NUMBER: 86:139870
TITLE: 1-(Bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl)-3-[4-[2-(2-methoxynicotinamido)ethyl]piperidinosulfonyl]urea and its sodium salt
INVENTOR(S): Barth, Wayne E.; Kuhla, Donald E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 6 pp. Division of U.S. 3,933,830.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3992388	A	19761116	US 1975-623351	19751017
US 3933830	A	19760120	US 1974-504826	19740910

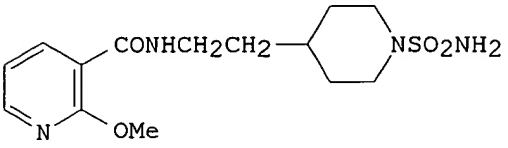
PRIORITY APPLN. INFO.: US 1974-504826 19740910
GI



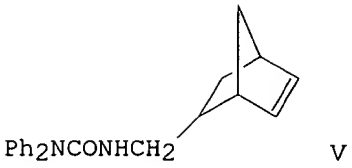
I



II



IV



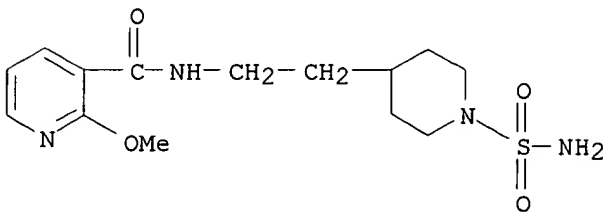
V

AB The title nicotinamidoethylpiperidine I and its Na salt, useful as hypoglycemics, were prepd. by acylating 4-(2-aminoethyl)pyridine with 2-methoxynicotinoyl chloride for 2 h (75% yield), hydrogenating the resultant pyridine II, treating the product piperidine analog (III) with SO2(NH2)2 (70% yield), and heating the sulfonamide IV for 1 h at 70.degree. with NaH and bicyclic compd. V (from the free amine and Ph2COCl). I and NaOMe at -20.degree. gave the Na salt. III was also prepd. by quaternizing II with PhCH2Br, then reducing and hydrogenating the pyridinium bromide thus formed.

IT **53750-69-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with (diphenylcarbamoyl)bicycloheptenylmethylamine)

RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

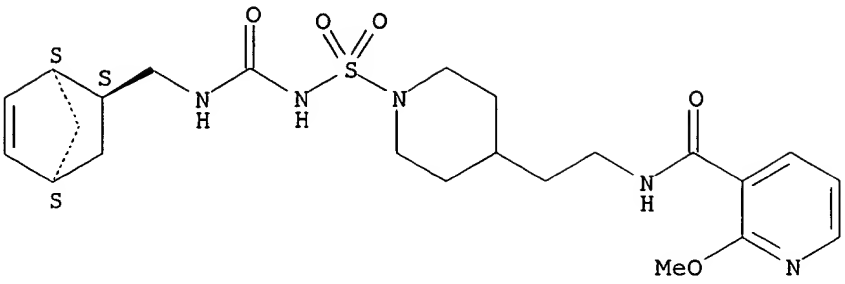


IT **51876-98-3P 53750-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 51876-98-3 CAPLUS

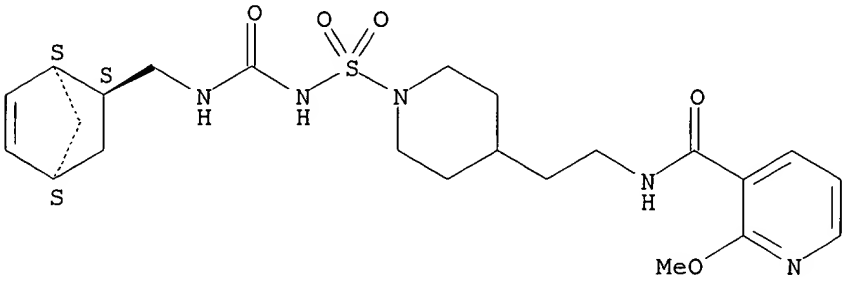
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53750-78-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



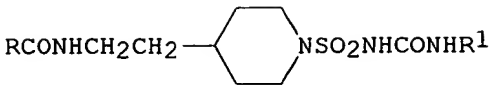
● Na

L4 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:89614 CAPLUS
DOCUMENT NUMBER: 86:89614
TITLE: Piperidinylsulfonylurea derivatives
INVENTOR(S): Evanega, George R.; Kuhla, Donald E.; Sarges, Reinhard
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 9 pp. Division of U.S. 3,914,424.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3987172	A	19761019	US 1975-598746	19750725
US 3829434	A	19740813	US 1972-305594	19721110
US 3914426	A	19751021	US 1974-464331	19740426

PRIORITY APPLN. INFO.: US 1972-305594 19721110
US 1974-464331 19740426

GI



I

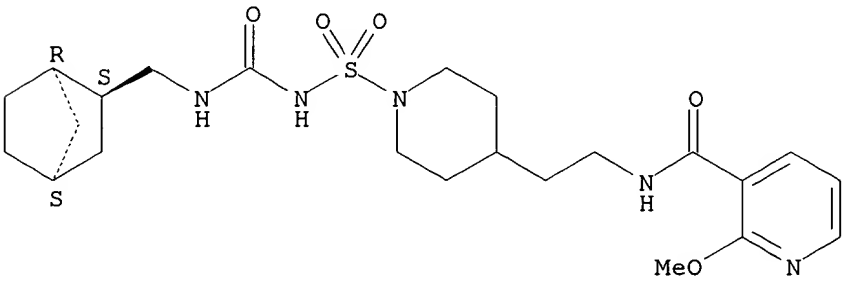
AB Piperidinylsulfonylureas I [R = 2-methoxy-3-pyridyl, R1 = bicyclo[2.2.1]hept-5-en-2-ylmethyl (Q), bicyclo[2.2.1]hept-2-ylmethyl, 1-adamantyl, cyclohexyl, endo-, exo-7-oxabicyclo[2.2.1]hept-2-ylmethyl; R = 4-chloro-2-pyridyl, R1 = Q; R = 8-quinolyl, R1 = Q, cyclohexyl] were prepd. and at 5 mg/kg i.p. in rats caused 23-38% decrease in blood sugar level. Thus I (R = 2-methoxy-3-pyridyl, R1 = Q) was obtained by successively treating 2-aminoethylpyridine with phthalic anhydride, reducing the phthalimide, treating with sulfamide, and hydrolyzing, treating 4-(2-aminoethyl)piperidinesulfonamide with 2-methoxynicotinoyl chloride, and treating the product with QNHCONPh2.

IT **53750-72-4P 53750-73-5P 53750-74-6P**
53750-75-7P 53750-76-8P 53750-77-9P
53751-32-9P 53751-33-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antidiabetic activity of)

RN 53750-72-4 CAPLUS

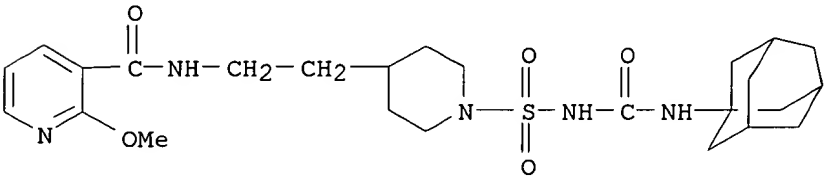
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53750-73-5 CAPLUS

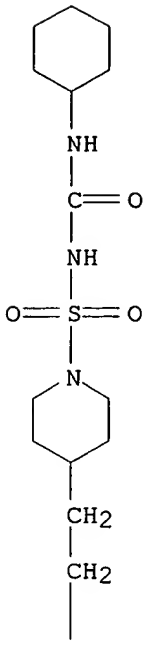
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



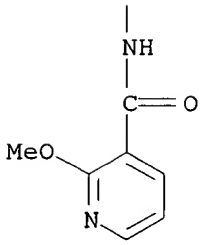
RN 53750-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

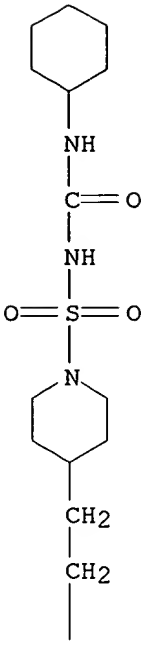


PAGE 2-A

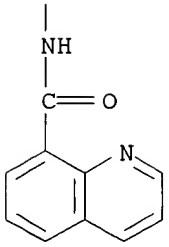


RN 53750-75-7 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

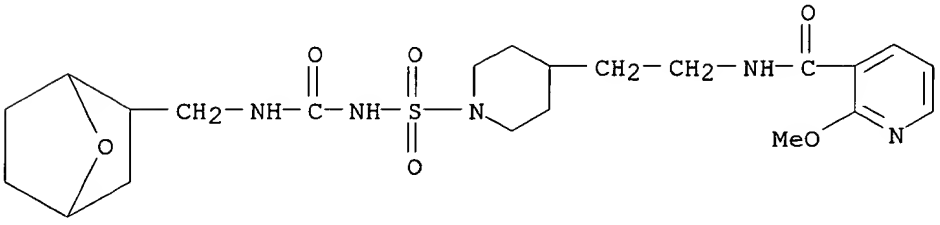
PAGE 1-A



PAGE 2-A

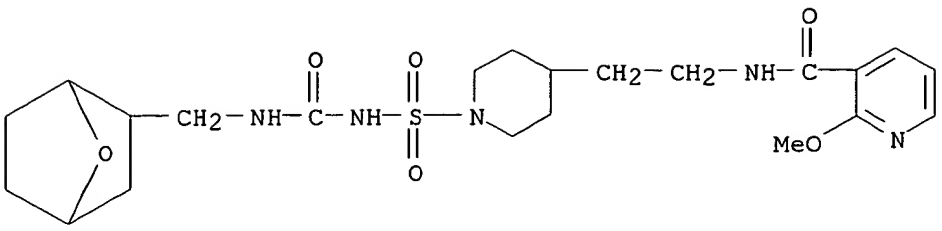


RN 53750-76-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



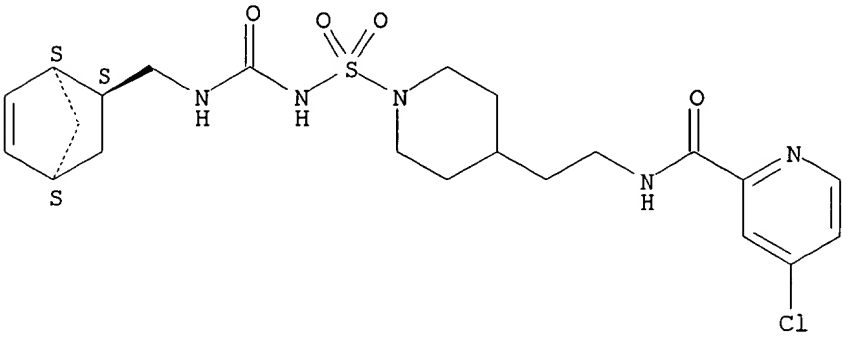
RN 53750-77-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

(CA INDEX NAME)



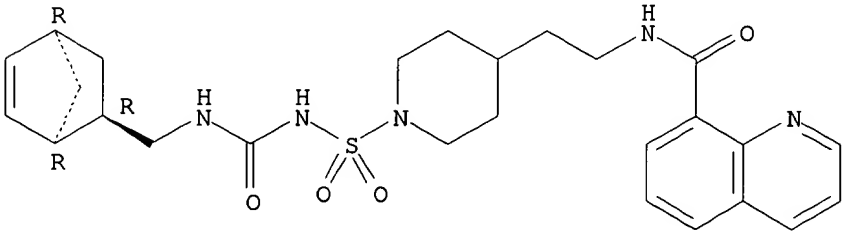
RN 53751-32-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

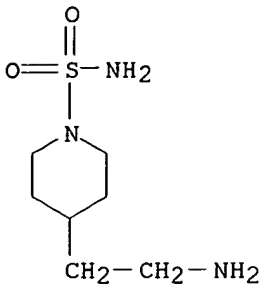


RN 53751-33-0 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



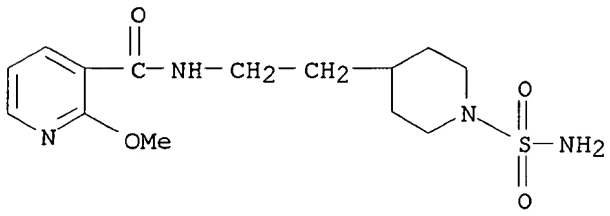
IT **53750-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with methoxynicotinoyl chloride)
RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



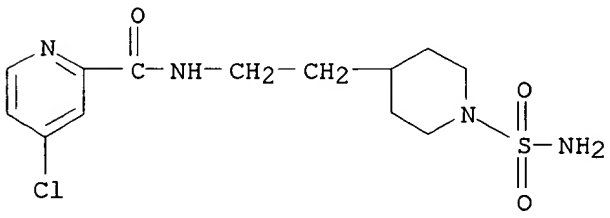
● HCl

IT **53750-69-9P 53750-70-2P 53750-71-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with urea derivs.)

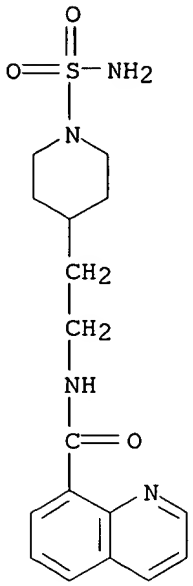
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 53750-70-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

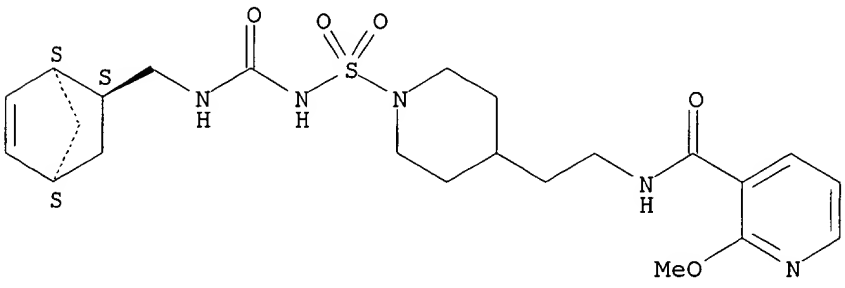


RN 53750-71-3 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



IT **53750-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53750-78-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

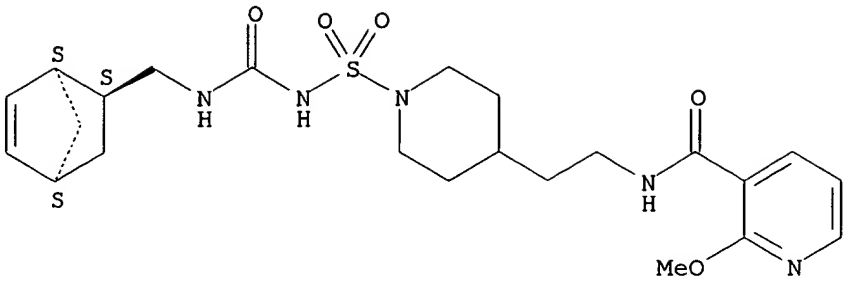
Relative stereochemistry.



● Na

IT **51876-98-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., redn., and antidiabetic activity of)
RN 51876-98-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

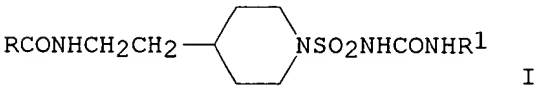


L4 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:421122 CAPLUS
DOCUMENT NUMBER: 85:21122
TITLE: 4-Substituted-1-piperidinesulfonamides
INVENTOR(S): Evanega, George R.; Kuhla, Donald E.; Sarges, Reinhard
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 9 pp. Division of U.S. 3,887,561.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3944524	A	19760316	US 1975-544838	19750128
US 3829434	A	19740813	US 1972-305594	19721110
US 3887561	A	19750603	US 1974-464332	19740426

PRIORITY APPLN. INFO.: US 1972-305594 19721110
US 1974-464332 19740426

GI



I

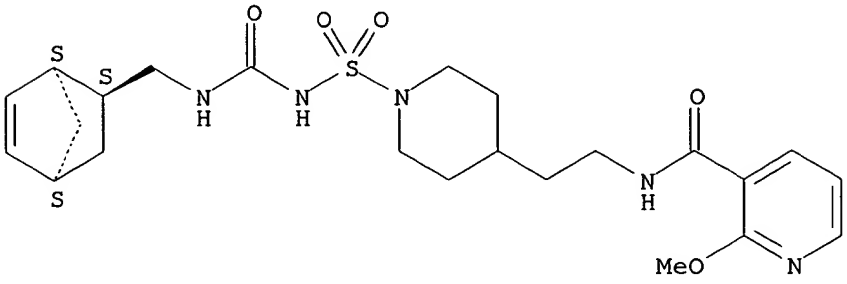
AB The piperidinesulfonylureas I (R = 2-methoxy-3-pyridyl, 8-quinolinyl, 4-chloro-2-pyridyl; R1 = cyclopentyl, cyclohexyl, 7-oxabicyclo[2.2.1]hept-2-ylimethyl, bicyclo[2.2.1]hept-5-en-2-ylmethyl, bicyclo[2.2.1]hept-2-ylmethyl, 1-adamantyl) were prepd. by treating piperidinesulfonamides with R1NHCONH or R1NCO. Thus, 2-methoxynicotinoyl chloride was treated with 4-(2-aminoethyl)-1-piperidinesulfonamide and the 4-[2-(2-methoxynicotinamido)ethyl]-1-piperidinesulfonamide treated with 1,1-diphenyl-3-(bicyclo[2.2.1]hept-5-en-2-ylmethyl)urea to give I (R = 2-methoxy-3-pyridyl, R1 = bicyclo[2.2.1]hept-2-en-2-ylmethyl) (II), which was hydrogenated to give I (R1 = bicyclo[2.2.1]hept-2-ylmethyl). At 5.0 mg/kg II reduced the blood sugar in rats by 34%.

IT **51876-98-3P 53750-72-4P 53750-73-5P**
53750-74-6P 53750-75-7P 53750-76-8P
53750-77-9P 53751-32-9P 53751-33-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypoglycemic activity of)

RN 51876-98-3 CAPLUS

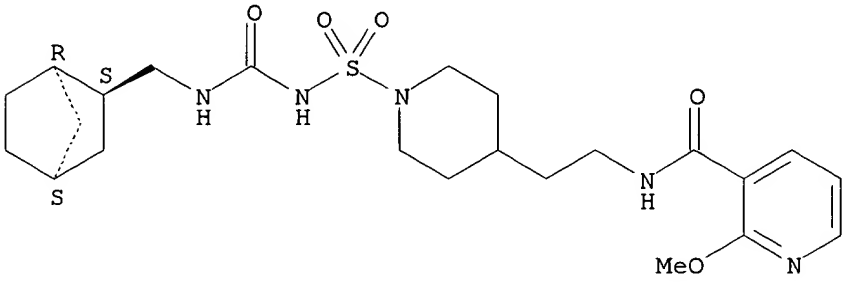
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

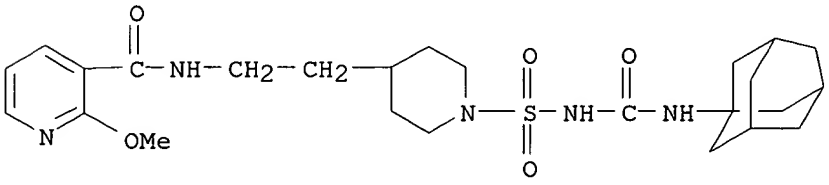


RN 53750-72-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

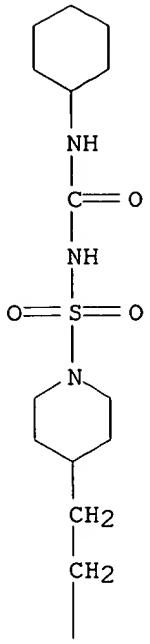


RN 53750-73-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

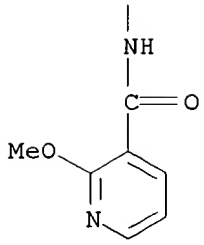


RN 53750-74-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

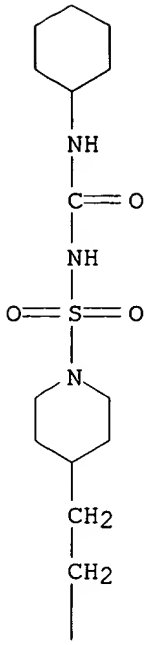


PAGE 2-A

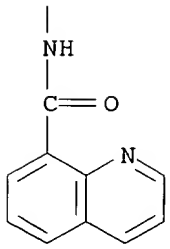


RN 53750-75-7 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

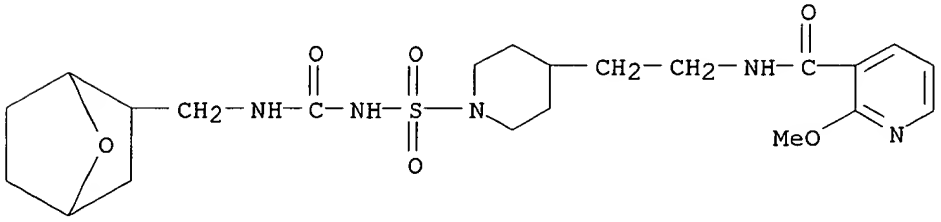
PAGE 1-A



PAGE 2-A

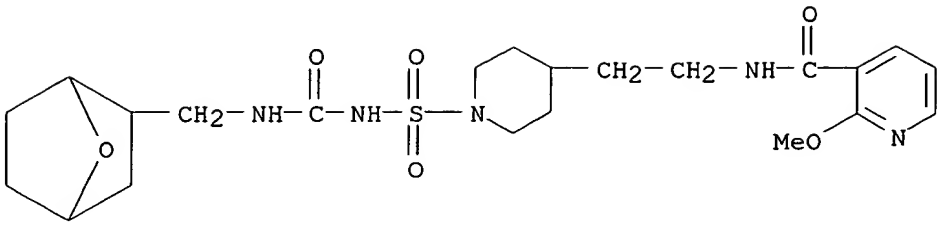


RN 53750-76-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



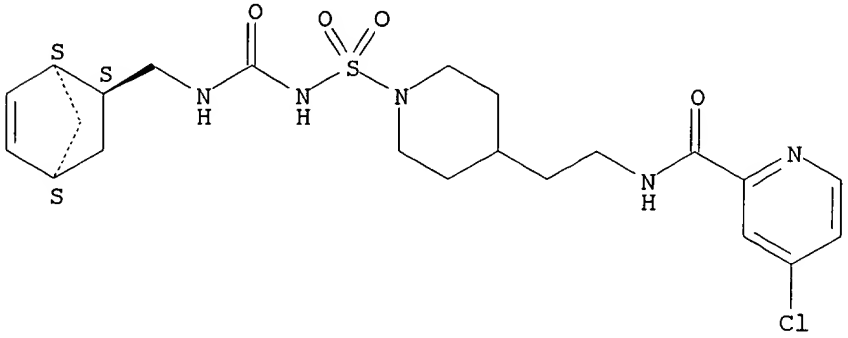
RN 53750-77-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

(CA INDEX NAME)



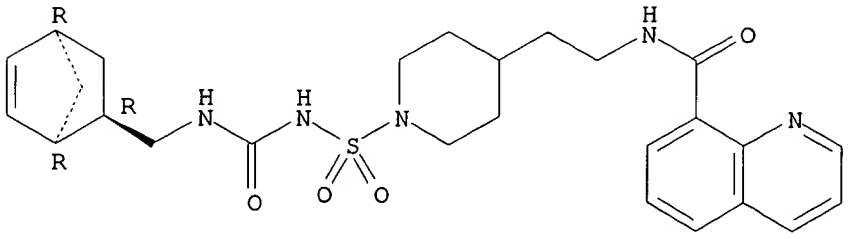
RN 53751-32-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

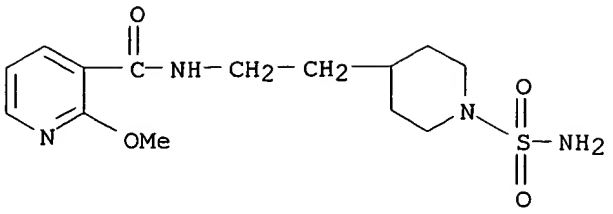


RN 53751-33-0 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

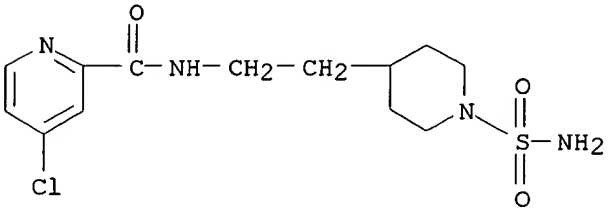
Relative stereochemistry.



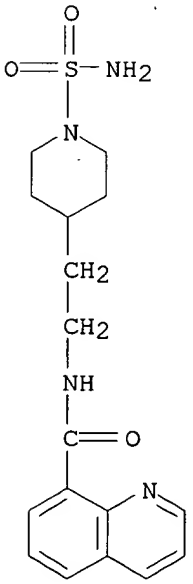
IT **53750-69-9P 53750-70-2P 53750-71-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with ureas and isocyanates)
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



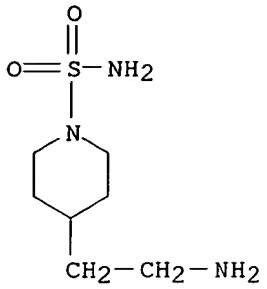
RN 53750-70-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 53750-71-3 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



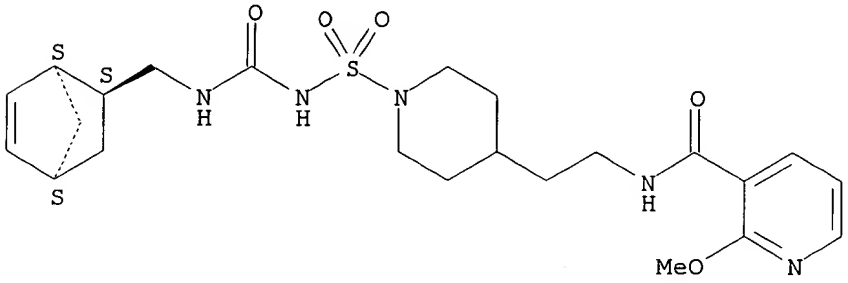
IT **53750-64-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and substitution of)
RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

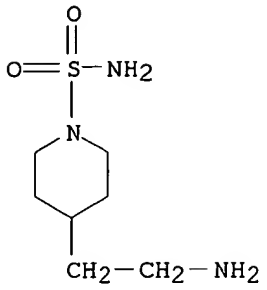
IT **53750-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53750-78-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

IT **53750-64-4**
RL: RCT (Reactant)
(reaction of, with pyridinecarbonyl chloride)
RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



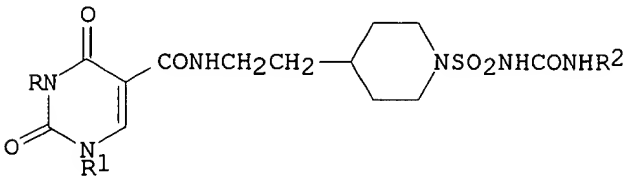
● HCl

L4 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:164833 CAPLUS
DOCUMENT NUMBER: 84:164833
TITLE: Piperidinesulfonylurea derivatives
INVENTOR(S): Wiedermann, Hans E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

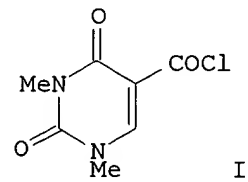
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3936455	A	19760203	US 1975-546003	19750131
US 3998828	A	19761221	US 1975-629771	19751107
US 4052507	A	19771004	US 1976-726126	19760924

PRIORITY APPLN. INFO.: US 1975-546003 19750131
US 1975-629771 19751107

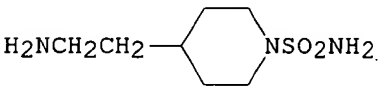
GI



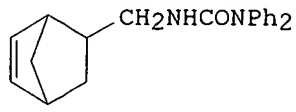
I



II



III



IV

AB Piperidinesulfonylureas I (R = Me, Pr, R1 = Me, Et, R2 = endo-5-norbornen-2-ylmethyl, endo-2-norbornylmethyl, endo-7-oxabicylo[2.2.1]hept-2-ylmethyl, cyclohexyl, 1-adamantyl), useful as antidiabetics, were obtained by treatment of an appropriate sulfamide with an org. isocyanate or a trisubstituted urea. Thus, pyrimidinecarbonyl chloride II heated with piperidinesulfonamide III 16 hr in THF gave a

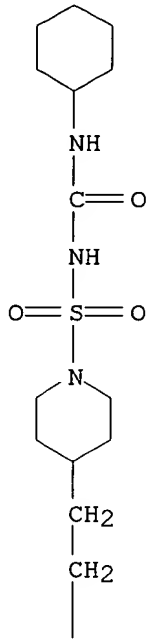
sulfonamide which was treated with IV in DMF contg. NaH to give I (R = R1 = Me, R2 = endo-5-norbornen-2-ylmethyl).

IT **58603-22-8P 58603-70-6P 58603-72-8P 59077-17-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypoglycemic activity of)

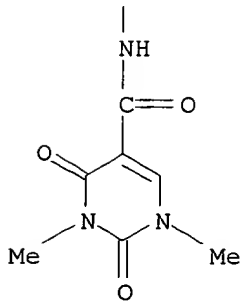
RN 58603-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



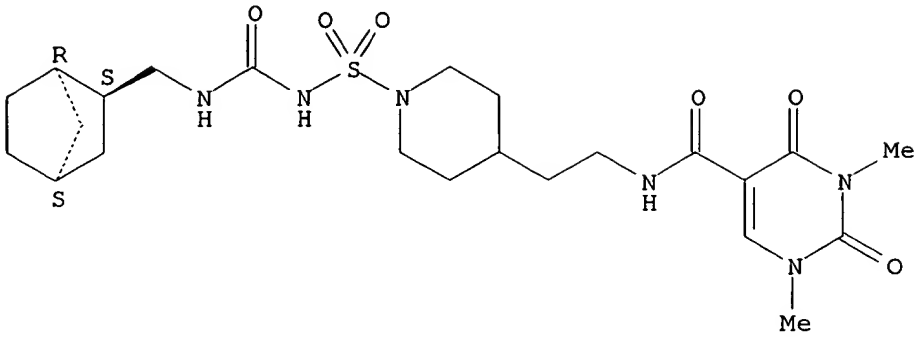
PAGE 2-A



RN 58603-70-6 CAPLUS

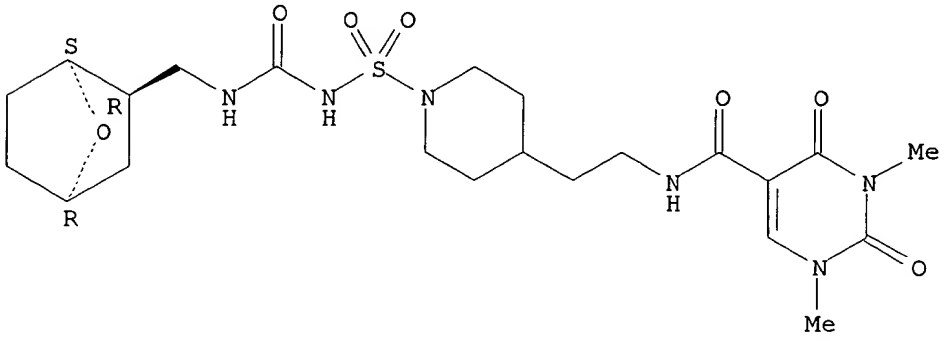
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



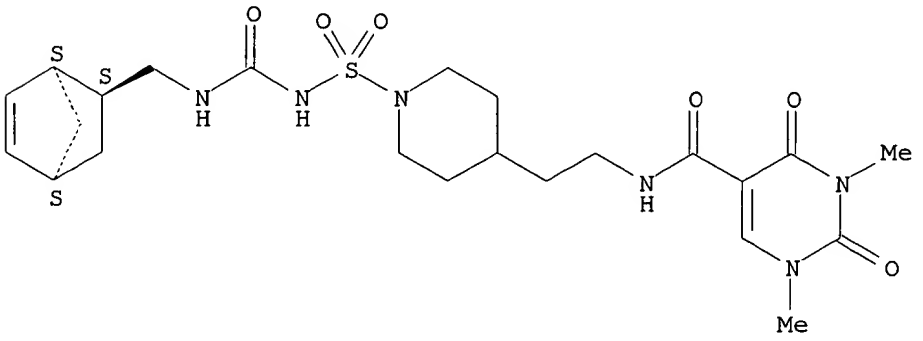
RN 58603-72-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



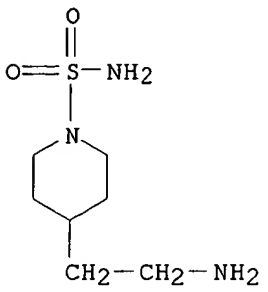
RN 59077-17-7 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



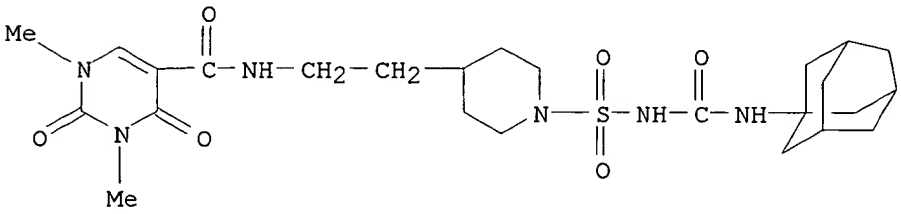
IT **53750-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with pyrimidinecarbonyl chlorides)

RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



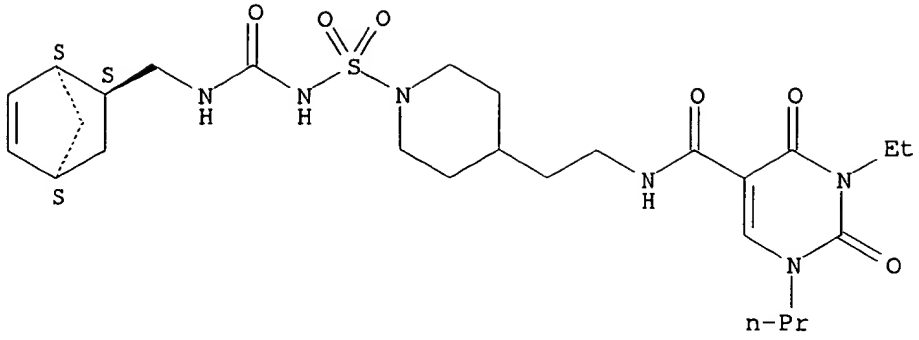
● HCl

IT **58603-77-3P 59077-18-8P 59077-19-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 58603-77-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 59077-18-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-, monosodium salt, endo- (9CI) (CA INDEX NAME)

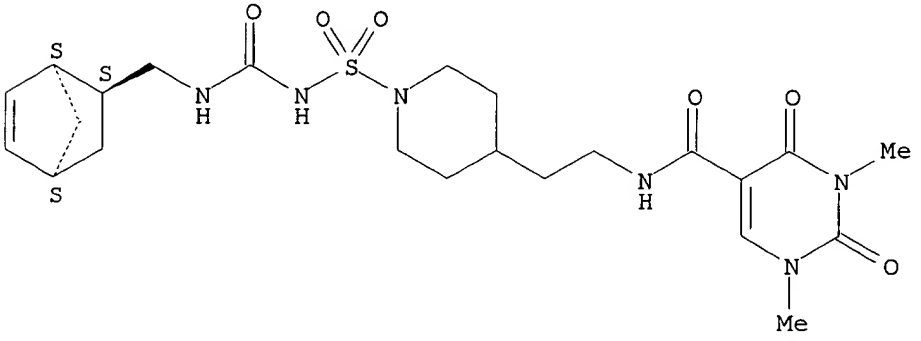
Relative stereochemistry.



● Na

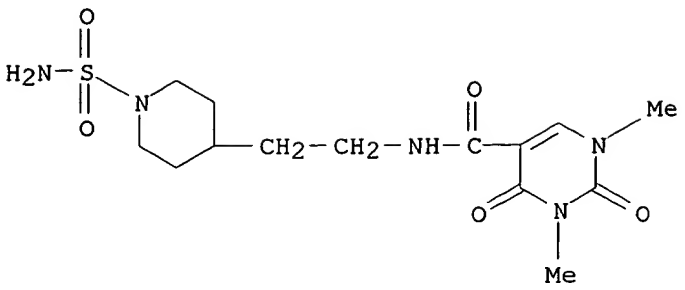
RN 59077-19-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

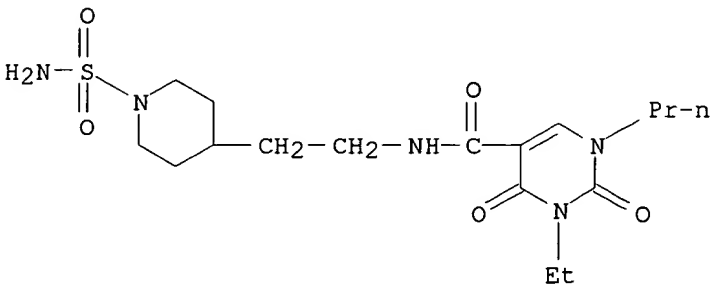


● Na

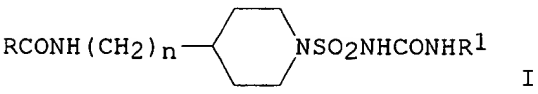
IT **58603-95-5 58604-20-9**
RL: RCT (Reactant)
(reaction of, with ureas)
RN 58603-95-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 58604-20-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:144565 CAPLUS
DOCUMENT NUMBER: 84:144565
TITLE: Sulfamylurea hypoglycemic agents. 6. High-potency derivatives
AUTHOR(S): Sarges, Reinhard; Kuhla, Donald E.; Wiedermann, Hans E.; Mayhew, Dale A.
CORPORATE SOURCE: Cent. Res., Pfizer Inc., Groton, Conn., USA
SOURCE: J. Med. Chem. (1976), 19(5), 695-709
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



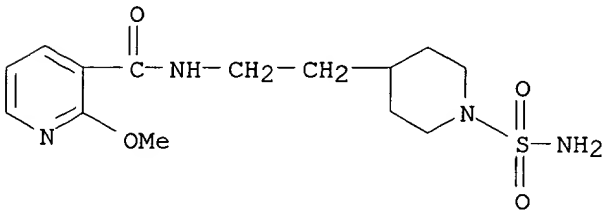
I

AB Of a series of 105 1-piperidinosulfonylureas (I) prepd. and tested for hypoglycemic activity in fasted rats, gliamilide (I; RCO = 2-methoxynicotinoyl, n = 2, R1 = bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl) [**51876-98-3**] was among the most active compds., was well tolerated in man, and had a short plasma half-life. Compds. with a methylene bridge (I, n = 1) were less potent than those with the ethylene bridge (I, n = 2). Optimal acyl substituents (R) are 5-chloro-2-methoxybenzoyl, substituted nicotinoyl, 2,3-ethylenedioxybenzoyl and

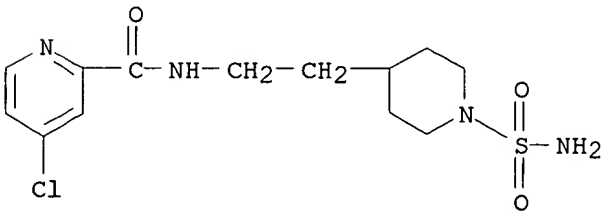
substituted quinoline-8-carbonyls. Optimal R1 groups are cyclohexyl, bicycloheptenylmethyl, and in certain cases propyl, 7-oxabicycloheptanylmethyl, and adamantyl.

IT **53750-69-9P 53750-70-2P 53750-71-3P**
58603-84-2P 58603-85-3P 58603-86-4P
58603-87-5P 58603-88-6P 58603-89-7P
58603-90-0P 58603-91-1P 58603-92-2P
58603-93-3P 58603-94-4P 58603-95-5P
58603-96-6P 58603-97-7P 58603-98-8P
58603-99-9P 58604-00-5P 58604-01-6P
58604-02-7P 58604-03-8P 58604-04-9P
58604-05-0P 58604-06-1P 58604-07-2P
58604-08-3P 58604-09-4P 58604-10-7P
58604-11-8P 58604-12-9P 58604-13-0P
58604-14-1P 58604-15-2P 58604-16-3P
58604-17-4P 58604-18-5P 58604-19-6P
58604-20-9P 58604-21-0P 58604-22-1P
58604-23-2P 58604-24-3P 58604-25-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-carbamoylation of)

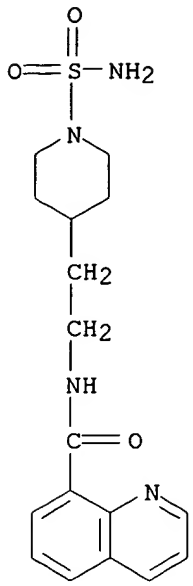
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



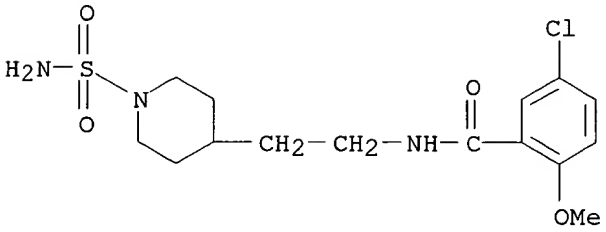
RN 53750-70-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



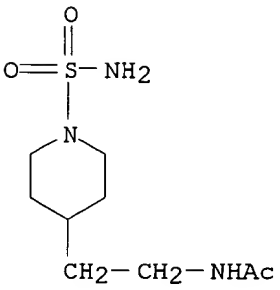
RN 53750-71-3 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



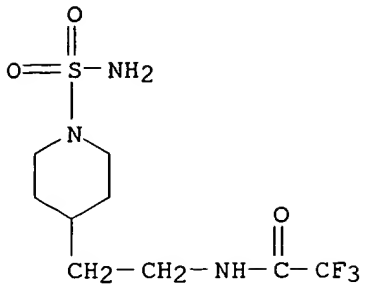
RN 58603-84-2 CAPLUS
CN Benzamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)



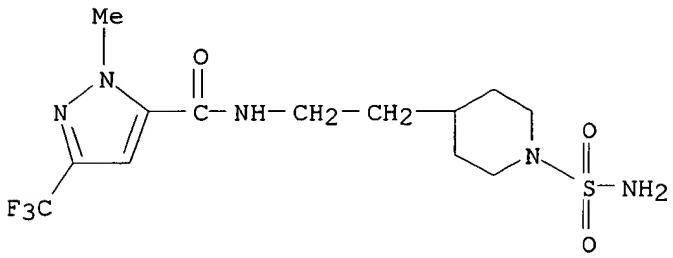
RN 58603-85-3 CAPLUS
CN Acetamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



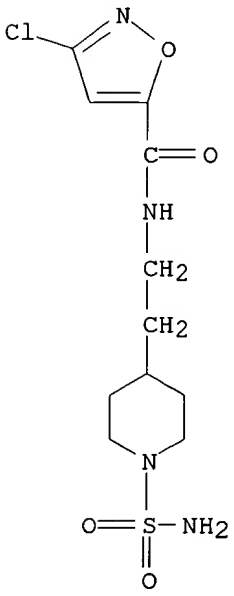
RN 58603-86-4 CAPLUS
CN Acetamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



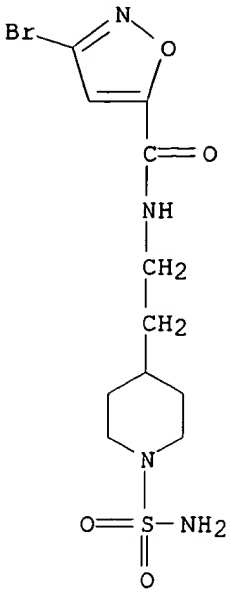
RN 58603-87-5 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



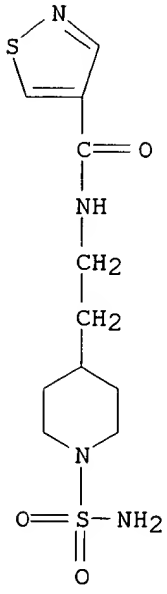
RN 58603-88-6 CAPLUS
CN 5-Isoxazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-chloro- (9CI) (CA INDEX NAME)



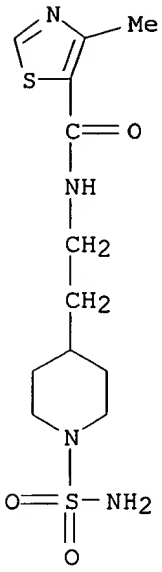
RN 58603-89-7 CAPLUS
CN 5-Isoxazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-bromo- (9CI) (CA INDEX NAME)



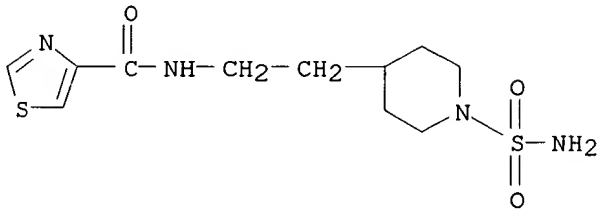
RN 58603-90-0 CAPLUS
CN 4-Isothiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



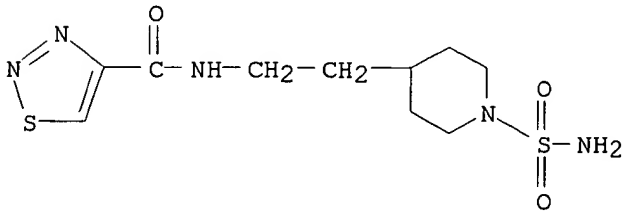
RN 58603-91-1 CAPLUS
CN 5-Thiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



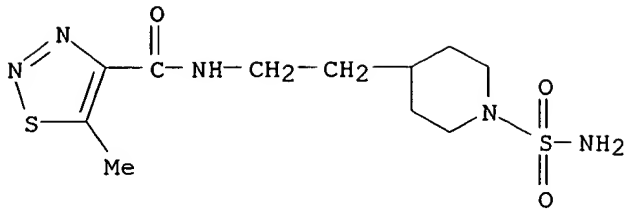
RN 58603-92-2 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



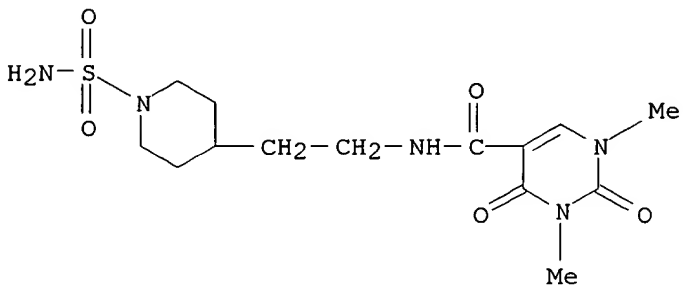
RN 58603-93-3 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



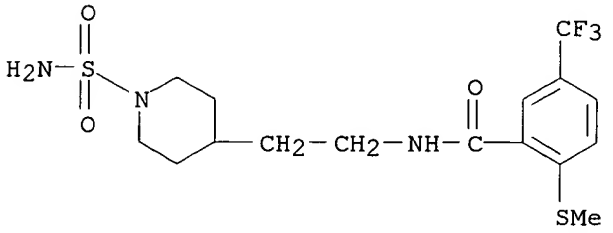
RN 58603-94-4 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-methyl- (9CI) (CA INDEX NAME)



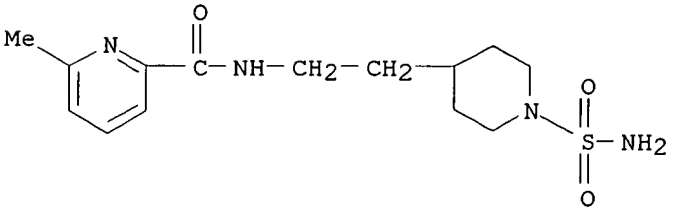
RN 58603-95-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



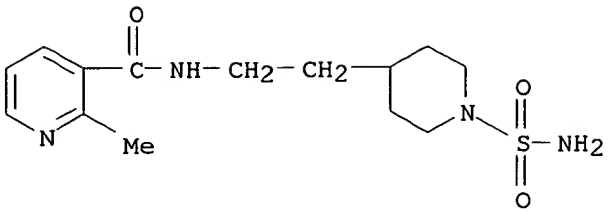
RN 58603-96-6 CAPLUS
CN Benzamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-(methylthio)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



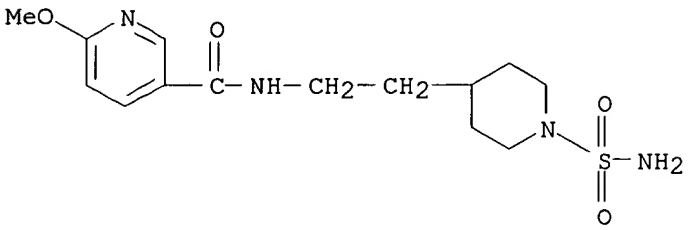
RN 58603-97-7 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-methyl- (9CI) (CA INDEX NAME)



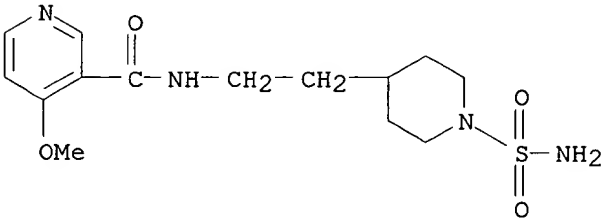
RN 58603-98-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)



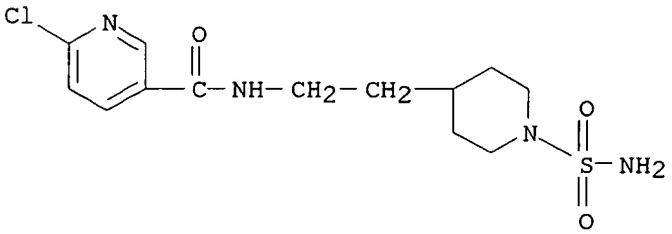
RN 58603-99-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-methoxy- (9CI) (CA INDEX NAME)



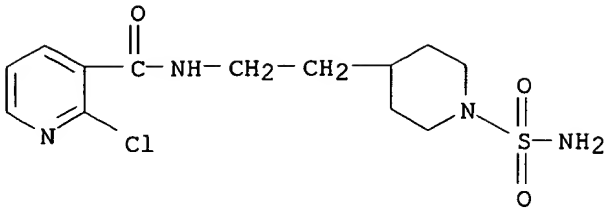
RN 58604-00-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-methoxy- (9CI) (CA INDEX NAME)



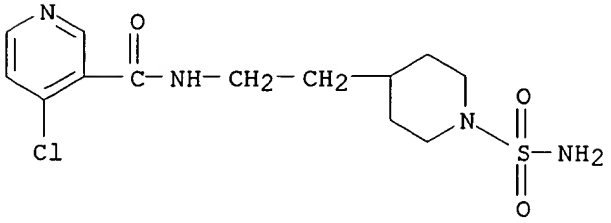
RN 58604-01-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-chloro- (9CI) (CA INDEX NAME)



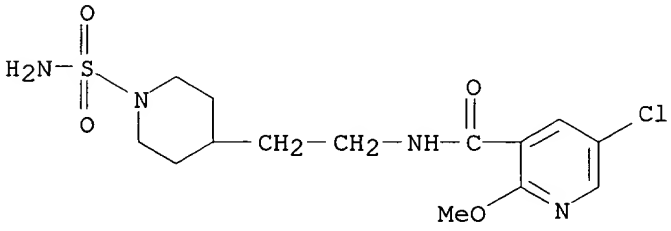
RN 58604-02-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-chloro- (9CI) (CA INDEX NAME)



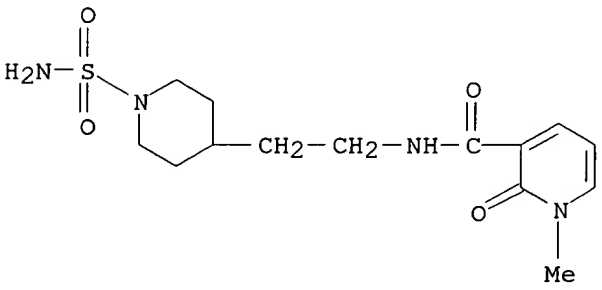
RN 58604-03-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



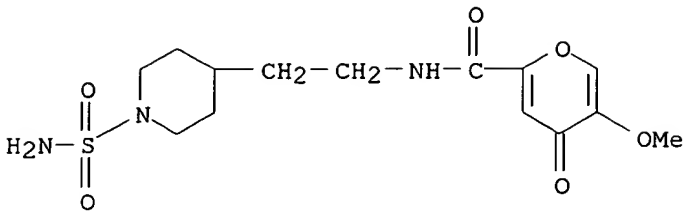
RN 58604-04-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)



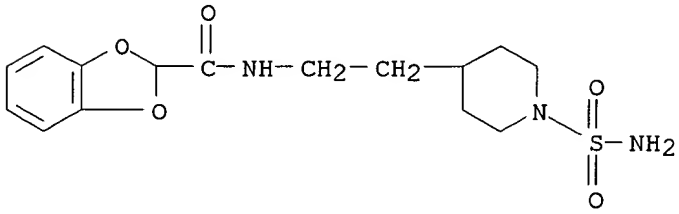
RN 58604-05-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2-dihydro-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



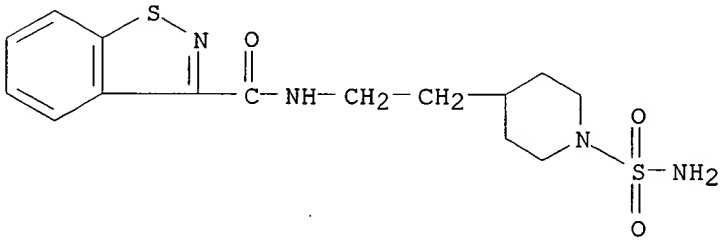
RN 58604-06-1 CAPLUS
CN 4H-Pyran-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-methoxy-4-oxo- (9CI) (CA INDEX NAME)



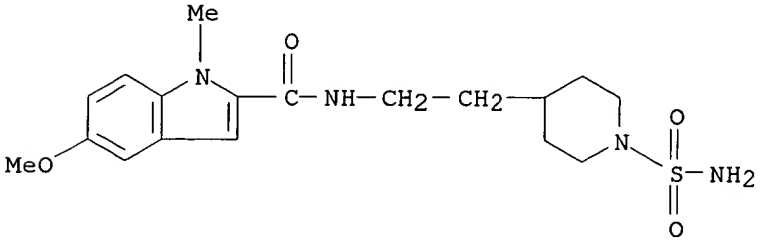
RN 58604-07-2 CAPLUS
CN 1,3-Benzodioxole-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



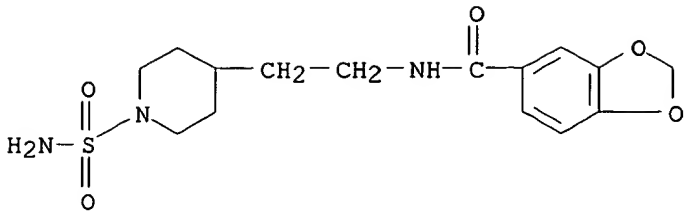
RN 58604-08-3 CAPLUS
CN 1,2-Benzisothiazole-3-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



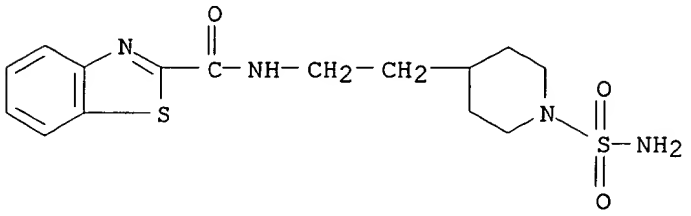
RN 58604-09-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-methoxy-1-methyl- (9CI) (CA INDEX NAME)



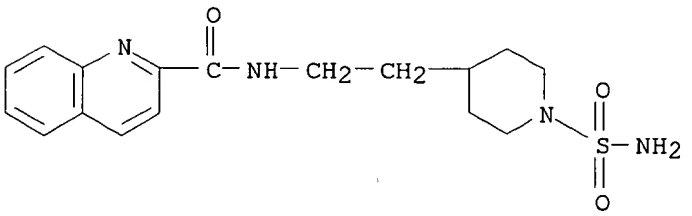
RN 58604-10-7 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



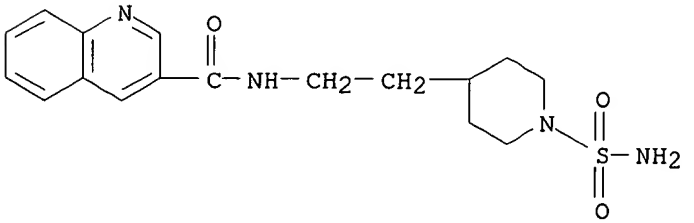
RN 58604-11-8 CAPLUS
CN 2-Benzothiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-
(9CI) (CA INDEX NAME)



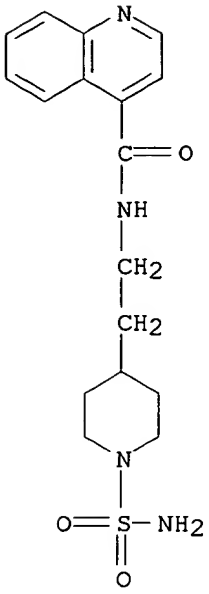
RN 58604-12-9 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-
(9CI) (CA INDEX NAME)



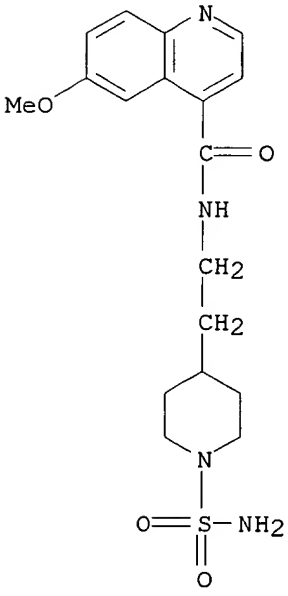
RN 58604-13-0 CAPLUS
CN 3-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-
(9CI) (CA INDEX NAME)



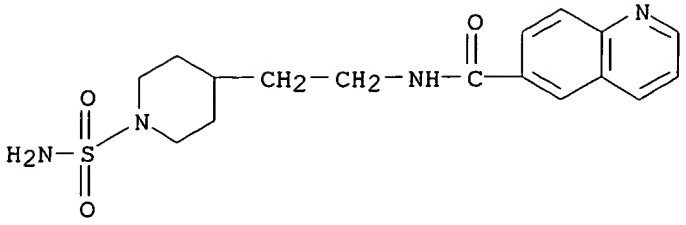
RN 58604-14-1 CAPLUS
CN 4-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-
(9CI) (CA INDEX NAME)



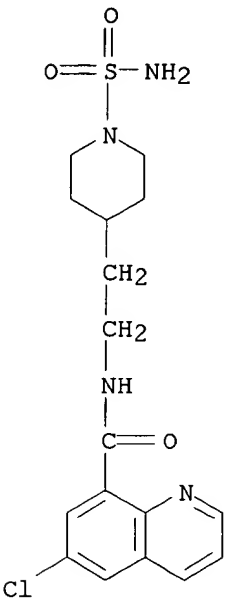
RN 58604-15-2 CAPLUS
CN 4-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-methoxy- (9CI) (CA INDEX NAME)



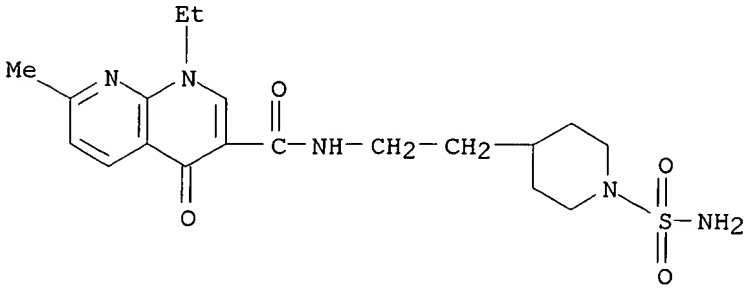
RN 58604-16-3 CAPLUS
CN 6-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



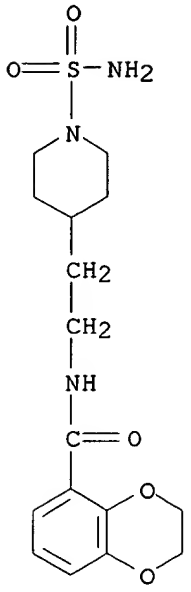
RN 58604-17-4 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-chloro- (9CI) (CA INDEX NAME)



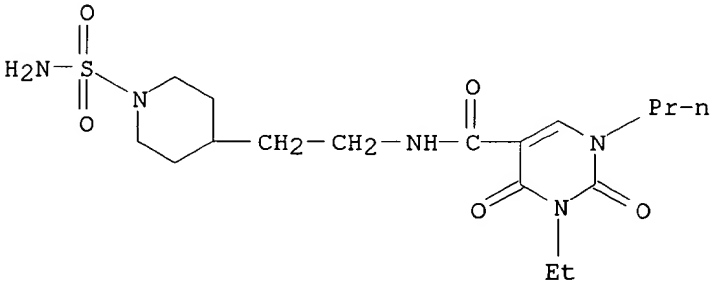
RN 58604-18-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo- (9CI) (CA INDEX NAME)



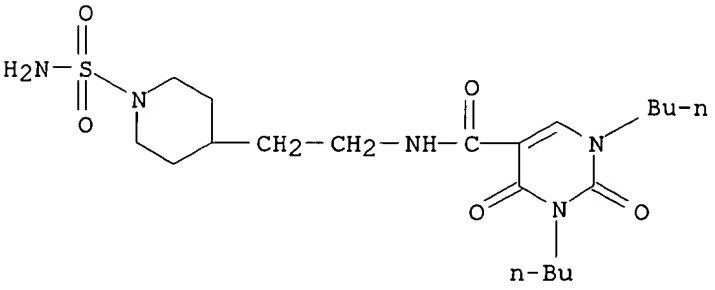
RN 58604-19-6 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 58604-20-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl- (9CI) (CA INDEX NAME)

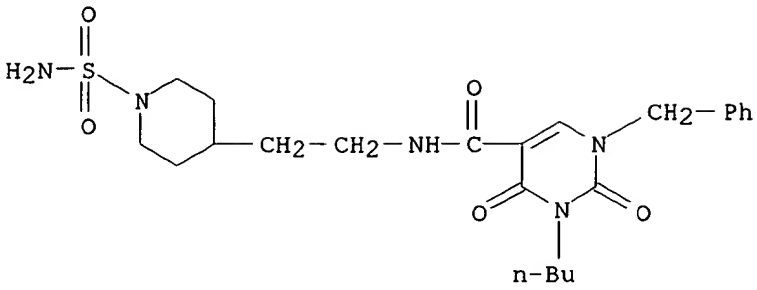


RN 58604-21-0 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,3-dibutyl-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

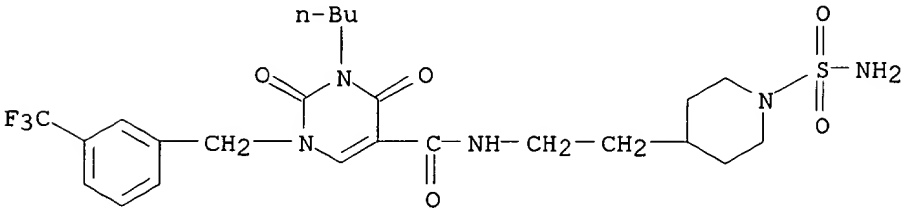


RN 58604-22-1 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX

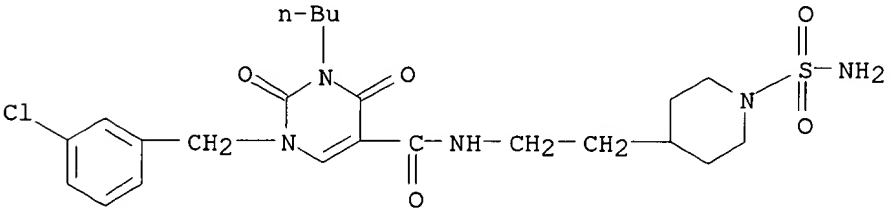
NAME)



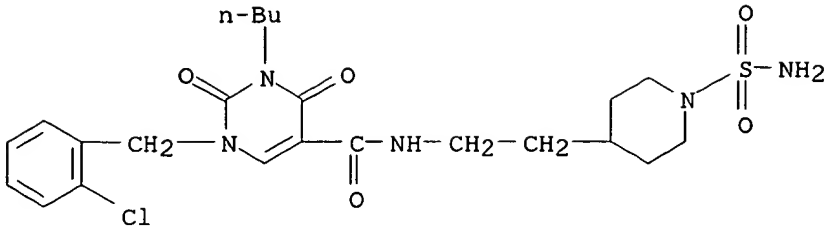
RN 58604-23-2 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



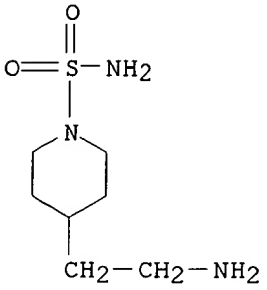
RN 58604-24-3 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1-[(3-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 58604-25-4 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

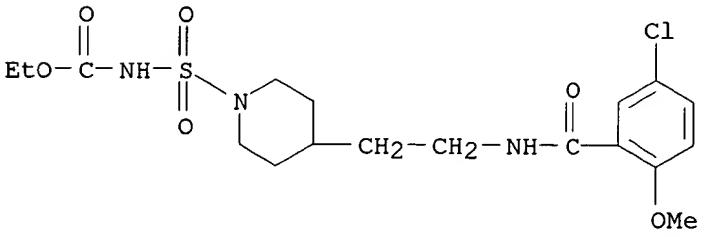


IT **53750-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acylation of)
RN 53750-64-4 CAPLUS
CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **58604-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of)
RN 58604-31-2 CAPLUS
CN Carbamic acid, [[4-[2-[(5-chloro-2-methoxybenzoyl)amino]ethyl]-1-piperidinyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT **51876-98-3P 53750-72-4P 53750-73-5P**
53750-74-6P 53750-75-7P 53750-76-8P
53750-77-9P 53751-32-9P 53751-33-0P
58602-96-3P 58602-97-4P 58602-98-5P
58602-99-6P 58603-00-2P 58603-01-3P
58603-02-4P 58603-03-5P 58603-04-6P
58603-05-7P 58603-06-8P 58603-07-9P
58603-08-0P 58603-09-1P 58603-10-4P

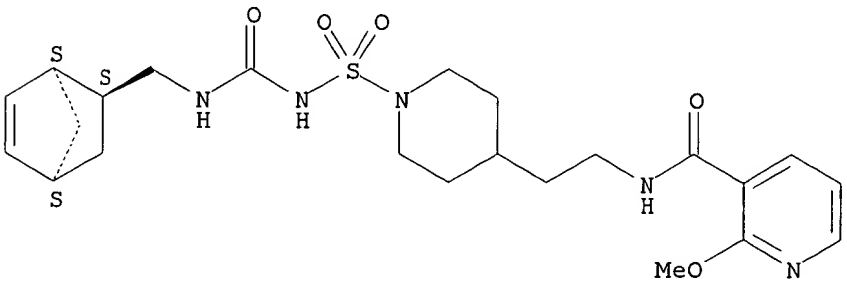
58603-11-5P 58603-12-6P 58603-13-7P
58603-14-8P 58603-15-9P 58603-16-0P
58603-17-1P 58603-18-2P 58603-19-3P
58603-20-6P 58603-21-7P 58603-22-8P
58603-23-9P 58603-24-0P 58603-25-1P
58603-26-2P 58603-27-3P 58603-28-4P
58603-29-5P 58603-30-8P 58603-31-9P
58603-32-0P 58603-33-1P 58603-34-2P
58603-35-3P 58603-36-4P 58603-37-5P
58603-38-6P 58603-39-7P 58603-40-0P
58603-41-1P 58603-42-2P 58603-43-3P
58603-44-4P 58603-45-5P 58603-46-6P
58603-47-7P 58603-48-8P 58603-49-9P
58603-50-2P 58603-51-3P 58603-52-4P
58603-53-5P 58603-54-6P 58603-55-7P
58603-56-8P 58603-57-9P 58603-58-0P
58603-59-1P 58603-60-4P 58603-61-5P
58603-62-6P 58603-63-7P 58603-64-8P
58603-65-9P 58603-66-0P 58603-67-1P
58603-68-2P 58603-69-3P 58603-70-6P
58603-71-7P 58603-72-8P 58603-73-9P
58603-74-0P 58603-75-1P 58603-76-2P
58603-77-3P 58603-78-4P 58603-79-5P
58603-80-8P 58603-81-9P 58603-82-0P
58603-83-1P 58636-12-7P 58636-13-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and hypoglycemic activity of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

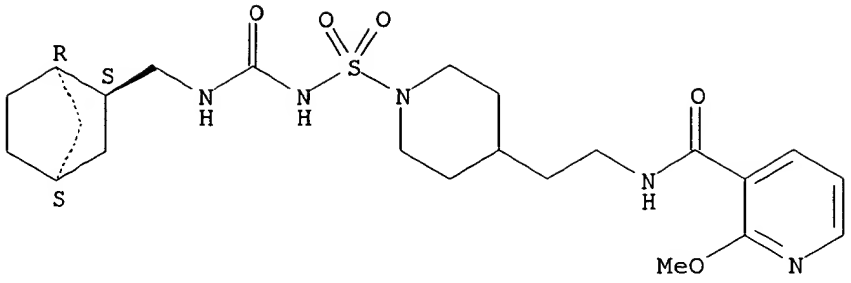
Relative stereochemistry.



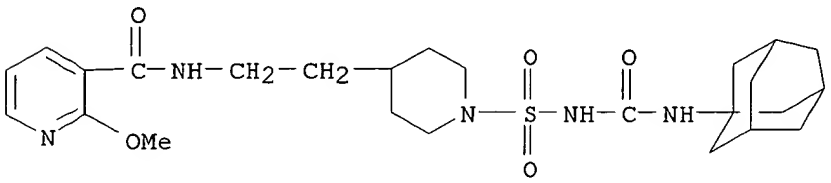
RN 53750-72-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53750-73-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 53750-74-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

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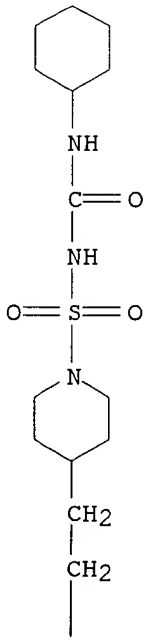

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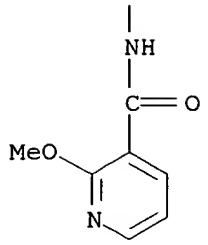

RN 53750-75-7 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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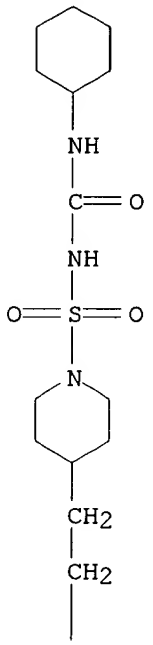

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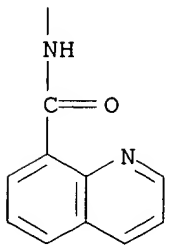
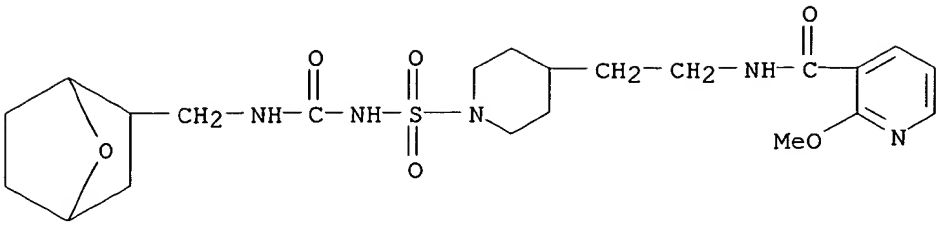
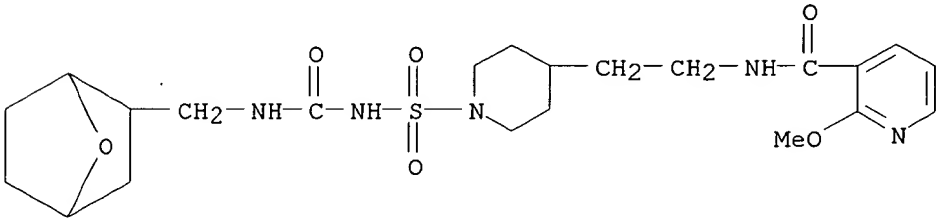


RN 53750-76-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)

(CA INDEX NAME)

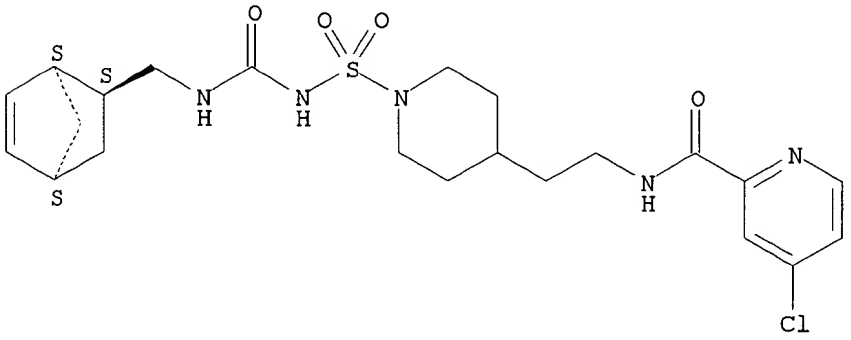


RN 53750-77-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI) (CA INDEX NAME)



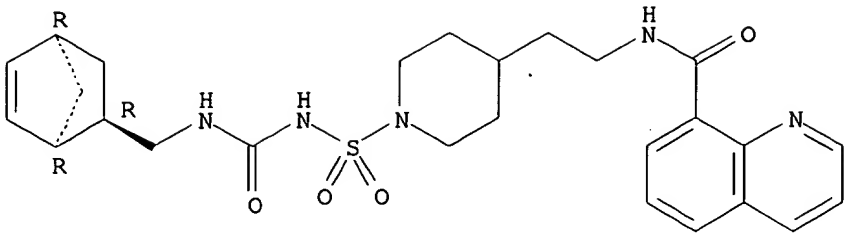
RN 53751-32-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53751-33-0 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58602-96-3 CAPLUS
CN Benzamide, 5-chloro-N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

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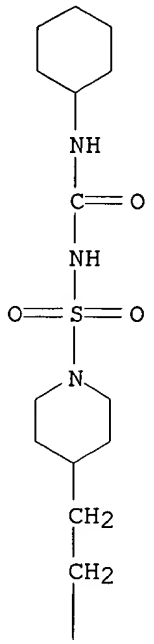

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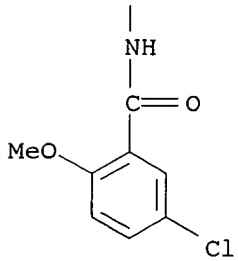
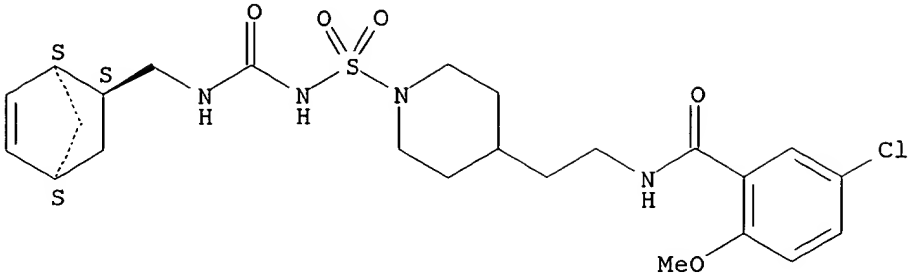
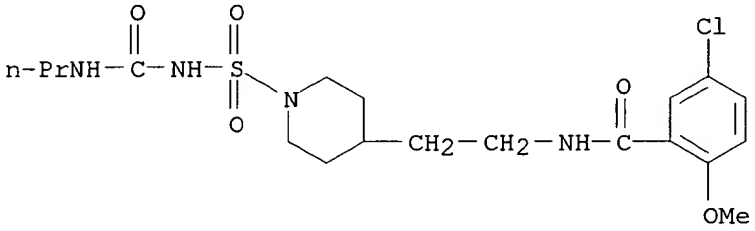


RN 58602-97-4 CAPLUS
CN Benzamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-chloro-2-methoxy-, endo- (9CI) (CA INDEX NAME)

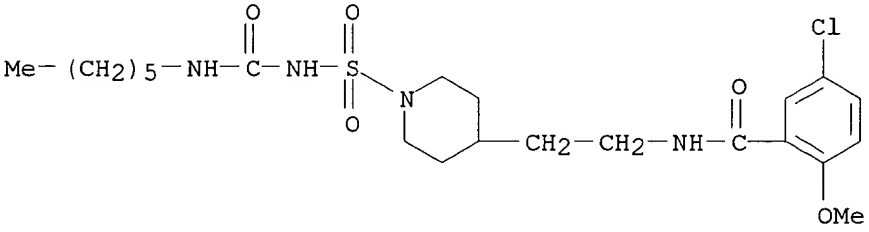
Relative stereochemistry.



RN 58602-98-5 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58602-99-6 CAPLUS
CN Benzamide, 5-chloro-N-[2-[1-[[[(hexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 58603-00-2 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[[(4-methoxyphenyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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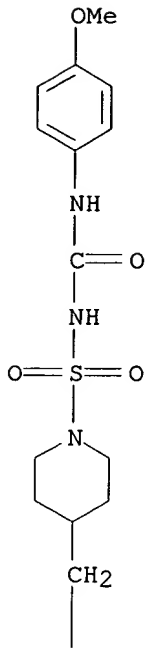

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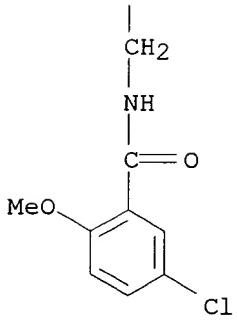

RN 58603-01-3 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[[(2-methoxyphenyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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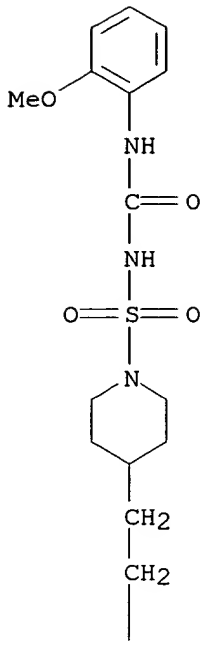

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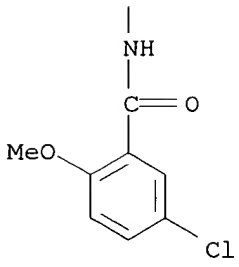
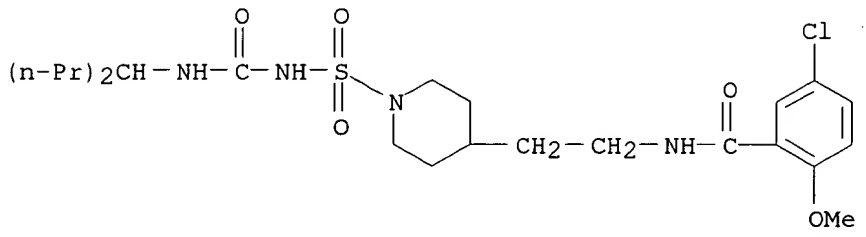
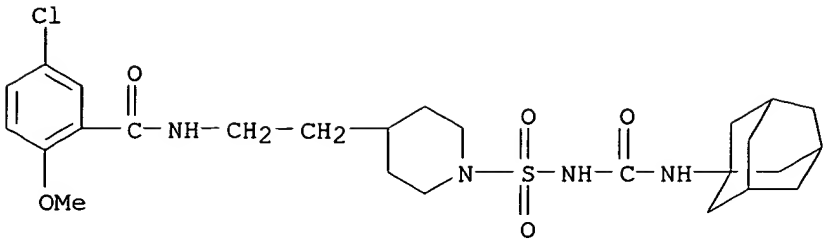


RN 58603-02-4 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[[(1-propylbutyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-03-5 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-04-6 CAPLUS
CN Benzamide, 5-chloro-N-[2-[1-[[[[(1-ethyl-4-piperidinyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

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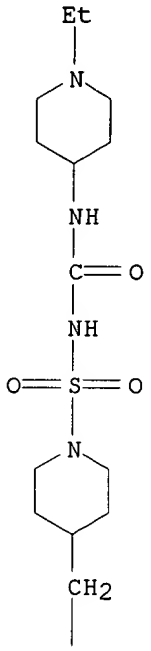

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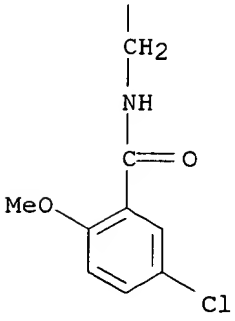
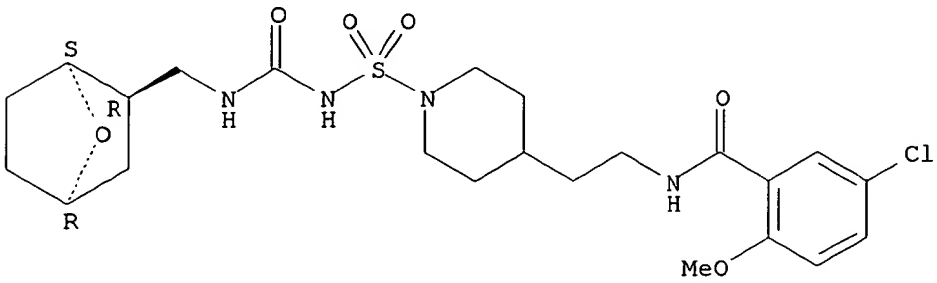


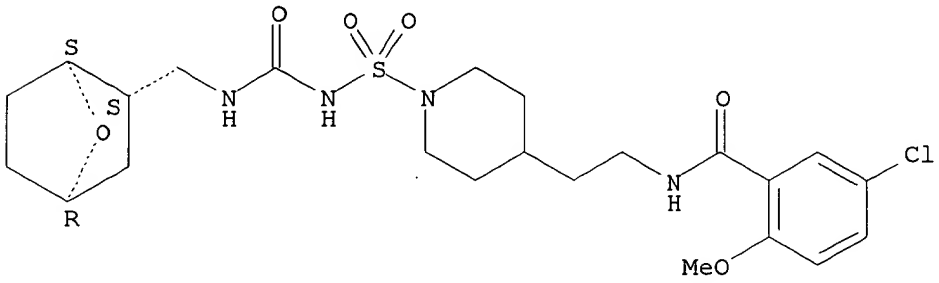
RN 58603-05-7 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-06-8 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-07-9 CAPLUS
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[[(1,2,3,4-tetrahydro-5-methoxy-1-naphthalenyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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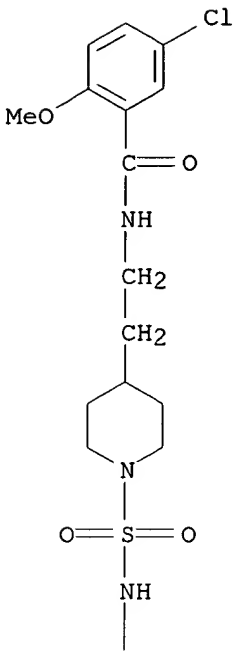

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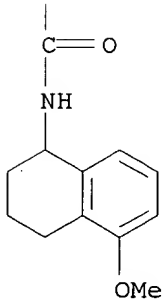

RN 58603-08-0 CAPLUS
CN Benzamide, 5-chloro-N-[2-[1-[[[[(hexahydro-1H-azepin-1-yl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

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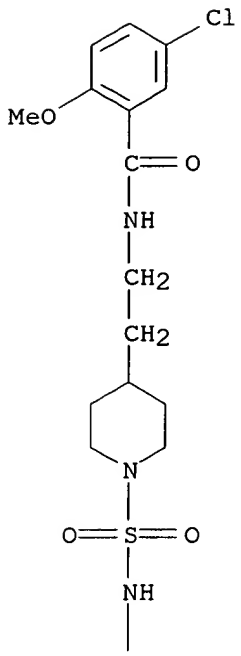

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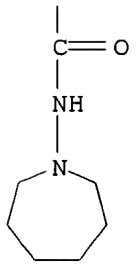
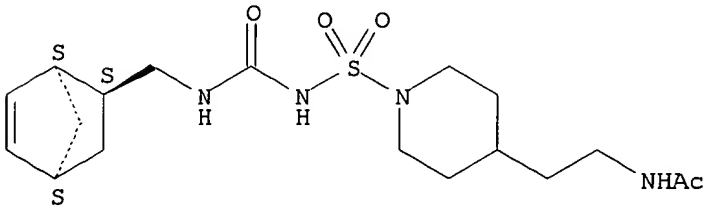
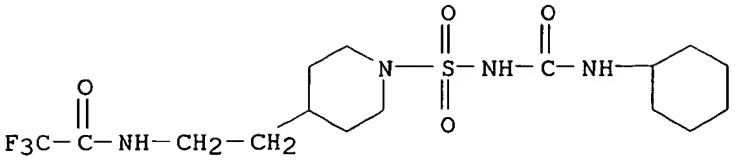


RN 58603-09-1 CAPLUS
CN Acetamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

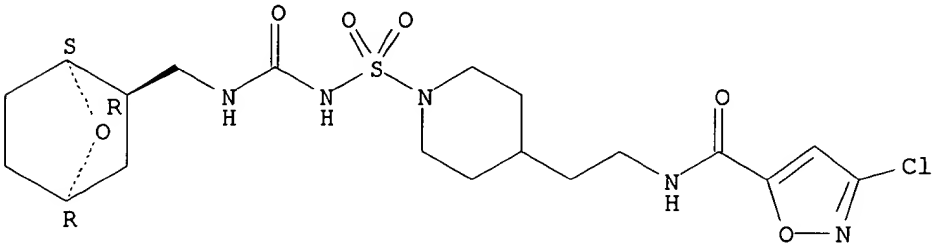


RN 58603-10-4 CAPLUS
CN Acetamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



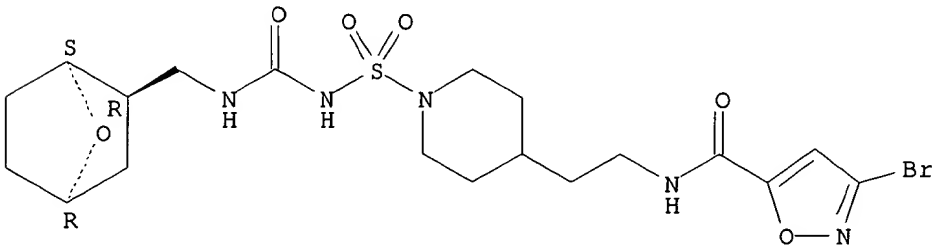
RN 58603-11-5 CAPLUS
CN 5-Isoxazolecarboxamide, 3-chloro-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



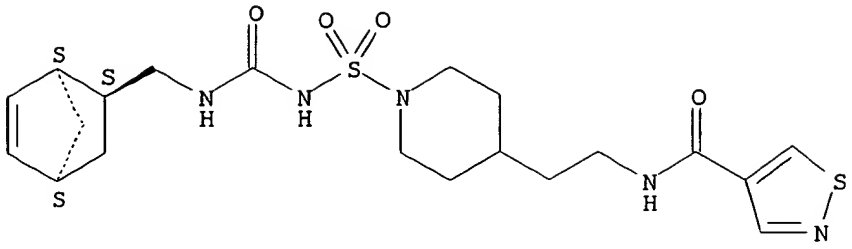
RN 58603-12-6 CAPLUS
CN 5-Isoxazolecarboxamide, 3-bromo-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



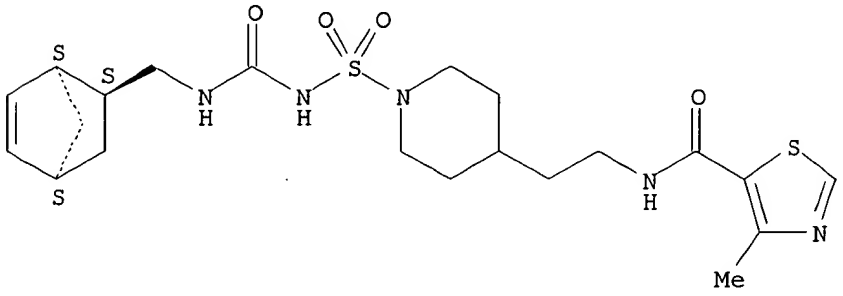
RN 58603-13-7 CAPLUS
CN 4-Isothiazolecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

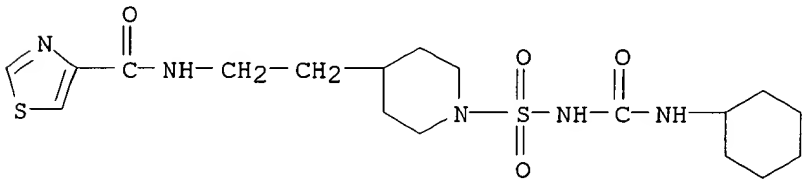


RN 58603-14-8 CAPLUS
CN 5-Thiazolecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-methyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

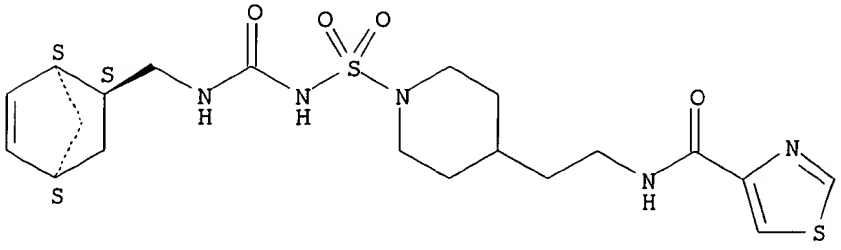


RN 58603-15-9 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

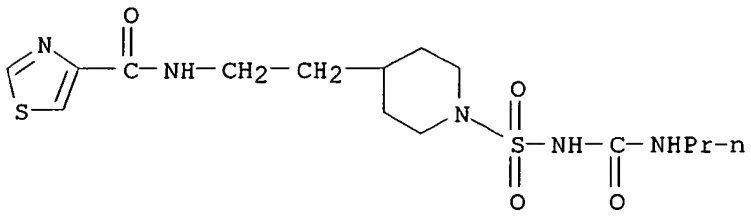


RN 58603-16-0 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

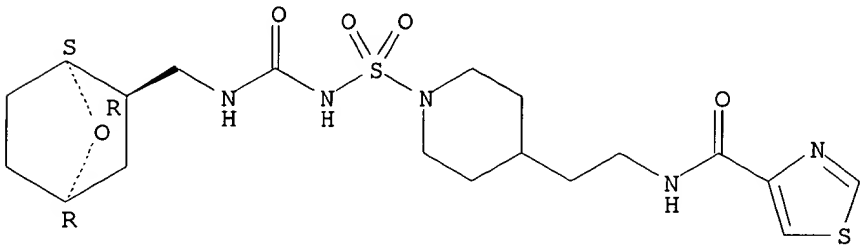


RN 58603-17-1 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

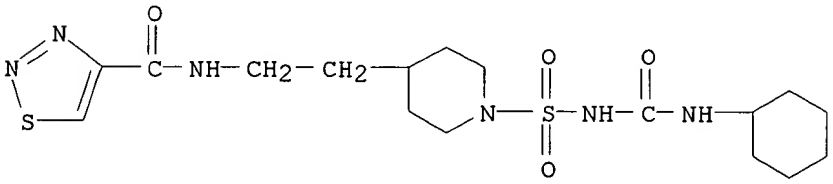


RN 58603-18-2 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

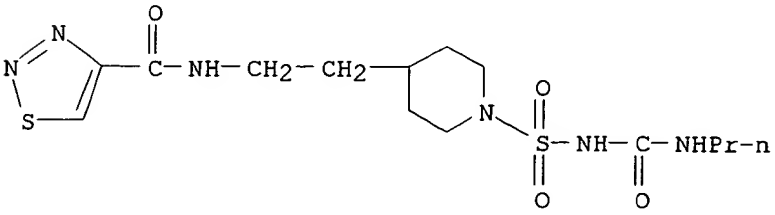
Relative stereochemistry.



RN 58603-19-3 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

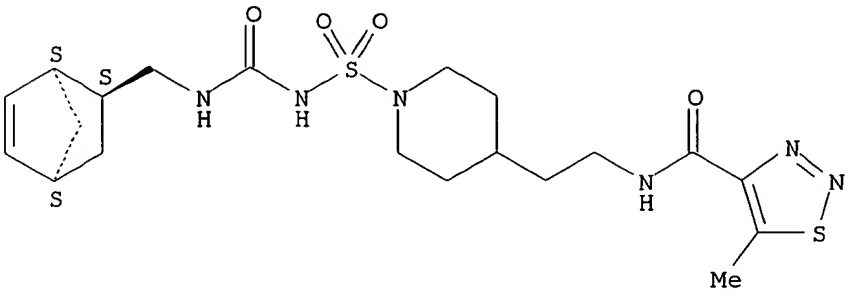


RN 58603-20-6 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-21-7 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-methyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-22-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

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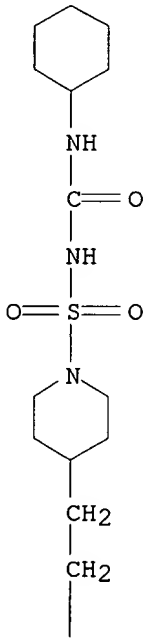

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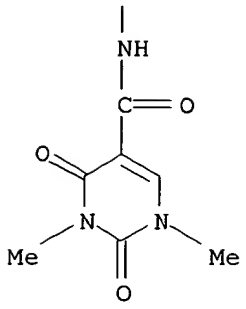

RN 58603-23-9 CAPLUS
CN Benzamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-(methylthio)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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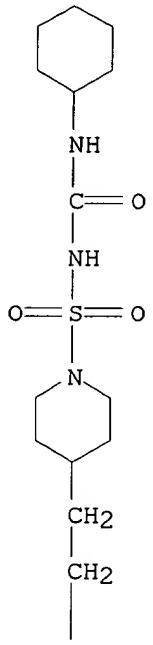

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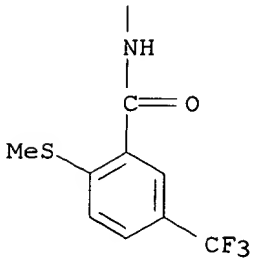
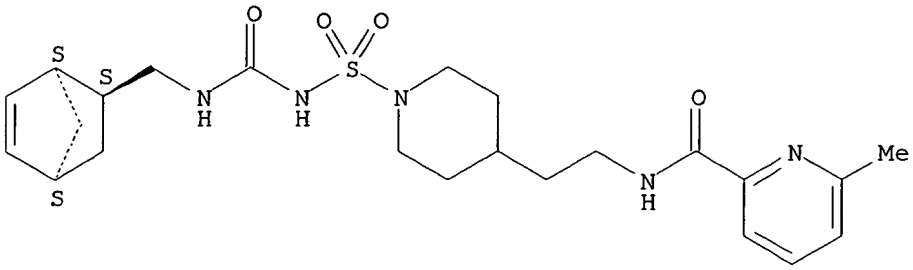


RN 58603-24-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-25-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

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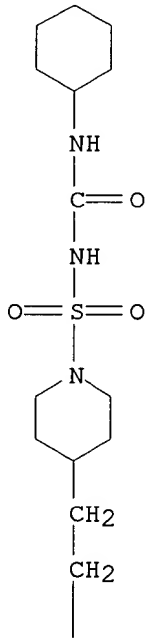
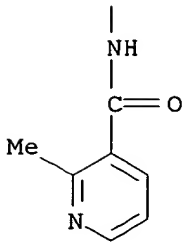
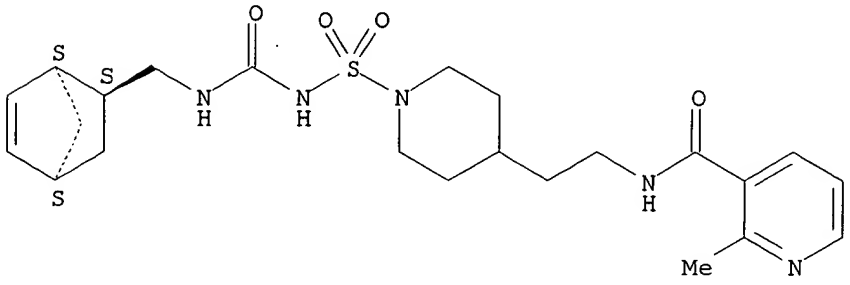




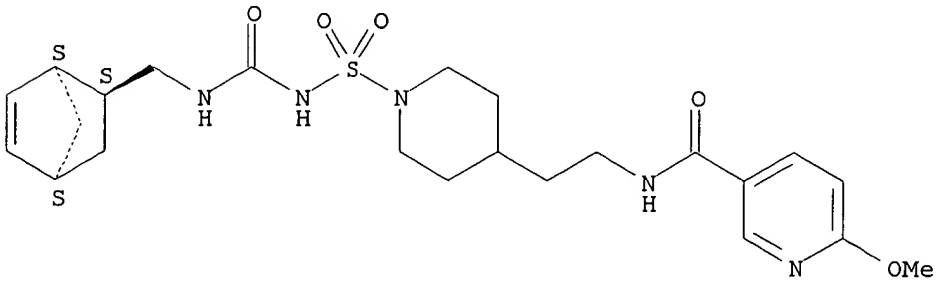
RN 58603-26-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



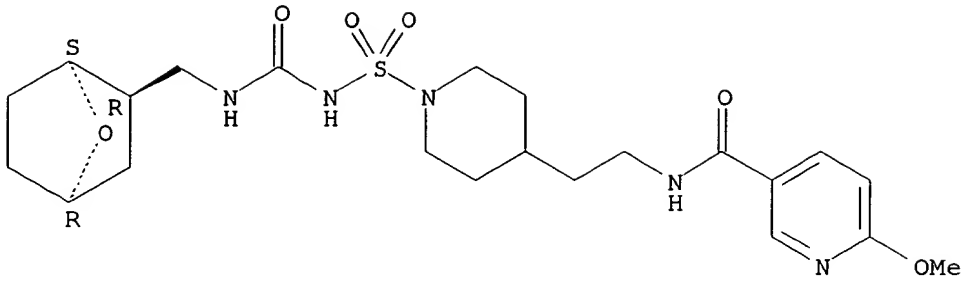
RN 58603-27-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



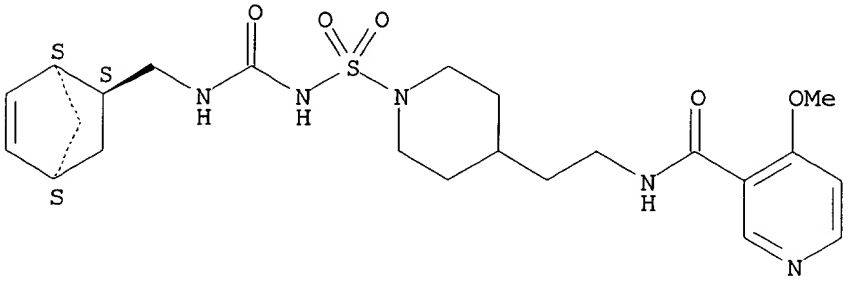
RN 58603-28-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



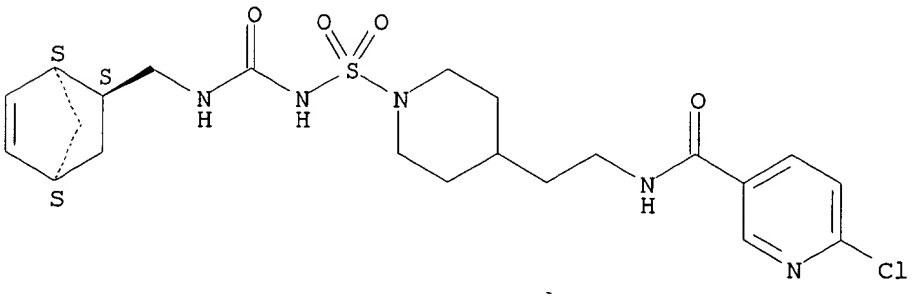
RN 58603-29-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



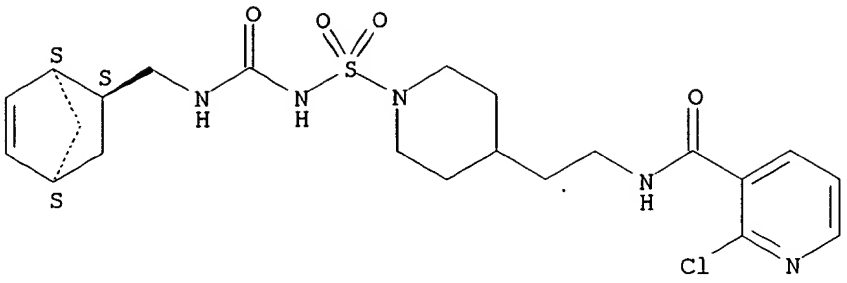
RN 58603-30-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



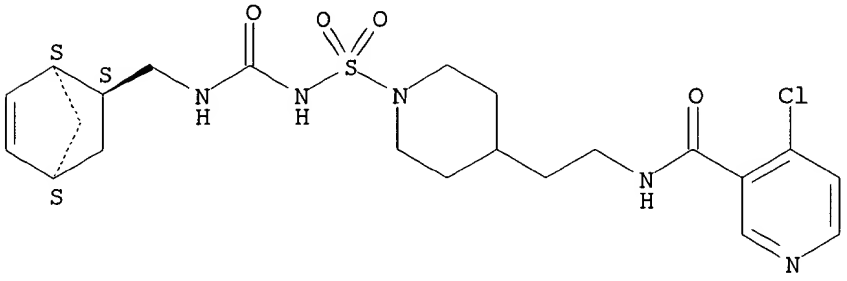
RN 58603-31-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



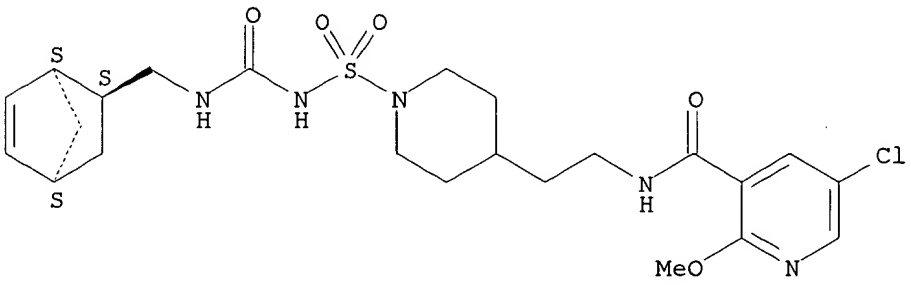
RN 58603-32-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



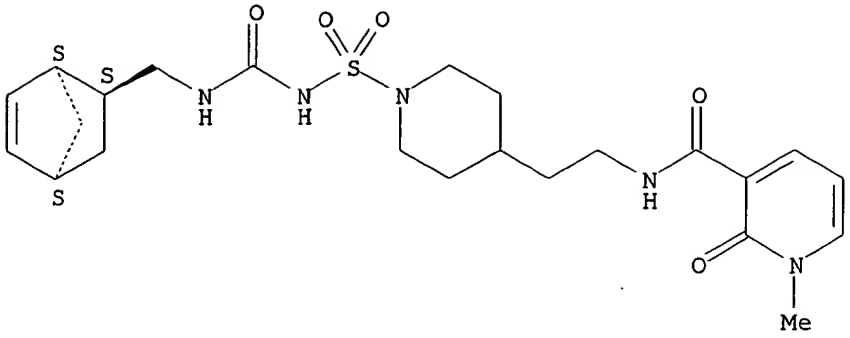
RN 58603-33-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-chloro-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-34-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2-dihydro-1-methyl-2-oxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-35-3 CAPLUS
CN 4H-Pyran-2-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-methoxy-4-oxo- (9CI) (CA INDEX NAME)

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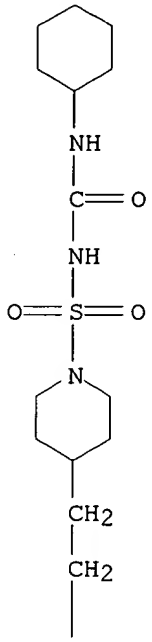
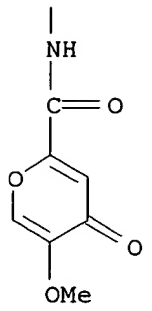
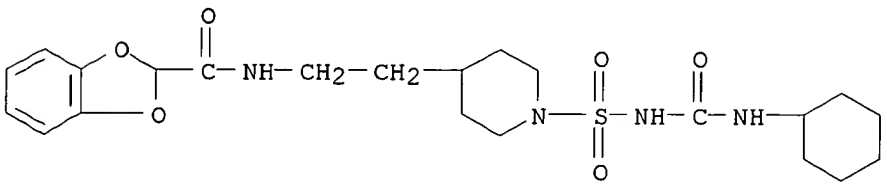


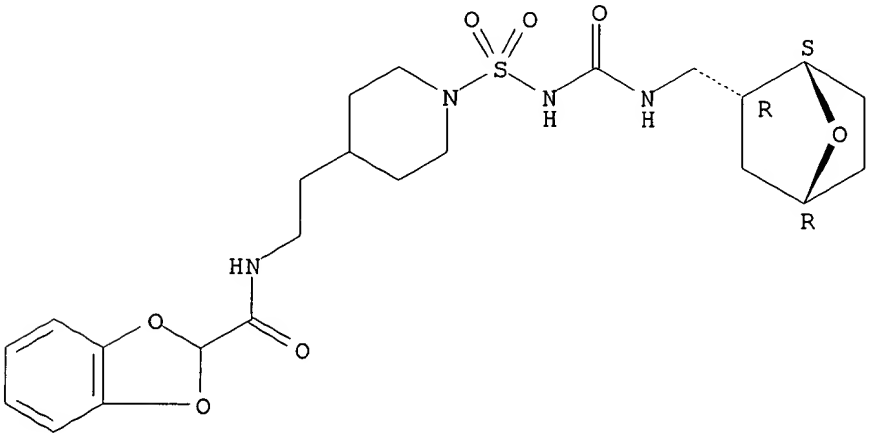


RN 58603-36-4 CAPLUS
CN 1,3-Benzodioxole-2-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

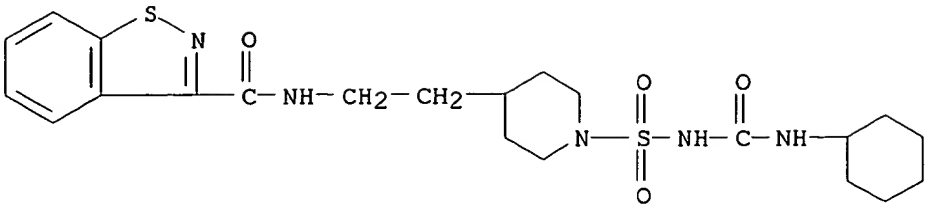


RN 58603-37-5 CAPLUS
CN 1,3-Benzodioxole-2-carboxamide, N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

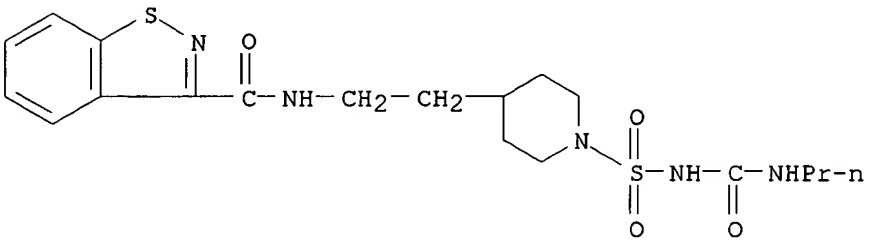
Relative stereochemistry.



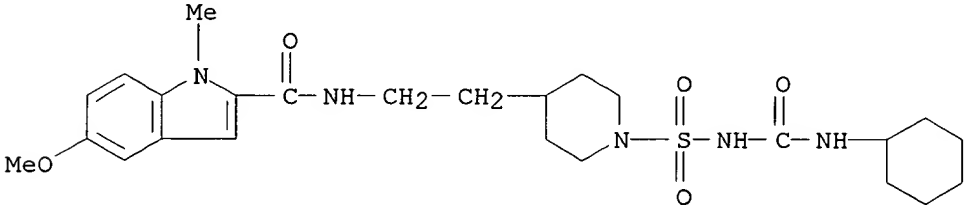
RN 58603-38-6 CAPLUS
CN 1,2-Benzisothiazole-3-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



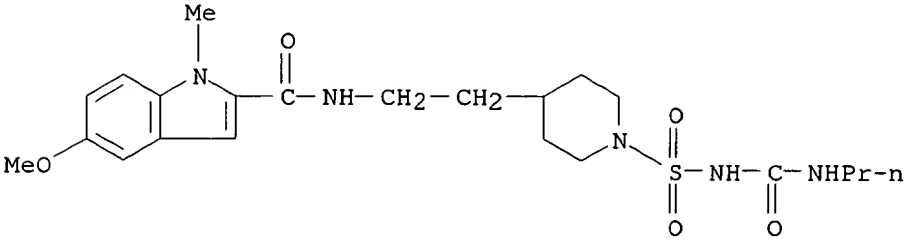
RN 58603-39-7 CAPLUS
CN 1,2-Benzisothiazole-3-carboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



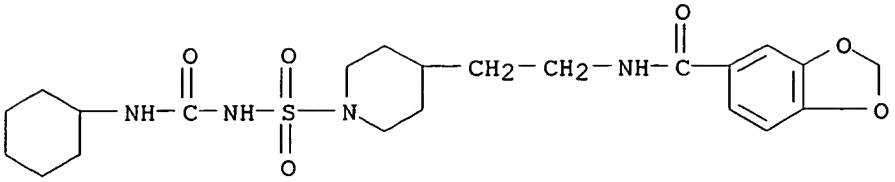
RN 58603-40-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-methoxy-1-methyl- (9CI) (CA INDEX NAME)



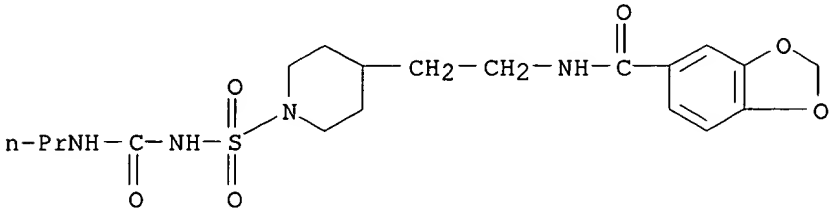
RN 58603-41-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methoxy-1-methyl-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-42-2 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

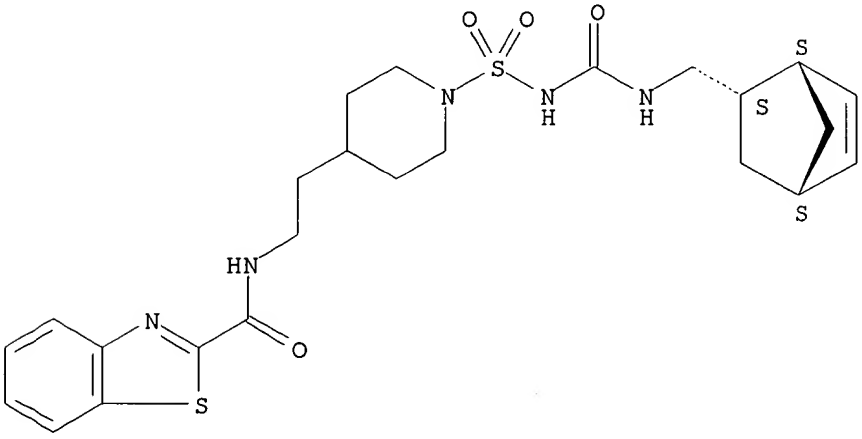


RN 58603-43-3 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



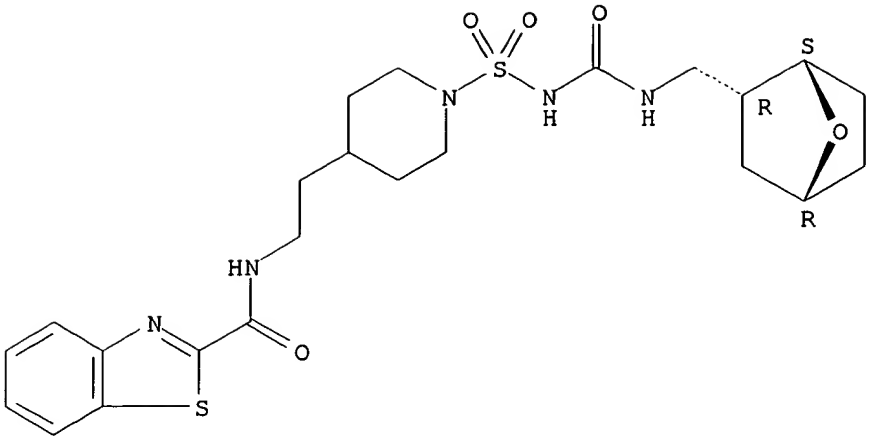
RN 58603-44-4 CAPLUS
CN 2-Benzothiazolecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

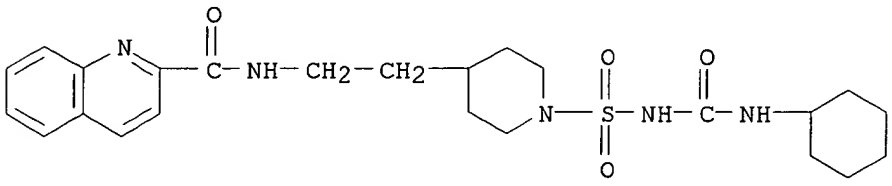


RN 58603-45-5 CAPLUS
CN 2-Benzothiazolecarboxamide, N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

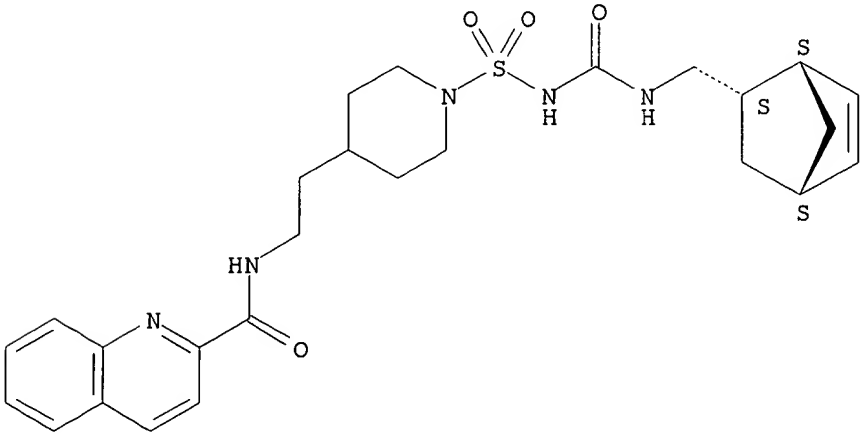


RN 58603-46-6 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

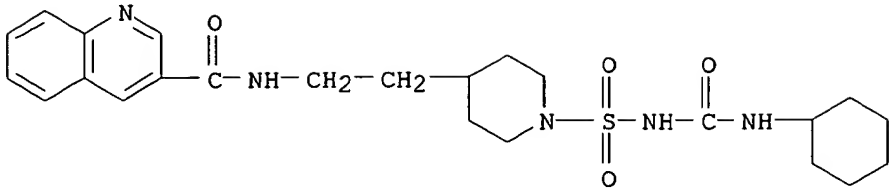


RN 58603-47-7 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

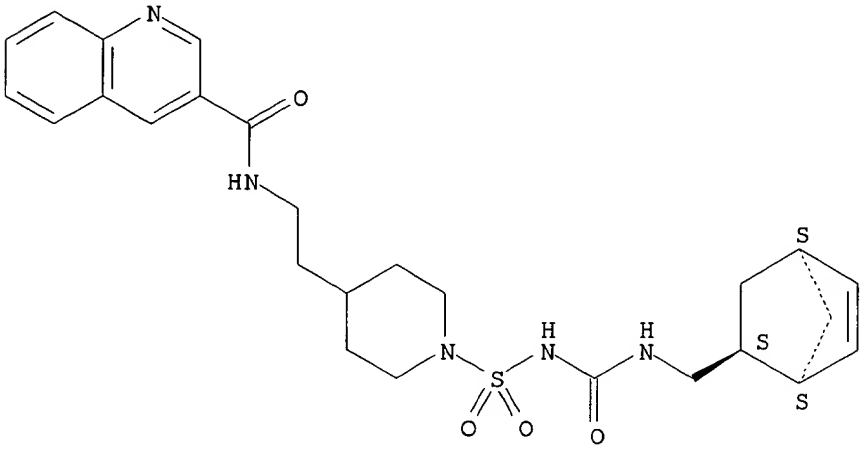


RN 58603-48-8 CAPLUS
CN 3-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-49-9 CAPLUS
CN 3-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-50-2 CAPLUS
CN 4-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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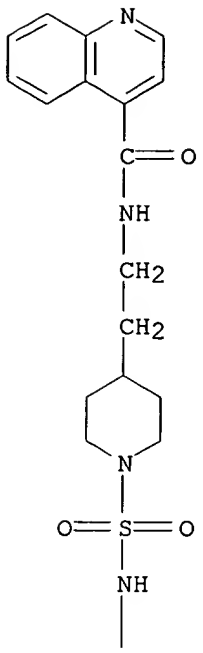

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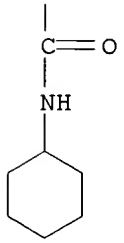
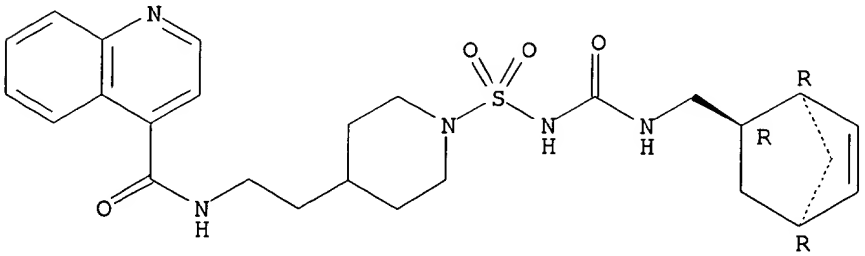


RN 58603-51-3 CAPLUS
CN 4-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-52-4 CAPLUS

CN 4-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methoxy- (9CI) (CA INDEX NAME)

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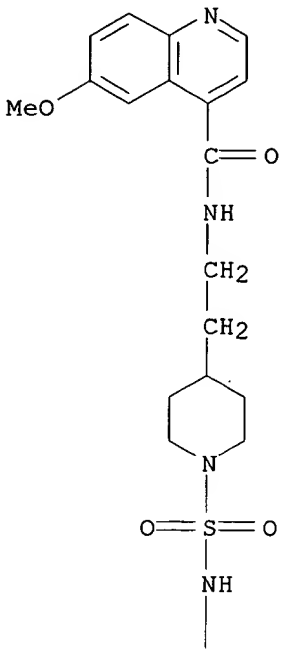

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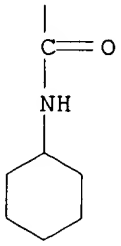
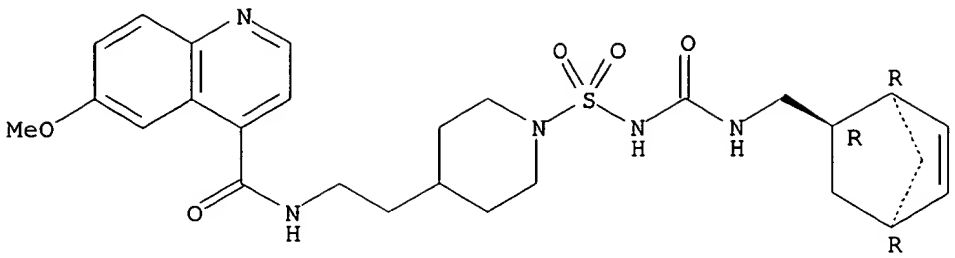


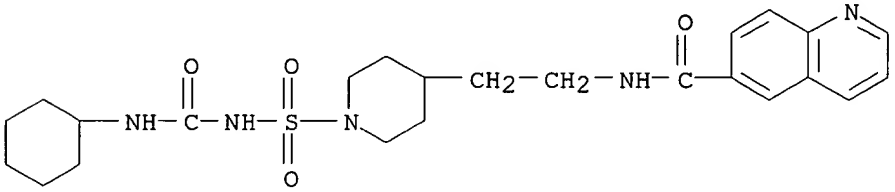
RN 58603-53-5 CAPLUS

CN 4-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

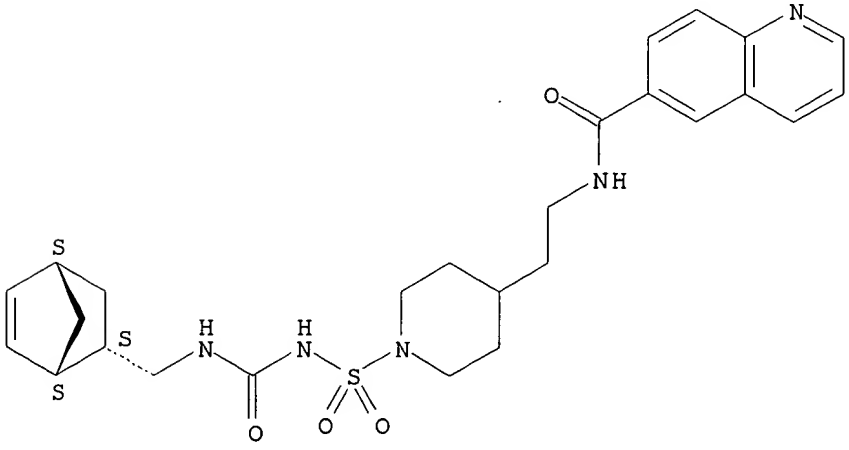


RN 58603-54-6 CAPLUS
CN 6-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-55-7 CAPLUS
CN 6-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-56-8 CAPLUS
CN 8-Quinolinecarboxamide, 6-chloro-N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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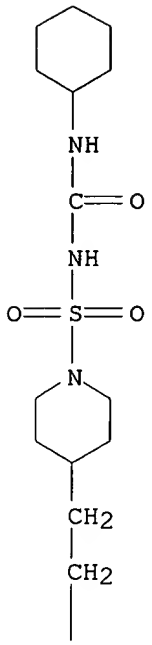

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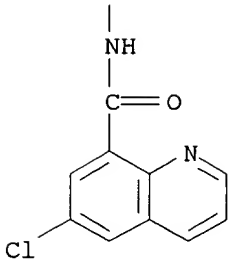
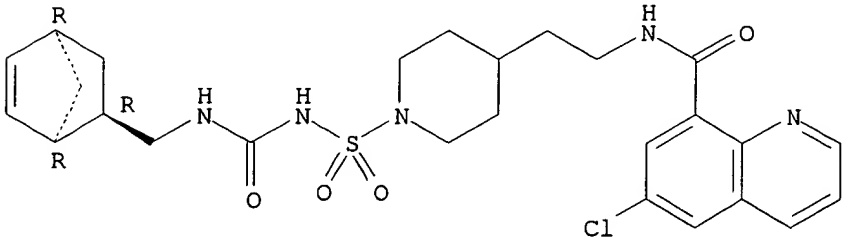


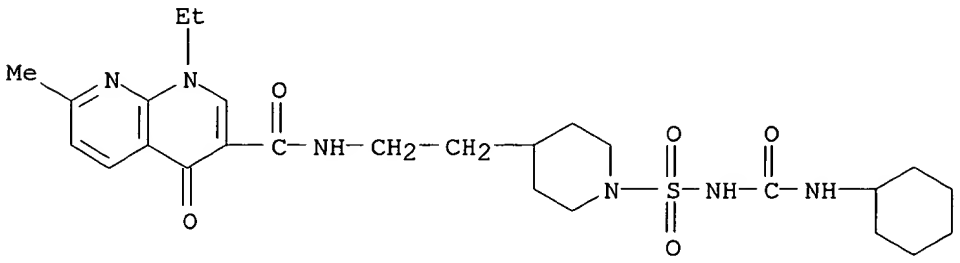
RN 58603-57-9 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



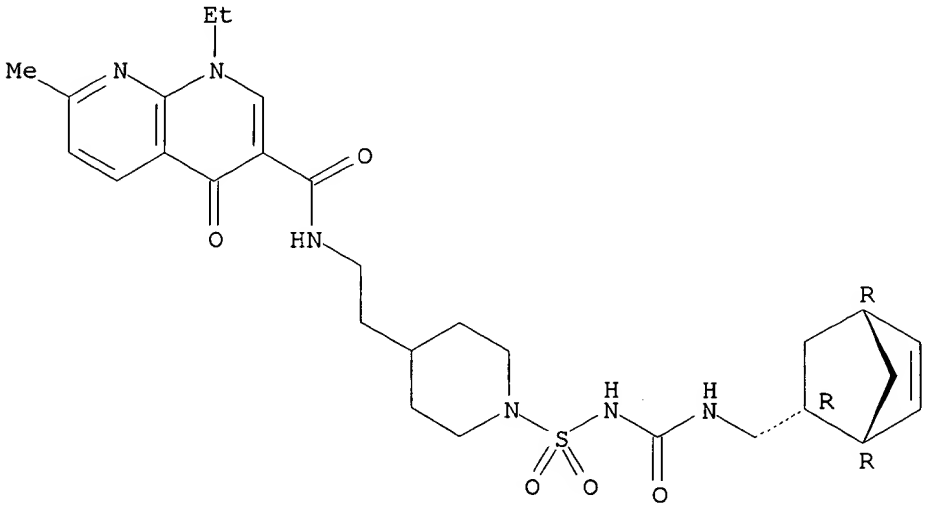
RN 58603-58-0 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 58603-59-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-60-4 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

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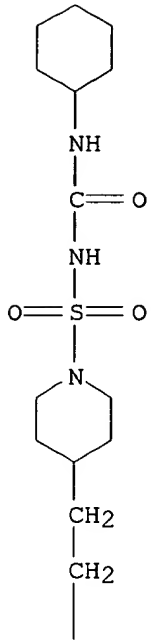

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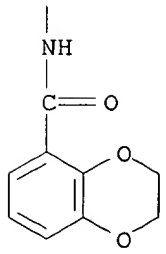
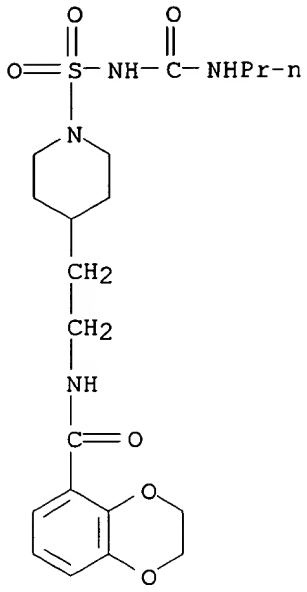
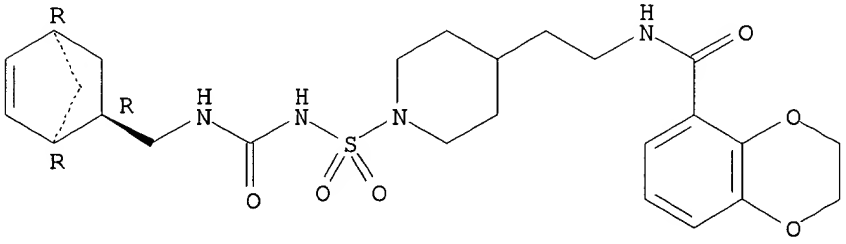


RN 58603-61-5 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-62-6 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,3-dihydro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-63-7 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-5-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

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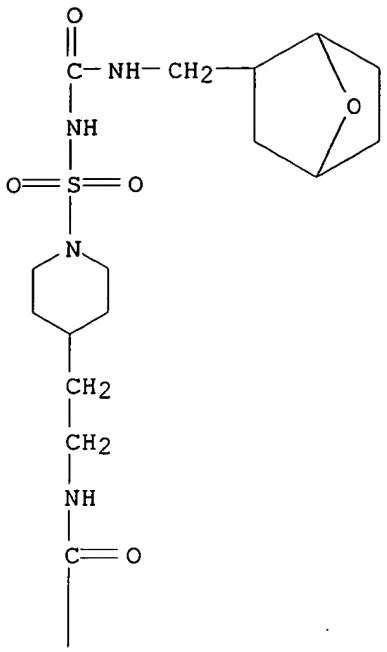

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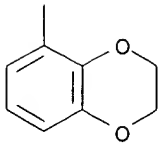

RN 58603-64-8 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-5-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI) (CA INDEX NAME)

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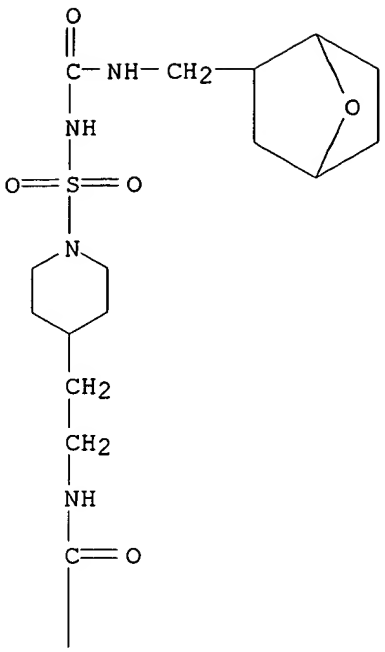

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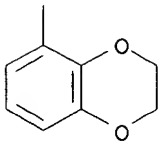
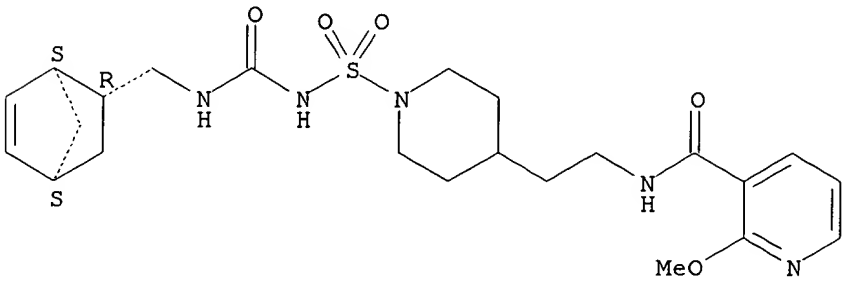
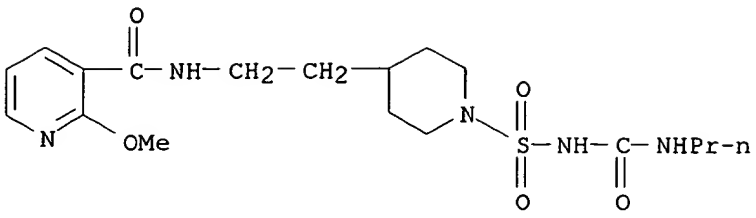


RN 58603-65-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, exo- (9CI) (CA INDEX NAME)

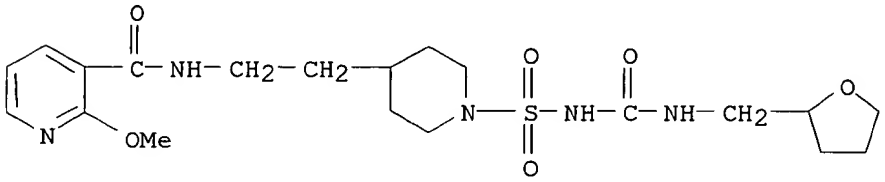
Relative stereochemistry.



RN 58603-66-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-67-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-68-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[[(tetrahydro-2H-pyran-2-yl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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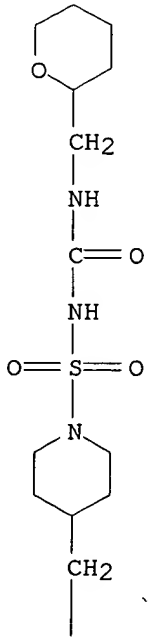
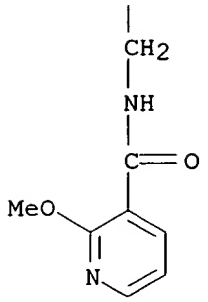
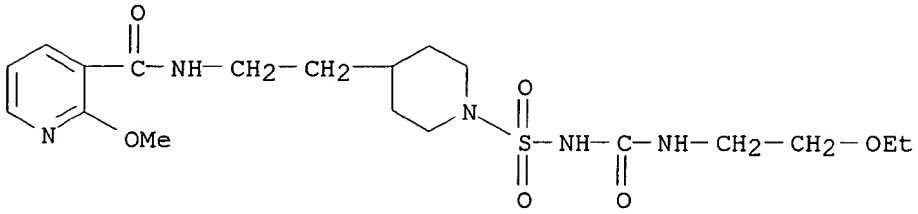


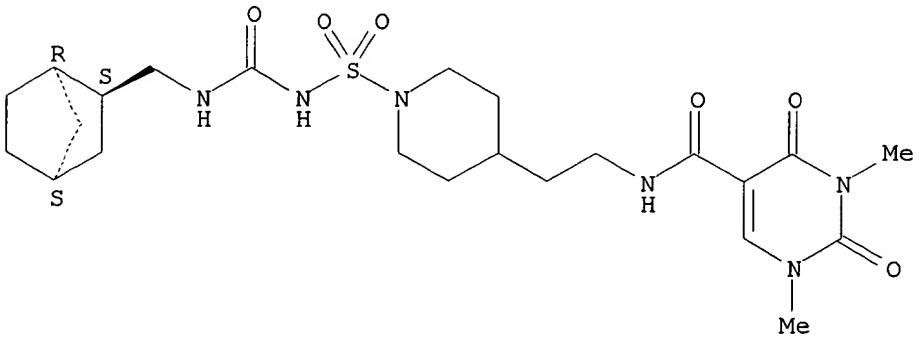


RN 58603-69-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(2-ethoxyethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

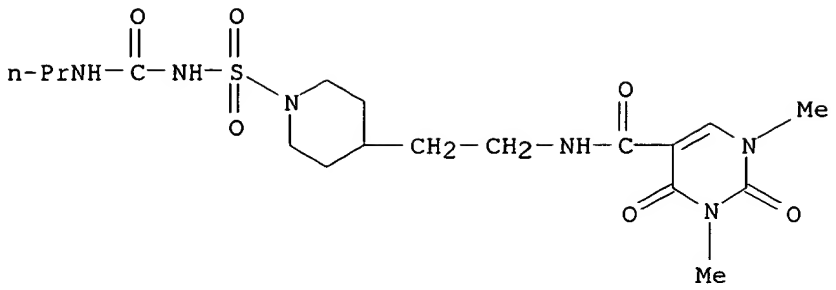


RN 58603-70-6 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

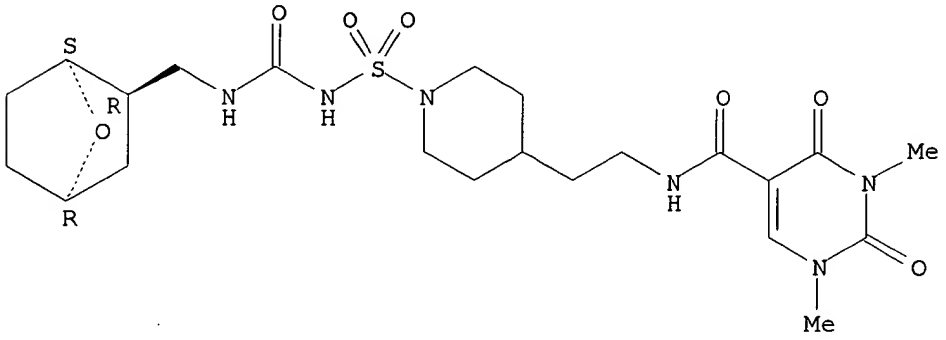


RN 58603-71-7 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



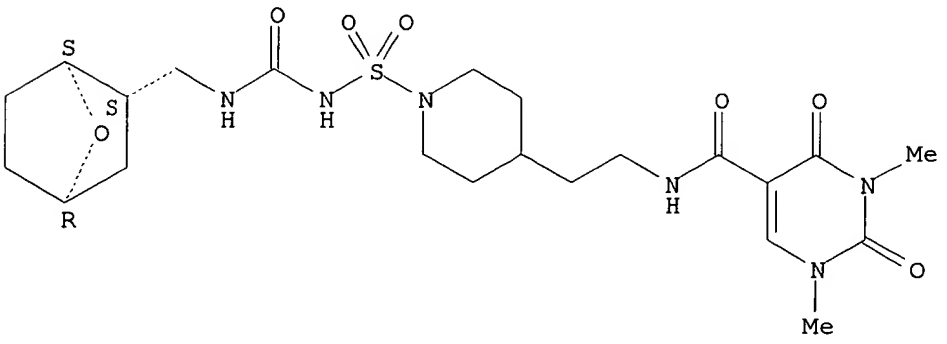
RN 58603-72-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

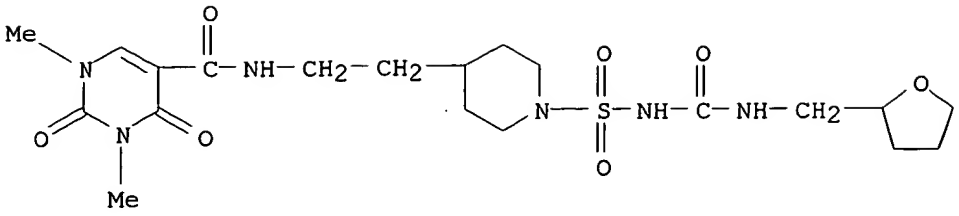


RN 58603-73-9 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-74-0 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-75-1 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[[[(tetrahydro-2H-pyran-2-yl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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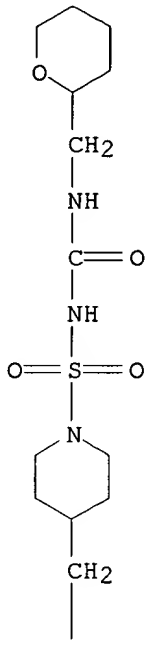

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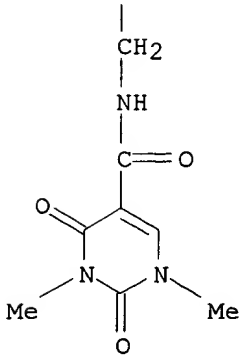
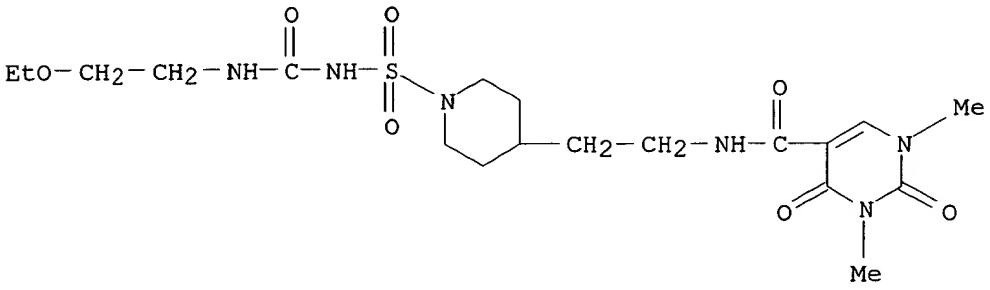
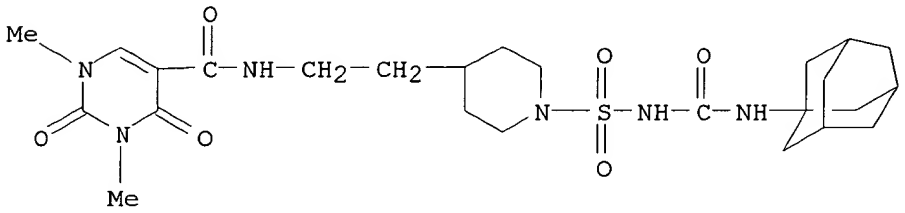


RN 58603-76-2 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(2-ethoxyethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

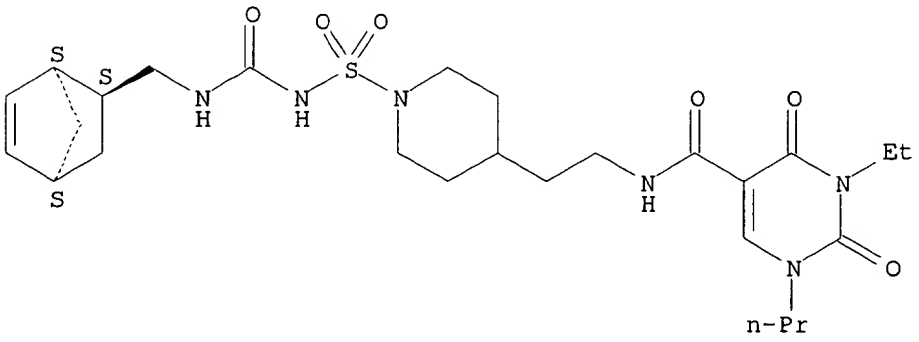


RN 58603-77-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



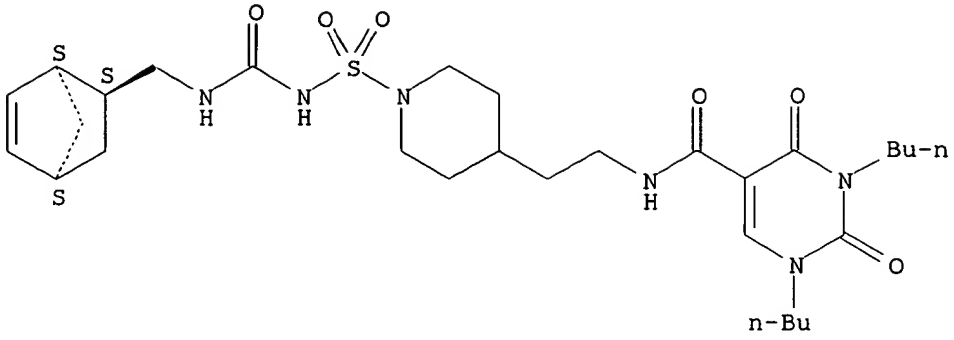
RN 58603-78-4 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



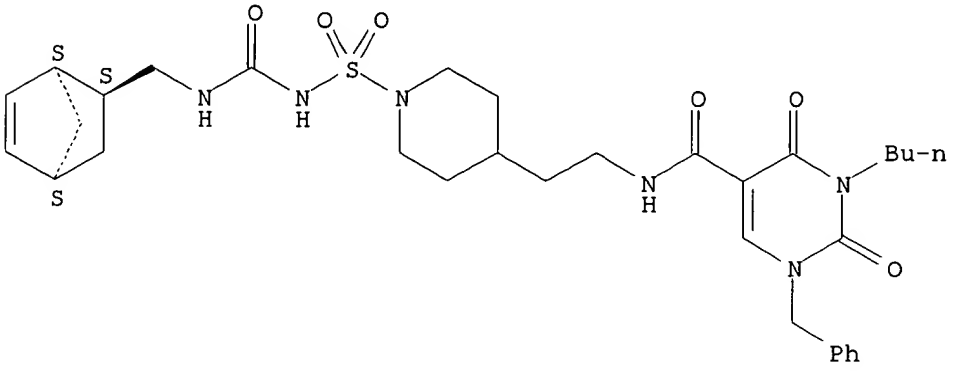
RN 58603-79-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dibutyl-1,2,3,4-tetrahydro-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



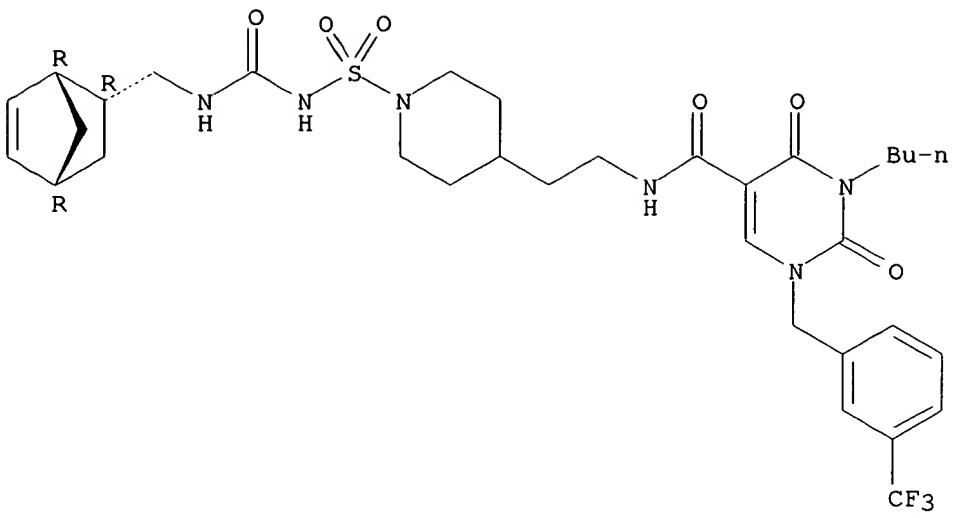
RN 58603-80-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-(phenylmethyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



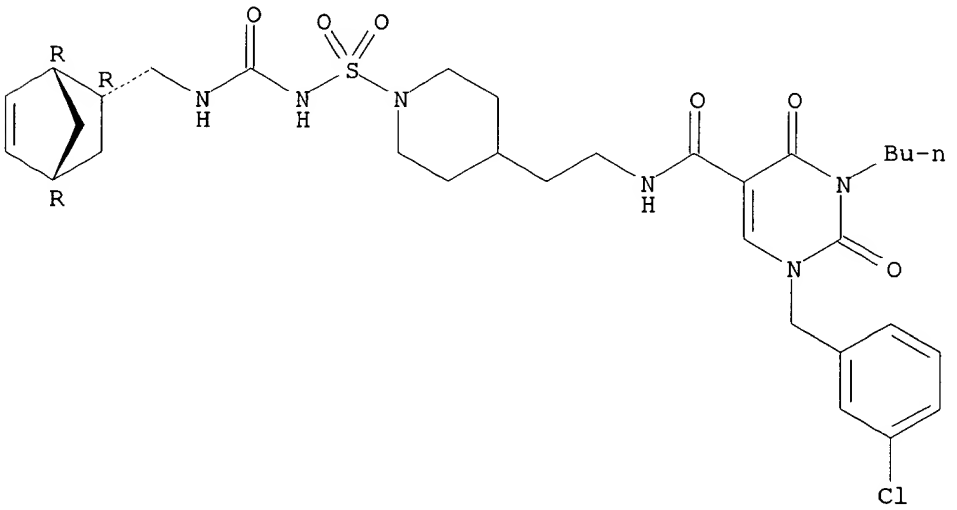
RN 58603-81-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-[[3-(trifluoromethyl)phenyl]methyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



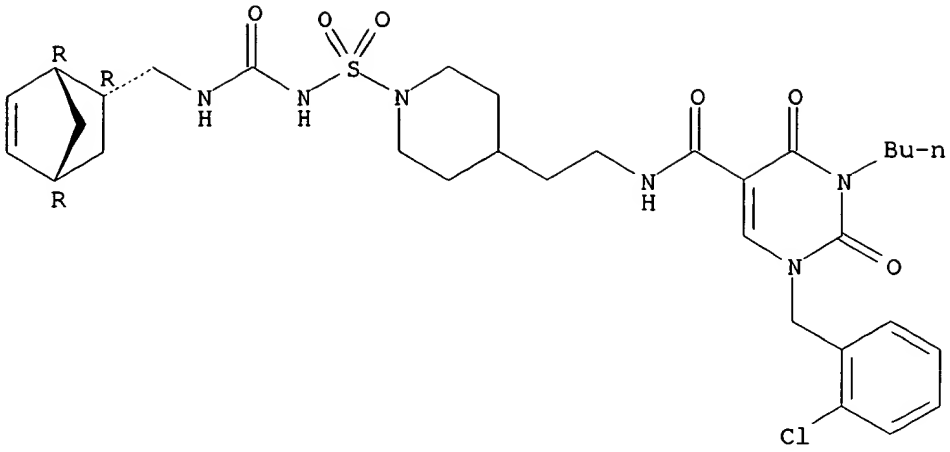
RN 58603-82-0 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1-[(3-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



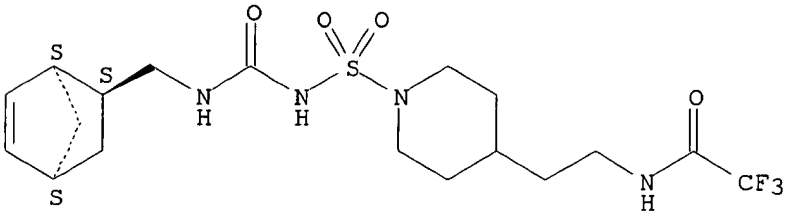
RN 58603-83-1 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

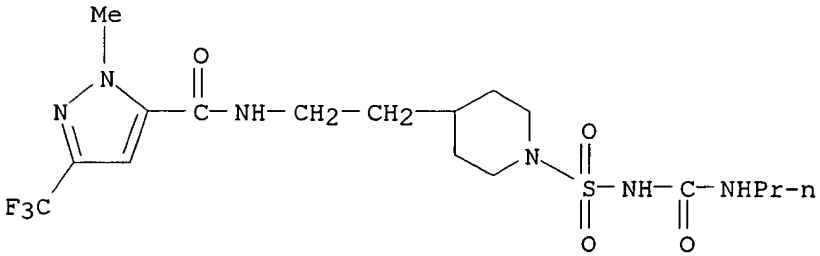


RN 58636-12-7 CAPLUS
CN Acetamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,2,2-trifluoro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58636-13-8 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-methyl-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



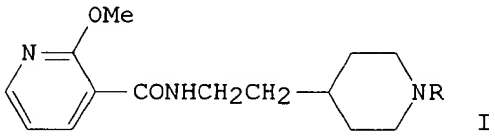
L4 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:135485 CAPLUS
DOCUMENT NUMBER: 84:135485
TITLE: 4-(2-Pyridylamido ethyl)piperidines
INVENTOR(S): Barth, Wayne E.; Kuhla, Donald E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 7 pp.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3933830	A	19760120	US 1974-504826	19740910
GB 1475428	A	19770601	GB 1975-30698	19750722
NL 7510320	A	19760312	NL 1975-10320	19750902
BE 833008	A1	19760303	BE 1975-1006859	19750903
DK 7503953	A	19760311	DK 1975-3953	19750903
FR 2287447	A1	19760507	FR 1975-27050	19750903
DE 2539364	A1	19760325	DE 1975-2539364	19750904
DE 2539364	C3	19790809		
DE 2539364	B2	19781130		
JP 51054568	A2	19760513	JP 1975-107490	19750904
JP 54034737	B4	19791029		
US 3992388	A	19761116	US 1975-623351	19751017

PRIORITY APPLN. INFO.: US 1974-504826 19740910

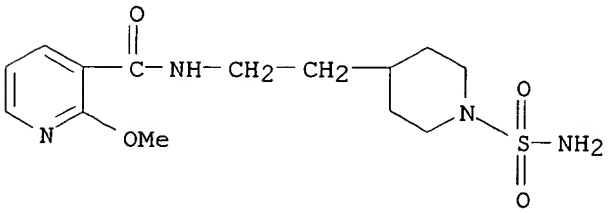
GI



I

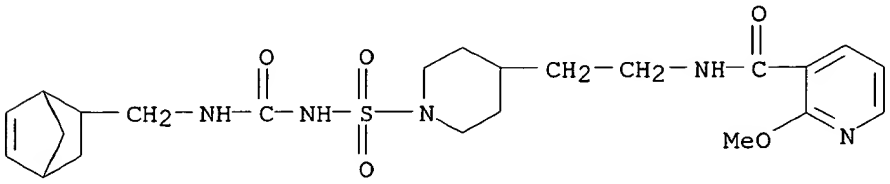
AB Piperidine (I, R = H) (II) was prepd. by acylating 4-(2-aminoethyl)pyridine with 2-methoxynicotinoyl chloride, and hydrogenating the resultant nicotinamide (III) in MeOH contg. aq. HCl under 80 psi pressure using PtO2 catalysts. Alternatively III was quaternized with PhCH2Br to 1-benzyl-4-[2-(2-methoxynicotinamido)ethyl]pyridinium bromide, which was reduced with H in combination with NaBH4 to give II. II was heated with sulfamide in pyridine at reflux and the product (I, R = NH2SO2) was converted to hypoglycemic (no data) 1-(bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl)-3-[4-[2-(2-methoxynicotinamido)ethyl]piperidinosulfonyl] urea Na salt.

IT **53750-69-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to urea deriv.)
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



IT **58804-15-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 58804-15-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-(9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:43868 CAPLUS
DOCUMENT NUMBER: 84:43868
TITLE: Piperidinylsulfonylurea derivatives for lowering blood sugar levels
INVENTOR(S): Evanega, George R.; Kuhla, Donald E.; Sarges, Reinhard
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 10 pp. Division of U.S. 3,829,434.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3914426	A	19751021	US 1974-464331	19740426
US 3829434	A	19740813	US 1972-305594	19721110
GB 1414031	A	19751112	GB 1973-4464	19730129
GB 1414032	A	19751112	GB 1974-21414	19730129
AU 7361904	A1	19750501	AU 1973-61904	19731026
NL 7315133	A	19740514	NL 1973-15133	19731105
NL 171707	B	19821201		
NL 171707	C	19830502		
DE 2366237	C2	19820401	DE 1973-2366237	19731106
JP 49133378	A2	19741221	JP 1973-125054	19731108
JP 56002556	B4	19810120		
AT 7309411	A	19770915	AT 1973-9411	19731108
BE 807097	A1	19740509	BE 1973-1005494	19731109
FR 2206095	A1	19740607	FR 1973-39906	19731109
ES 420412	A1	19760416	ES 1973-420412	19731109
SE 389672	B	19761115	SE 1973-15247	19731109
DK 138390	C	19790205	DK 1973-6061	19731109
DK 138390	B	19780828		
IN 140590	A	19761204	IN 1973-CA2617	19731128
US 3987172	A	19761019	US 1975-598746	19750725

PRIORITY APPLN. INFO.: US 1972-305594 19721110
US 1974-464331 19740426

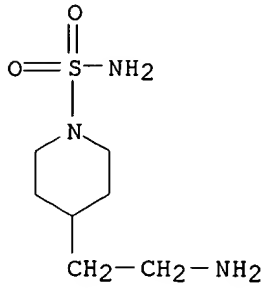
GI For diagram(s), see printed CA Issue.
AB Ureas I (R = 2-methoxy-3-pyridyl, 4-chloro-2-pyridyl, 8-quinolyl; R1 = bicyclo[2.2.1]hept-5-en-2-ylmethyl, bicyclo[2.2.1]hept-2-ylmethyl, cyclohexyl, 1-adamantyl, 7-oxabicyclo[2.2.1]hept-2-ylmethyl) were prepd. by treating 4-aminoethylpiperidinesulfonamide (II) with RCOCl and R1NHCONPh2. II was prepd. by reducing 4-phthalimidoethylpyridine,

treating with SO2(NH2)2, and cleavage of the imide group. At 5 mg/kg i.p. in rats I gave 23-38% decrease in blood sugar level.

IT **53750-64-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acylation of)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



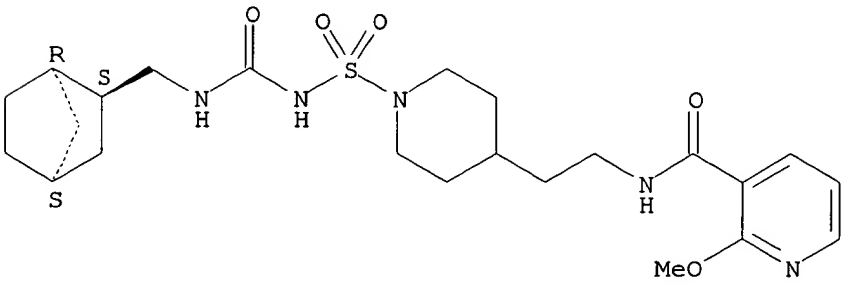
● HCl

IT **53750-72-4P 53750-73-5P 53750-74-6P**
53750-75-7P 53750-76-8P 53750-77-9P
53751-32-9P 53751-33-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antidiabetic activity of)

RN 53750-72-4 CAPLUS

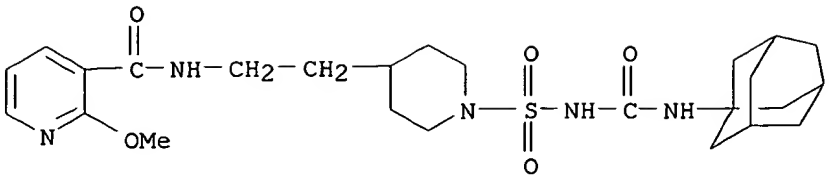
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



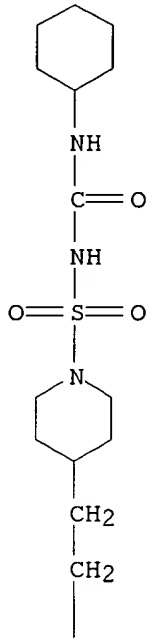
RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

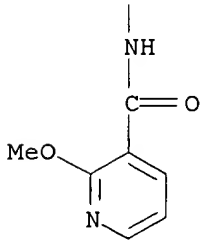


RN 53750-74-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

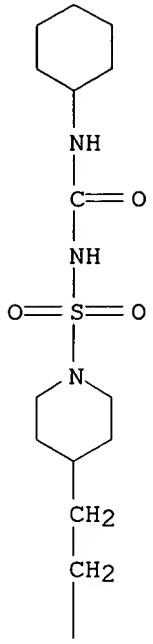


PAGE 2-A

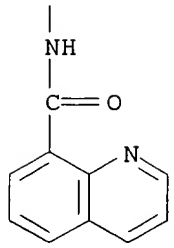


RN 53750-75-7 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

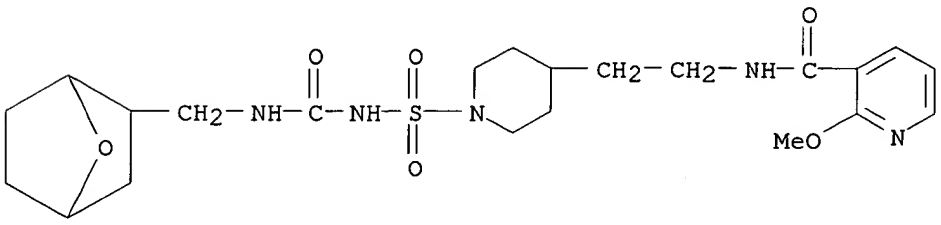
PAGE 1-A



PAGE 2-A

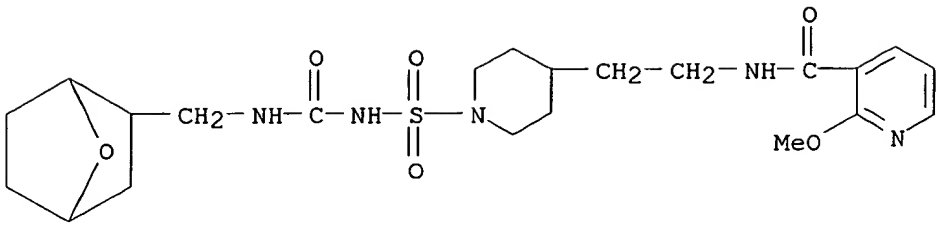


RN 53750-76-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



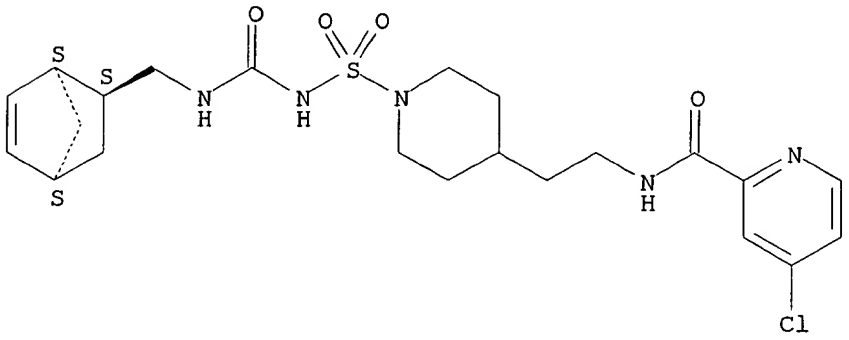
RN 53750-77-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

(CA INDEX NAME)



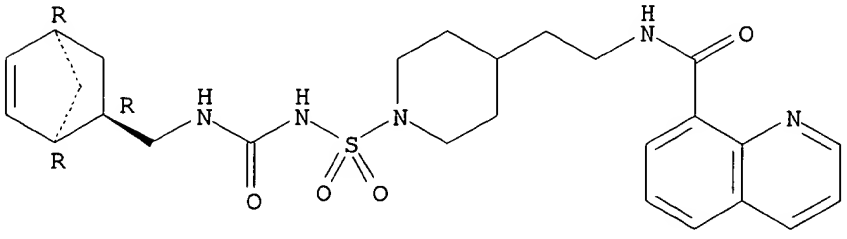
RN 53751-32-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

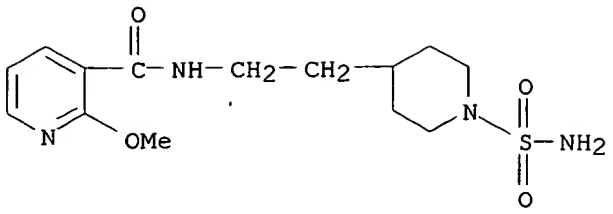


RN 53751-33-0 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

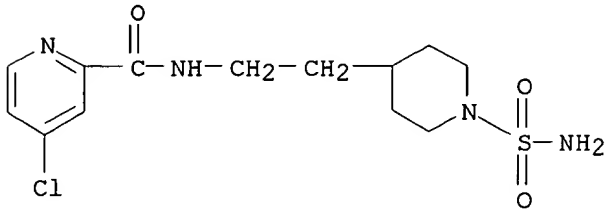
Relative stereochemistry.



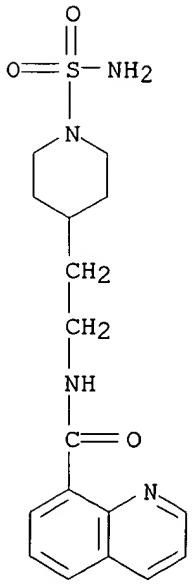
IT **53750-69-9P 53750-70-2P 53750-71-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with urea derivs.)
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 53750-70-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

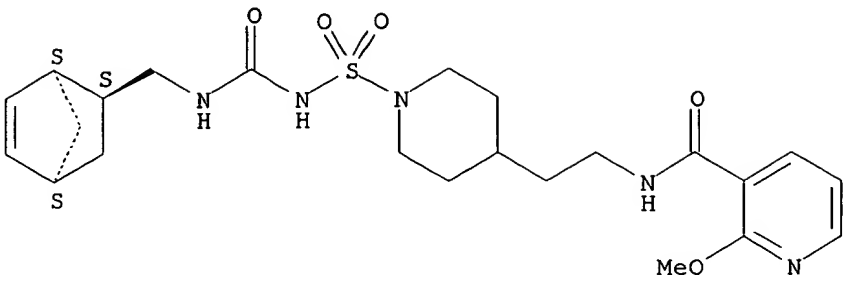


RN 53750-71-3 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



IT **53750-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53750-78-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

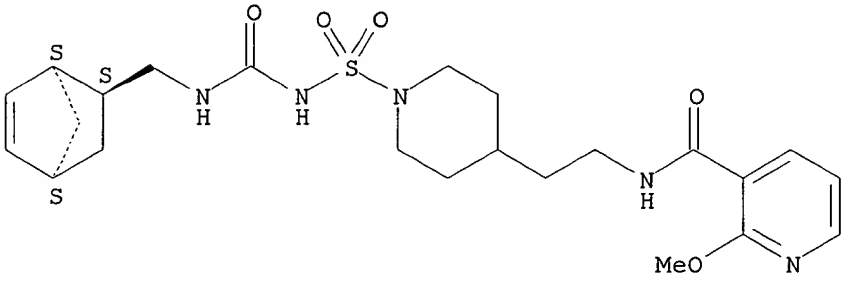
Relative stereochemistry.



● Na

IT **51876-98-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., redn., and antidiabetic activity of)
RN 51876-98-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:449582 CAPLUS
DOCUMENT NUMBER: 81:49582
TITLE: Antidiabetic [[4-[2-(acylamino)ethyl]piperidino]sulfonyl]ureas
INVENTOR(S): Evanega, George R.; Kuhla, Donald E.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2355262	A1	19740522	DE 1973-2355262	19731106
DE 2355262	B2	19810716		
DE 2355262	C3	19820527		
US 3829434	A	19740813	US 1972-305594	19721110

GB 1414031	A	19751112	GB 1973-4464	19730129
GB 1414032	A	19751112	GB 1974-21414	19730129
AU 7361904	A1	19750501	AU 1973-61904	19731026
NL 7315133	A	19740514	NL 1973-15133	19731105
NL 171707	B	19821201		
NL 171707	C	19830502		
DE 2366237	C2	19820401	DE 1973-2366237	19731106
JP 49133378	A2	19741221	JP 1973-125054	19731108
JP 56002556	B4	19810120		
AT 7309411	A	19770915	AT 1973-9411	19731108
BE 807097	A1	19740509	BE 1973-1005494	19731109
FR 2206095	A1	19740607	FR 1973-39906	19731109
ES 420412	A1	19760416	ES 1973-420412	19731109
SE 389672	B	19761115	SE 1973-15247	19731109
DK 138390	C	19790205	DK 1973-6061	19731109
DK 138390	B	19780828		
IN 140590	A	19761204	IN 1973-CA2617	19731128

PRIORITY APPLN. INFO.: US 1972-305594 19721110

GI For diagram(s), see printed CA Issue.

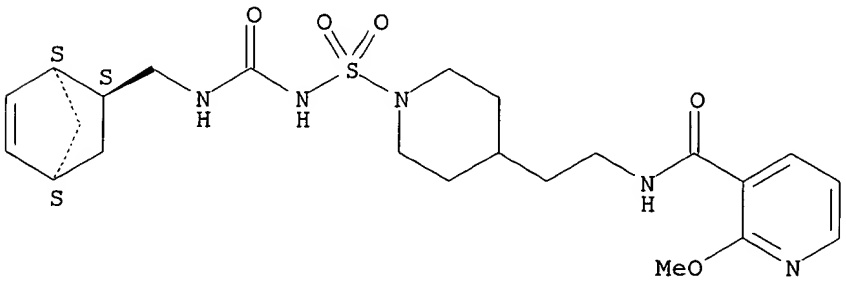
AB About ten ureas [I; R = 2-methoxy-3-pyridyl, 4-chloro-2-pyridyl, or 8-quinolyl; R1 = e.g. 1-adamantyl, cyclohexyl, or endo-bicyclo[2.2.1]hept-5-en-2-ylmethyl] and their Na or Ca salts were prepd. and used as anti-diabetics. Thus, 2-methoxynicotinoyl chloride reacted with 4-(2-aminoethyl)-1-piperidinesulfonamide-HCl in CHCl3 in the presence of Na2CO3 to give 71% 4-[2-(2-methoxynicotinamido)-ethyl]-1-piperidinesulfonamide (II). II reacted with Ph2NCO-NHR2 (R2 = endo-bicyclo[2.2.1]hept-5-en-2-ylmethyl) and NaH in DMF to give 64% I (R = 2-methoxy-3-pyridyl, R1 = R2), which was hydrogenated over Pd/C to give the bicycloheptyl deriv. Reaction of II with cyclohexyl isocyanate and NaH in DMF gave 38% I (R = 2-methoxy-3-pyridyl, R1 = cyclohexyl).

IT **51876-98-3P 53750-64-4P 53750-69-9P**
53750-70-2P 53750-71-3P 53750-72-4P
53750-73-5P 53750-74-6P 53750-75-7P
53750-76-8P 53750-77-9P 53750-78-0P
53750-79-1P 53750-80-4P 53751-32-9P
53751-33-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 51876-98-3 CAPLUS

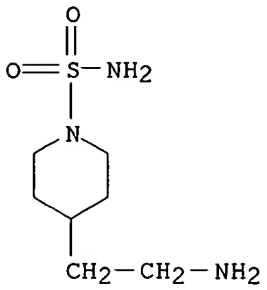
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



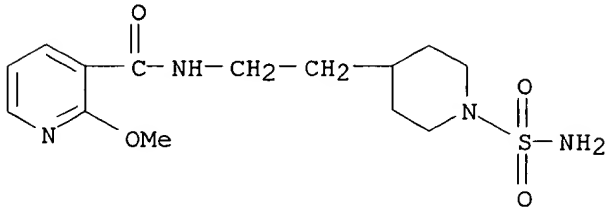
RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

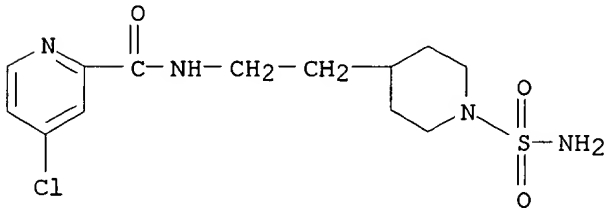


● HCl

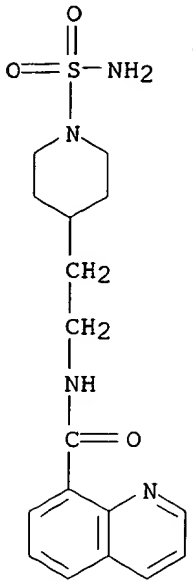
RN 53750-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 53750-70-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

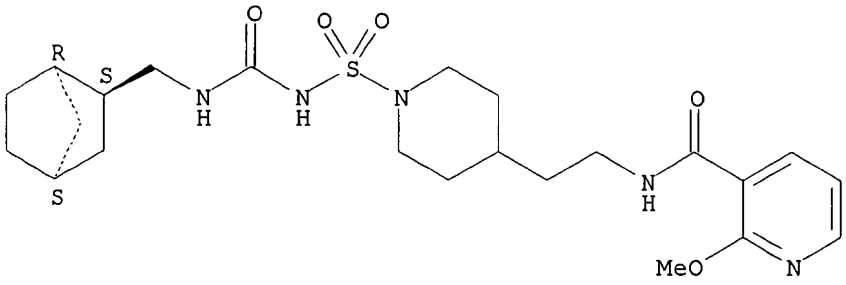


RN 53750-71-3 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

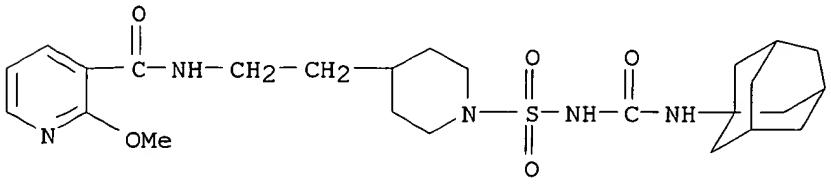


RN 53750-72-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53750-73-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.13,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 53750-74-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

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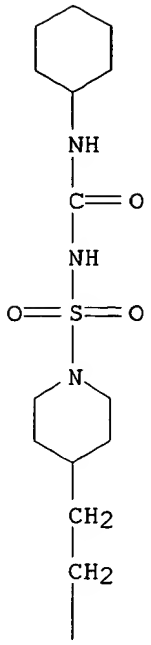

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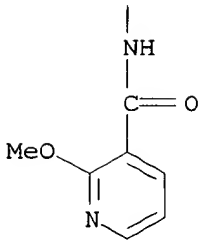

RN 53750-75-7 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

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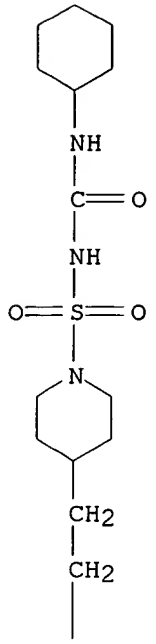

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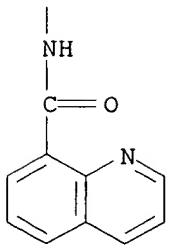
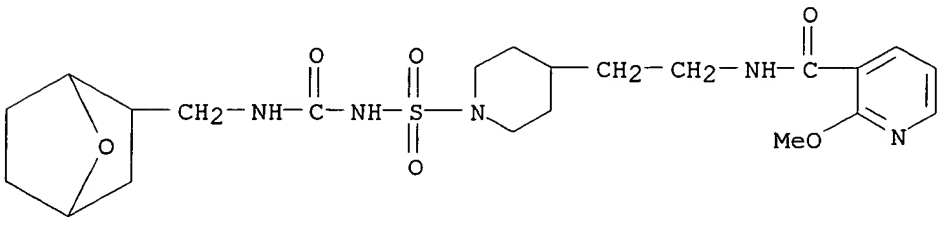
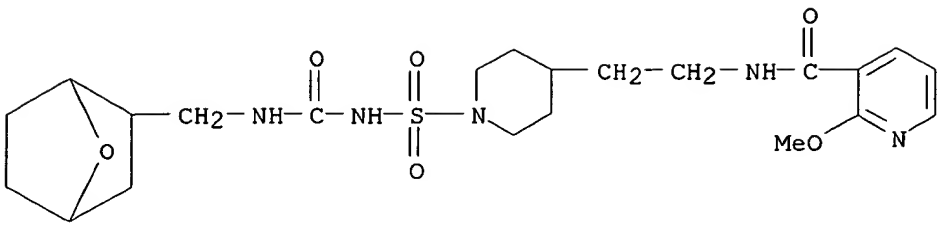


RN 53750-76-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



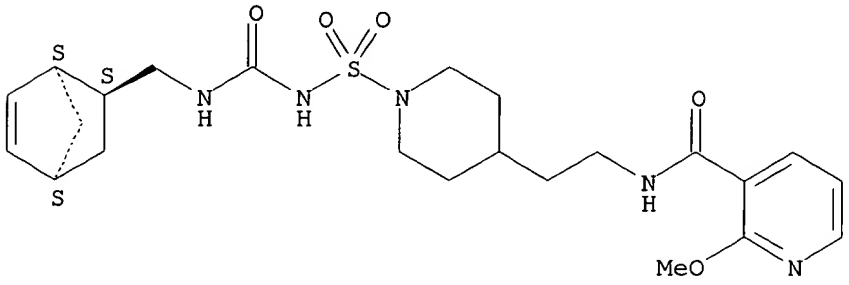
RN 53750-77-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

(CA INDEX NAME)



RN 53750-78-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

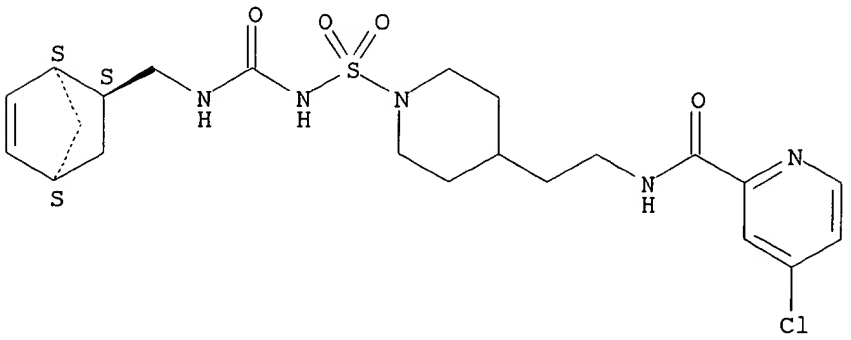
Relative stereochemistry.



● Na

RN 53750-79-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

RN 53750-80-4 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, calcium salt (9CI) (CA INDEX NAME)

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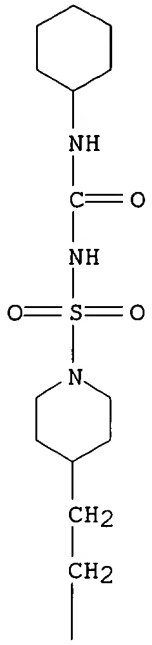

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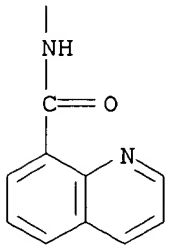
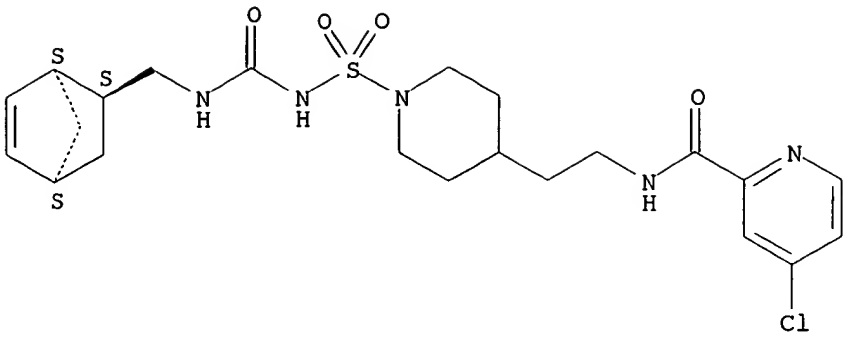


●x Ca

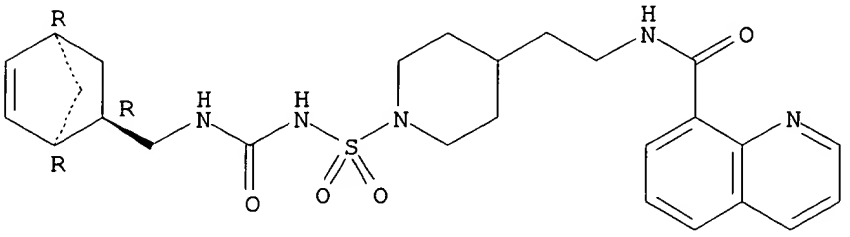
RN 53751-32-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53751-33-0 CAPLUS
CN 8-Quinolinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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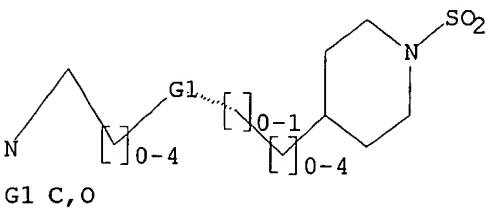
(FILE 'HOME' ENTERED AT 09:54:23 ON 20 FEB 2002)

FILE 'REGISTRY' ENTERED AT 09:54:30 ON 20 FEB 2002
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 254 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:55:55 ON 20 FEB 2002
L4 41 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,O

Structure attributes must be viewed using STN Express query preparation.